

MONA

65383

U.S. DEPARTMENT OF COMMERCE  
Patent and Trademark Office

## SEARCH REQUEST FORM

Requestor's  
Name: Ted CRIARES

Serial  
Number: 09/935,513

Date: 4/25/02

Phone: 308-4607

Art Unit: 1617 ✓

2B/9 ✓

CM1-2 A03 ✓

### Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).

*Please search for any  
litigation relating to*

*U.S. Patent 5,945,416*

Point of Contact:  
Mona Smith  
Technical Information Specialist  
CM1 6A01  
Tel: 308-3278

STIC

CM-1

Pre-S

*Call when completed.*

### STAFF USE ONLY

Date completed: 5/1/02

Searcher: M. SMITH

Terminal time: \_\_\_\_\_

Elapsed time: \_\_\_\_\_

CPU time: \_\_\_\_\_

Total time: 40 min

Number of Searches: \_\_\_\_\_

Number of Databases: \_\_\_\_\_

#### Search Site

\_\_\_\_ STIC

\_\_\_\_ CM-1

\_\_\_\_ Pre-S

#### Type of Search

\_\_\_\_ N.A. Sequence

\_\_\_\_ A.A. Sequence

\_\_\_\_ Structure

\_\_\_\_ Bibliographic

*Litigation*

#### Vendors

\_\_\_\_ IG

\_\_\_\_ STN

\_\_\_\_ Dialog

\_\_\_\_ APS

\_\_\_\_ Geninfo

\_\_\_\_ SDC

\_\_\_\_ DARC/Questel

\_\_\_\_ Other

Welcome to STN International! Enter x:x

LOGINID:sssptaul25txc

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 Jan 25 BLAST(R) searching in REGISTRY available in STN on the Web  
NEWS 3 Jan 29 FSTA has been reloaded and moves to weekly updates  
NEWS 4 Feb 01 DKILIT now produced by FIZ Karlsruhe and has a new update  
frequency  
NEWS 5 Feb 19 Access via Tymnet and SprintNet Eliminated Effective 3/31/02  
NEWS 6 Mar 08 Gene Names now available in BIOSIS  
NEWS 7 Mar 22 TOXLIT no longer available  
NEWS 8 Mar 22 TRCTHERMO no longer available  
NEWS 9 Mar 28 US Provisional Priorities searched with P in CA/Caplus  
and USPATFULL  
NEWS 10 Mar 28 LIPINSKI/CALC added for property searching in REGISTRY  
NEWS 11 Apr 02 PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.  
NEWS 12 Apr 08 "Ask CAS" for self-help around the clock  
NEWS 13 Apr 09 BEILSTEIN: Reload and Implementation of a New Subject Area  
NEWS 14 Apr 09 ZDB will be removed from STN  
NEWS 15 Apr 19 US Patent Applications available in IFICDB, IFIPAT, and IFIUDB  
NEWS 16 Apr 22 Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS  
NEWS 17 Apr 22 BIOSIS Gene Names now available in TOXCENTER  
NEWS 18 Apr 22 Federal Research in Progress (FEDRIP) now available  
  
NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,  
CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),  
AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
specific topic.

All use of STN is subject to the provisions of the STN Customer  
agreement. Please note that this agreement limits use to scientific  
research. Use for software development or design or implementation  
of commercial gateways or other similar uses is prohibited and may  
result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 10:06:09 ON 14 MAY 2002

=> file uspatfull

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'USPATFULL' ENTERED AT 10:06:42 ON 14 MAY 2002  
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 9 May 2002 (20020509/PD)  
FILE LAST UPDATED: 9 May 2002 (20020509/ED)  
HIGHEST GRANTED PATENT NUMBER: US8387446  
HIGHEST APPLICATION PUBLICATION NUMBER: US2002056154  
CA INDEXING IS CURRENT THROUGH 9 May 2002 (20020509/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 9 May 2002 (20020509/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2002  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2002

>>> USPAT2 is now available. USPATFULL contains full text of the <<<  
>>> original, i.e., the earliest published granted patents or <<<  
>>> applications. USPAT2 contains full text of the latest US <<<  
>>> publications, starting in 2001, for the inventions covered in <<<  
>>> USPATFULL. A USPATFULL record contains not only the original <<<  
>>> published document but also a list of any subsequent <<<  
>>> publications. The publication number, patent kind code, and <<<  
>>> publication date for all the US publications for an invention <<<  
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<  
>>> records and may be searched in standard search fields, e.g., /PN, <<<  
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<  
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<  
>>> enter this cluster. <<<  
>>> <<<  
>>> Use USPATALL when searching terms such as patent assignees, <<<  
>>> classifications, or claims, that may potentially change from <<<  
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> s olanzapine and depressant  
140 OLANZAPINE  
5588 DEPRESSANT  
L1 13 OLANZAPINE AND DEPRESSANT

=> s 11 and pd<1995  
1890560 PD<1995  
(PD<19950000)  
L2 0 L1 AND PD<1995

=> d 11 1-13

L1 ANSWER 1 OF 13 USPATFULL  
AN 2002:88001 USPATFULL  
TI Opioid agonist/opioid antagonist/acetaminophen combinations  
IN Kaiko, Robert F., Weston, CT, United States  
Colucci, Robert D., Newtown, CT, United States  
PA Euro-Celtique, S.A., Luxembourg, LUXEMBOURG (non-U.S. corporation)  
PI US 6375957 B1 20020423  
AI US 2000-503020 20000211 (9)  
RLI Continuation-in-part of Ser. No. US 1998-218662, filed on 22 Dec 1998  
PRAI US 1997-68480P 19971222 (60)  
DT Utility  
FS GRANTED  
LN.CNT 2580  
INCL INCLM: 424/400.000

INCLS: 424/451.000; 424/464.000; 514/812.000  
NCL NCLM: 424/400.000  
NCLS: 424/451.000; 424/464.000; 514/812.000  
IC [7]  
ICM: A61K009-48  
ICS: A61K009-20  
EXF 424/464; 424/451; 424/400; 512/812

L1 ANSWER 2 OF 13 USPATFULL  
AN 2002:17328 USPATFULL  
TI Dha-pharmaceutical agent conjugates of taxanes  
IN Shashoua, Victor, Brookline, MA, UNITED STATES  
Swindell, Charles, Merion, PA, UNITED STATES  
Webb, Nigel, Bryn Mawr, PA, UNITED STATES  
Bradley, Matthews, Layton, PA, UNITED STATES  
PI US 2002010208 A1 20020124  
AI US 2001-846838 A1 20010501 (9)  
RLI Continuation of Ser. No. US 1998-135291, filed on 17 Aug 1998, ABANDONED  
Continuation of Ser. No. US 1996-651312, filed on 22 May 1996, GRANTED,  
Pat. No. US 5795909  
DT Utility  
FS APPLICATION  
LN.CNT 2437  
INCL INCLM: 514/449.000  
NCL NCLM: 514/449.000  
IC [7]  
ICM: A61K031-337  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 3 OF 13 USPATFULL  
AN 2001:215087 USPATFULL  
TI Treatment of disorders secondary to organic impairments  
IN Mueller, Peter Sterling, 182 Snowden La., Princeton, NJ, United States  
08540  
PI US 6323242 B1 20011127  
AI US 1998-204124 19981202 (9)  
DT Utility  
FS GRANTED  
LN.CNT 1080  
INCL INCLM: 514/646.000  
INCLS: 564/305.000  
NCL NCLM: 514/646.000  
NCLS: 564/305.000  
IC [7]  
ICM: A61K031-36  
ICS: C07C211-00  
EXF 514/646; 564/305  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 4 OF 13 USPATFULL  
AN 2001:205909 USPATFULL  
TI Polymorphic form of a tachykinin receptor antagonist  
IN Crocker, Louis, Belle Mead, NJ, United States  
Mccauley, James, Belle Mead, NJ, United States  
PA Merck & Co., Inc. (U.S. corporation)  
PI US 2001041702 A1 20011115  
AI US 2001-850370 A1 20010507 (9)  
RLI Division of Ser. No. US 1999-458168, filed on 9 Dec 1999, GRANTED, Pat.  
No. US 6229010  
PRAI US 1997-51600P 19970702 (60)  
DT Utility  
FS APPLICATION

LN.CNT 2079  
INCL INCLM: 514/236.200  
INCLS: 544/132.000  
NCL NCLM: 514/236.200  
NCLS: 544/132.000  
IC [7]  
ICM: A61K031-5377  
ICS: C07D413-02  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 5 OF 13 USPATFULL  
AN 2001:160986 USPATFULL  
TI Use of sulfamate derivatives for treating impulse control disorders  
IN McElroy, Susan L., Cincinnati, OH, United States  
PI US 2001023254 A1 20010920  
US 6323236 B2 20011127  
AI US 2000-506991 A1 20000218 (9)  
DT Utility  
FS APPLICATION  
LN.CNT 933  
INCL INCLM: 514/439.000  
NCL NCLM: 514/439.000  
NCLS: 514/455.000; 514/459.000; 514/463.000  
IC [7]  
ICM: A61K031-385  
ICS: A01N043-26  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 6 OF 13 USPATFULL  
AN 2001:90260 USPATFULL  
TI Fatty acid-pharmaceutical agent conjugates  
IN Webb, Nigel L., Bryn Mawr, PA, United States  
Bradley, Matthews O., Laytonsville, MD, United States  
Swindell, Charles S., Merion, PA, United States  
Shashoua, Victor E., Brookline, MA, United States  
PI US 2001002404 A1 20010531  
AI US 2000-730450 A1 20001205 (9)  
RLI Continuation of Ser. No. US 1996-651428, filed on 22 May 1996, ABANDONED  
DT Utility  
FS APPLICATION  
LN.CNT 2511  
INCL INCLM: 514/560.000  
INCLS: 514/558.000  
NCL NCLM: 514/560.000  
NCLS: 514/558.000  
IC [7]  
ICM: A61K031-20  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 7 OF 13 USPATFULL  
AN 2001:67821 USPATFULL  
TI Polymorphic form of a tachykinin receptor antagonist  
IN Crocker, Louis, Belle Mead, NJ, United States  
McCauley, James, Belle Mead, NJ, United States  
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)  
PI US 6229010 B1 20010508  
AI US 1999-458168 19991209 (9)  
RLI Division of Ser. No. US 1998-212511, filed on 15 Dec 1998, now patented,  
Pat. No. US 6096742  
PRAI US 1997-51600P 19970702 (60)  
DT Utility  
FS Granted

LN.CNT 2023  
INCL INCLM: 544/132.000  
NCL NCLM: 544/132.000  
IC [7]  
ICM: C07D413-00  
EXF 544/132  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 8 OF 13 USPATFULL  
AN 2001:52070 USPATFULL  
TI Substituted 3-(benzylamino)piperidine derivatives and their use as  
therapeutic agents  
IN Elliott, Jason Matthew, Felsted, United Kingdom  
PA Merck Sharp & Dohme Limited, Hoddesdon, United States (non-U.S.  
corporation)  
PI US 6214846 B1 20010410  
WO 9900368 19990107  
AI US 1999-445664 19991209 (9)  
WO 1998-GB1856 19980623  
19991209 PCT 371 date  
19991209 PCT 102(e) date  
PRAI GB 1997-13715 19970627  
GB 1997-20998 19971003

DT Utility  
FS Granted

LN.CNT 1317  
INCL INCLM: 514/331.000  
INCLS: 514/314.000; 514/329.000; 546/223.000  
NCL NCLM: 514/331.000  
NCLS: 514/314.000; 514/329.000; 546/223.000  
IC [7]  
ICM: C07D211-56  
ICS: A61K031-445  
EXF 514/314; 514/329; 514/331; 546/223  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 9 OF 13 USPATFULL  
AN 2001:33261 USPATFULL  
TI Clozapine compositions and uses thereof  
IN Bradley, Matthews O., Laytonsville, MD, United States  
Shashoua, Victor E., Belmont, MA, United States  
Swindell, Charles S., Merion, PA, United States  
Webb, Nigel L., Bryn Mawr, PA, United States  
PA Protarga, Inc., Conshohocken, PA, United States (U.S. corporation)  
PI US 6197764 B1 20010306  
AI US 1997-978541 19971126 (8)  
DT Utility  
FS Granted

LN.CNT 770  
INCL INCLM: 514/218.000  
INCLS: 514/219.000; 514/220.000  
NCL NCLM: 514/218.000  
NCLS: 514/219.000; 514/220.000  
IC [7]  
ICM: A61K031-00  
EXF 514/218; 514/219; 514/220  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 10 OF 13 USPATFULL  
AN 2000:142390 USPATFULL  
TI 1-piperidinyl-propan-2-derivatives and their use as therapeutic agents  
IN MacLeod, Angus Murray, Bishops Stortford, United Kingdom

Swain, Christopher John, Duxford, United Kingdom  
van Niel, Monique Bodil, Welwyn Garden City, United Kingdom  
PA Merck Sharp & Dohme Ltd., Hoddesdon, United Kingdom (non-U.S.  
corporation)

PI US 6136824 20001024  
AI US 2000-511002 20000222 (9)  
PRAI GB 1999-4786 19990203

DT Utility  
FS Granted

LN.CNT 1626

INCL INCLM: 514/317.000  
INCLS: 546/192.000

NCL NCLM: 514/317.000  
NCLS: 546/192.000

IC [7]  
ICM: A01N043-40

EXF 546/190; 514/317

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 11 OF 13 USPATFULL

AN 2000:98427 USPATFULL

TI Polymorphic form of a tachykinin receptor antagonist

IN Crocker, Louis, Belle Mead, NJ, United States

McCauley, James, Belle Mead, NJ, United States

PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

PI US 6096742 20000801

AI US 1998-212511 19981215 (9)

RLI Continuation of Ser. No. US 1998-108567, filed on 1 Jul 1998, now  
abandoned

DT Utility  
FS Granted

LN.CNT 2018

INCL INCLM: 514/241.000  
INCLS: 544/132.000; 514/236.200

NCL NCLM: 514/241.000  
NCLS: 514/236.200; 544/132.000

IC [7]  
ICM: A61K031-53

EXF 544/132; 514/236.2

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 12 OF 13 USPATFULL

AN 1999:113745 USPATFULL

TI Fatty acid-antipsychotic compositions and uses thereof

IN Bradley, Matthews O., Laytonsville, MD, United States

Shashoua, Victor E., Belmont, MA, United States

Swindell, Charles S., Merion, PA, United States

Webb, Nigel L., Bryn Mawr, PA, United States

PA Neuromedica, Inc., Conshohocken, PA, United States (U.S. corporation)

PI US 5955459 19990921

AI US 1997-979312 19971126 (8)

DT Utility  
FS Granted

LN.CNT 870

INCL INCLM: 514/220.000  
INCLS: 514/234.000; 514/255.000; 514/321.000

NCL NCLM: 514/220.000  
NCLS: 514/232.800; 514/252.150; 514/255.010; 514/259.400; 514/321.000

IC [6]  
ICM: A61R031-395

EXF 514/220; 514/234; 514/255; 514/321

L1 ANSWER 13 OF 13 USPATFULL  
 AN 1998:98932 USPATFULL  
 TI DHA-pharmaceutical agent conjugates of taxanes  
 IN Shashoua, Victor E., Brookline, MA, United States  
 Swindell, Charles S., Merion, PA, United States  
 Webb, Nigel L., Bryn Mawr, PA, United States  
 Bradley, Matthews O., Laytonsville, MD, United States  
 PA Neuromedica, Inc., Conshohocken, PA, United States (U.S. corporation)  
 PI US 5795909 19980818  
 AI US 1996-651312 19960522 (8)  
 DT Utility  
 FS Granted  
 LN.CNT 2451  
 INCL INCLM: 514/449.000  
 INCLS: 514/549.000  
 NCL NCLM: 514/449.000  
 NCLS: 514/549.000  
 IC [6]  
 ICM: A61K031-335  
 ICS: A61K031-22  
 EXF 514/449; 514/549  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> file medicine

FILE 'DRUGMONOG' ACCESS NOT AUTHORIZED

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

20.47

20.68

FILE 'ADISALERTS' ENTERED AT 10:11:30 ON 14 MAY 2002

COPYRIGHT (C) 2002 Adis International Ltd. (ADIS)

FILE 'ADISINSIGHT' ENTERED AT 10:11:30 ON 14 MAY 2002

COPYRIGHT (C) 2002 Adis International Ltd. (ADIS)

FILE 'ADISNEWS' ENTERED AT 10:11:30 ON 14 MAY 2002

COPYRIGHT (C) 2002 Adis International Ltd. (ADIS)

FILE 'BIOSIS' ENTERED AT 10:11:30 ON 14 MAY 2002

COPYRIGHT (C) 2002 BIOLOGICAL ABSTRACTS INC.(R)

FILE 'BIOTECHNO' ENTERED AT 10:11:30 ON 14 MAY 2002

COPYRIGHT (C) 2002 Elsevier Science B.V., Amsterdam. All rights reserved.

FILE 'CANCERLIT' ENTERED AT 10:11:30 ON 14 MAY 2002

FILE 'CAPLUS' ENTERED AT 10:11:30 ON 14 MAY 2002

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'CEN' ENTERED AT 10:11:30 ON 14 MAY 2002

COPYRIGHT (C) 2002 American Chemical Society (ACS)

FILE 'DDFB' ACCESS NOT AUTHORIZED

FILE 'DDFU' ACCESS NOT AUTHORIZED

FILE 'DGENE' ENTERED AT 10:11:30 ON 14 MAY 2002

COPYRIGHT (C) 2002 THOMSON DERWENT



FILE 'DRUGB' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 THOMSON DERWENT

FILE 'DRUGLAUNCH' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 IMSWORLD Publications Ltd

FILE 'DRUGMONOG2' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 IMSWORLD Publications Ltd

FILE 'DRUGNL' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 IMSWORLD Publications Ltd

FILE 'DRUGU' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 THOMSON DERWENT

FILE 'EMBAL' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 Elsevier Science B.V. All rights reserved.

FILE 'EMBASE' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 Elsevier Science B.V. All rights reserved.

FILE 'ESBIOBASE' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 Elsevier Science B.V., Amsterdam. All rights reserved.

FILE 'IFIPAT' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 IFI CLAIMS(R) Patent Services (IFI)

FILE 'IPA' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 American Society of Hospital Pharmacists (ASHP)

FILE 'JICST-EPLUS' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 Japan Science and Technology Corporation (JST)

FILE 'KOSMET' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 International Federation of the Societies of Cosmetics Chemists

FILE 'LIFESCI' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 Cambridge Scientific Abstracts (CSA)

FILE 'MEDICONF' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (c) 2002 FAIRBASE Datenbank GmbH, Hannover, Germany

FILE 'MEDLINE' ENTERED AT 10:11:30 ON 14 MAY 2002

FILE 'NAPRALERT' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 Board of Trustees of the University of Illinois,  
University of Illinois at Chicago.

FILE 'NLDB' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 Gale Group. All rights reserved.

FILE 'PASCAL' ENTERED AT 10:11:30 ON 14 MAY 2002  
Any reproduction or dissemination in part or in full,  
by means of any process and on any support whatsoever  
is prohibited without the prior written agreement of INIST-CNRS.  
COPYRIGHT (C) 2002 INIST-CNRS. All rights reserved.

FILE 'PHIC' ENTERED AT 10:11:30 ON 14 MAY 2002  
COPYRIGHT (C) 2002 PJB Publications Ltd. (PJB)

FILE 'PHIN' ENTERED AT 10:11:30 ON 14 MAY 2002

COPYRIGHT (C) 2002 PJB Publications Ltd. (PJB)

FILE 'SCISEARCH' ENTERED AT 10:11:30 ON 14 MAY 2002

COPYRIGHT (C) 2002 Institute for Scientific Information (ISI) (R)

FILE 'TOXCENTER' ENTERED AT 10:11:30 ON 14 MAY 2002

COPYRIGHT (C) 2002 ACS

FILE 'USPATFULL' ENTERED AT 10:11:30 ON 14 MAY 2002

CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:11:30 ON 14 MAY 2002

CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> s olanzapine

L3 14334 OLANZAPINE

=> s l3 and pd<1994

4 FILES SEARCHED...

'1994' NOT A VALID FIELD CODE

9 FILES SEARCHED...

'1994' NOT A VALID FIELD CODE

'1994' NOT A VALID FIELD CODE

16 FILES SEARCHED...

20 FILES SEARCHED...

'1994' NOT A VALID FIELD CODE

'1994' NOT A VALID FIELD CODE

'1994' NOT A VALID FIELD CODE

'1994' NOT A VALID FIELD CODE

26 FILES SEARCHED...

'1994' NOT A VALID FIELD CODE

'1994' NOT A VALID FIELD CODE

31 FILES SEARCHED...

L4 24 L3 AND PD<1994

=> d l4 1-24

L4 ANSWER 1 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)

AN 1993:45907 ADISALERTS

DN 800241950

TI **olanzapine**: pharmacological characteristics and first clinical experiences

AU Dittmann R W

SO Pharmacopsychiatry (**Sep 1, 1993**), Vol. 26, pp. 147

DT (Animal); Abstract

RE Psychotic Disorders (Index only): Alert no. 3, 1994

FS Citation

LA English

L4 ANSWER 2 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)

AN 1993:44540 ADISALERTS

DN 800215119

TI The disposition of **olanzapine** in healthy volunteers

AU Obermeyer B D; Nyhart Jr E H; Mattiuz E L; et al

SO Pharmacologist (**Jan 1, 1993**), Vol. 35, No. 3, pp. 176

DT (Volunteers); Abstract

RE Psychotic Disorders (Index only): Alert no. 5, 1994

FS Citation

LA English

L4 ANSWER 3 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)

AN 1993:38216 ADISALERTS

DN 800245800  
 TI The preclinical pharmacology of **olanzapine** a novel antipsychotic  
 AU Wong D T; Moore N A; Calligaro D O; et al  
 SO 9th World Congress of Psychiatry (**Jun 12, 1993**), pp. 190  
 DT (Animal); Abstract  
 RE Psychotic Disorders (Index only): Alert no. 7, 1993  
 FS Citation  
 LA English

L4 ANSWER 4 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)  
 AN 1993:32800 ADISALERTS  
 DN 800210285  
 TI The pharmacology of **olanzapine** and other new antipsychotic agents  
 AU Moore N A; Calligaro D O; Wong D T; et al  
 SO Current Opinion in Investigational Drugs (**Apr 1, 1993**), Vol. 2, pp. 281-293  
 DT General Review  
 RE Psychotic Disorders (Index only): Alert no. 8, 1993  
 FS Citation  
 LA English

L4 ANSWER 5 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)  
 AN 1992:32627 ADISALERTS  
 DN 800155878  
 TI The behavioral pharmacology of **olanzapine**, a novel atypical antipsychotic agent  
 ADIS TITLE: **Olanzapine**: pharmacodynamics.; Behavioural pharmacology; Animal study  
 AU Moore N A; Tye N C; Axton M S; Risius F C  
 CS Eli Lilly and Co., Windlesham, Surrey, England  
 SO Journal of Pharmacology and Experimental Therapeutics (**Aug 1, 1992**), Vol. 262, pp. 545-551  
 DT (Animal)  
 RE Psychotic Disorders (Summary): Alert no. 10, 1992  
 FS Summary  
 LA English  
 WC 519

L4 ANSWER 6 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)  
 AN 1992:1016 ADISALERTS  
 DN 807001439  
 TI Neuroendocrine evidence for antagonism of serotonin and dopamine receptors by **olanzapine** (LY170053), an antipsychotic drug candidate  
 ADIS TITLE: **Olanzapine**: pharmacodynamics.; Neuroendocrine effects; Animal study  
 AU Fuller R W; Snoddy H D  
 CS Lilly Research Laboratories, Eli Lilly and Co., Indianapolis, Indiana, USA  
 SO Research Communications in Chemical Pathology and Pharmacology (**Jul 1, 1992**), Vol. 77, pp. 87-93  
 DT (Animal)  
 RE Psychotic Disorders (Summary): Alert no. 11, 1992  
 FS Summary  
 LA English  
 WC 357

L4 ANSWER 7 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.  
 AN 1994:139325 BIOSIS  
 DN PREV199497152325  
 TI **Olanzapine**: Pharmacological characteristics and first clinical experiences.  
 AU Dittmann, R. W.

CS Med. Dep., Lilly Ger., Saalburgstr. 153, D-6380 Bad Homburg Germany  
 SO Pharmacopsychiatry, (1993) Vol. 26, No. 5, pp. 147.  
 Meeting Info.: 18th Symposium of AGNP (Study Group Neuropsychopharmacology  
 and Pharmacopsychiatry) Nuremberg, Germany October 6-9, 1993  
 ISSN: 0176-3679.  
 DT Conference  
 LA English

L4 ANSWER 8 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.  
 AN 1994:7091 BIOSIS  
 DN PREV199497020091  
 TI Effects of **olanzapine** and other antipsychotics on responding  
 maintained by a conflict schedule.  
 AU Moore, N. A.; Rees, G.; Sanger, G.; Tye, N. C.  
 CS Lilly Research Centre, Eli Lilly Co., Windlesham, Surrey GU20 6PH UK  
 SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 757.  
 Meeting Info.: 23rd Annual Meeting of the Society for Neuroscience  
 Washington, D.C., USA November 7-12, 1993  
 ISSN: 0190-5295.  
 DT Conference  
 LA English

L4 ANSWER 9 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.  
 AN 1994:4914 BIOSIS  
 DN PREV199497017914  
 TI A comparison of **olanzapine** and clozapine effects on dopamine  
 neuronal activity: An electrophysiological study.  
 AU Stockton, M. E.; Rasmussen, K.  
 CS Lilly Res. Labs, Eli Lilly Co., Indianapolis, IN 46285 USA  
 SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 383.  
 Meeting Info.: 23rd Annual Meeting of the Society for Neuroscience  
 Washington, D.C., USA November 7-12, 1993  
 ISSN: 0190-5295.  
 DT Conference  
 LA English

L4 ANSWER 10 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.  
 AN 1994:4913 BIOSIS  
 DN PREV199497017913  
 TI Effect of **olanzapine** on rat brain receptor binding,  
 acetylcholine levels and monoamine turnover.  
 AU Hemrick-Luecke, S. K. (1); Bymaster, F. P.; Falcone, J. F.; Moore, N. A.;  
 Tye, N. C.; Fuller, R. W.  
 CS (1) Lilly Res. Lab., Eli Lilly Co., Lilly Corp. Cent., Indianapolis, IN  
 46285 USA  
 SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 383.  
 Meeting Info.: 23rd Annual Meeting of the Society for Neuroscience  
 Washington, D.C., USA November 7-12, 1993  
 ISSN: 0190-5295.  
 DT Conference  
 LA English

L4 ANSWER 11 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.  
 AN 1994:3124 BIOSIS  
 DN PREV199497016124  
 TI The pharmacology of some novel 10-substituted derivatives of  
**olanzapine**.  
 AU Tupper, D. E. (1); Bymaster, F. P.; Calligaro, D. O.; Fairhurst, J.;  
 Hotten, T. M.; Wong, D. T.  
 CS (1) Lilly Research Centre Ltd., Eli Lilly Co., Erl Wood Manor, Windlesham  
 Surrey GU20 6PH UK  
 SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 75.

Meeting Info.: 23rd Annual Meeting of the Society for Neuroscience  
Washington, D.C., USA November 7-12, 1993  
ISSN: 0190-5295.

DT Conference  
LA English

L4 ANSWER 12 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.  
AN 1993:145631 BIOSIS  
DN PREV199395078431  
TI The behavioral pharmacology of **olanzapine**, a novel "atypical"  
antipsychotic agent.  
AU Moore, Nicholas A. (1); Tye, Nicholas C.; Axton, Michele S.; Risius,  
Francesca C.  
CS (1) Lilly Research Centre, Eli Lilly and Co., Erl Wood Manor, Windlesham,  
Surrey GU20 6PH UK  
SO Journal of Pharmacology and Experimental Therapeutics, (1992) Vol. 262,  
No. 2, pp. 545-551.  
ISSN: 0022-3565.  
DT Article  
LA English

L4 ANSWER 13 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.  
AN 1992:458864 BIOSIS  
DN BA94:100264  
TI NEUROENDOCRINE EVIDENCE FOR ANTAGONISM OF SEROTONIN AND DOPAMINE RECEPTORS  
BY **OLANZAPINE** LY170053 AN ANTIPSYCHOTIC DRUG CANDIDATE.  
AU FULLER R W; SNODDY H D  
CS LILLY RES. LAB., ELI LILLY CO., LILLY CORP. CENT., INDIANAPOLIS, INDIANA  
46285, USA.  
SO RES COMMUN CHEM PATHOL PHARMACOL, (1992) 77 (1), 87-93.  
CODEN: RCOCB8. ISSN: 0034-5164.  
FS BA; OLD  
LA English

L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2002 ACS  
AN 1997:169158 CAPLUS  
DN 126:242879  
TI **Olanzapine** for the treatment of psychological conditions  
IN Beasley, Charles M., Jr.; Chakrabarti, Jiban K.; Hotten, Terrence M.;  
Tupper, David E.  
PA Eli Lilly and Company, USA; Lilly Industries Ltd.  
SO U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 44,844, abandoned.  
CODEN: USXXAM  
DT Patent  
LA English  
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5605897	A	19970225	US 1995-387498	19950213
	US 5229382	A	19930720	US 1992-890348	19920522 <--
	US 5817656	A	19981006	US 1996-748293	19961113
	US 5817657	A	19981006	US 1996-748294	19961113
PRAI	US 1991-690143		19910423		
	US 1992-890348		19920522		
	US 1993-44844		19930408		
	GB 1990-9229		19900425		
	US 1995-387498		19950213		

L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2002 ACS  
AN 1992:605003 CAPLUS  
DN 117:205003  
TI Neuroendocrine evidence for antagonism of serotonin and dopamine receptors

by **olanzapine** (LY170053), an antipsychotic drug candidate  
AU Fulle, Ray W.; Snoddy, Harold D.  
CS Lilly Corporate Cent., Eli Lilly and Co., Indianapolis, IN, 46285, USA  
SO Res. Commun. Chem. Pathol. Pharmacol. (1992), 77(1), 87-93  
CODEN: RCOCB8; ISSN: 0034-5164  
DT Journal  
LA English

L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2002 ACS  
AN 1992:584704 CAPLUS  
DN 117:184704  
TI The behavioral pharmacology of **olanzapine**, a novel "atypical"  
antipsychotic agent  
AU Moore, Nicholas A.; Tye, Nicholas C.; Axton, Michele S.; Risius, Francesca  
C.  
CS Lilly Res. Cent., Eli Lilly and Co., Windlesham/Surrey, UK  
SO J. Pharmacol. Exp. Ther. (1992), 262(2), 545-51  
CODEN: JPETAB; ISSN: 0022-3565  
DT Journal  
LA English

L4 ANSWER 17 OF 24 DRUGNL COPYRIGHT 2002 IMSWORLD

ACCESSION NUMBER: 93:883 DRUGNL  
TITLE: Products Nearing the Market with Lilly  
SOURCE: R&D Focus Drug News (6 Sep 1993).  
WORD COUNT: 379

L4 ANSWER 18 OF 24 DRUGNL COPYRIGHT 2002 IMSWORLD

ACCESSION NUMBER: 92:813 DRUGNL  
TITLE: Spotlight on Lilly  
SOURCE: R&D Focus Drug News (7 Sep 1992).  
WORD COUNT: 268

L4 ANSWER 19 OF 24 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.  
AN 93355899 EMBASE  
DN 1993355899  
TI Understanding the mechanism of action of atypical antipsychotic drugs. A  
review of compounds in use and development.  
AU Lieberman J.A.  
CS Department of Psychiatry, Hillside Hospital, Div. Long Island Jewish Med.  
Center, PO Box 38, Glen Oaks, NY 11004, United States  
SO British Journal of Psychiatry, (1993) 163/DEC. SUPPL. 22 (7-18).  
ISSN: 0007-1250 CODEN: BJPYAJ  
CY United Kingdom  
DT Journal; Conference Article  
FS 032 Psychiatry  
037 Drug Literature Index  
LA English  
SL English

L4 ANSWER 20 OF 24 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.  
AN 92275156 EMBASE  
DN 1992275156  
TI The behavioral pharmacology of **olanzapine**, a novel 'atypical'  
antipsychotic agent.  
AU Moore N.A.; Tye N.C.; Axton M.S.; Risius F.C.  
CS Eli Lilly and Co., Lilly Research Centre, Erl Wood Manor, Windlesham,  
Surrey GU20 6PH, United Kingdom  
SO Journal of Pharmacology and Experimental Therapeutics, (1992)  
262/2 (545-551).

ISSN: 0022-3565 CODEN: JPETAB

CY United States  
DT Journal; Article  
FS 002 Physiology  
030 Pharmacology  
032 Psychiatry  
037 Drug Literature Index  
LA English  
SL English

L4 ANSWER 21 OF 24 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.  
AN 92247078 EMBASE  
DN 1992247078  
TI Neuroendocrine evidence for antagonism of serotonin and dopamine receptors  
by **olanzapine** (LY170053), an antipsychotic drug candidate.  
AU Fuller R.W.; Snoddy H.D.  
CS Lilly Research Laboratories, Lilly Corporate Center, Eli Lilly and  
Company, Indianapolis, IN 46285, United States  
SO Research Communications in Chemical Pathology and Pharmacology, (  
1992) 77/1 (87-93).  
ISSN: 0034-5164 CODEN: RCOCB8

CY United States  
DT Journal; Article  
FS 030 Pharmacology  
032 Psychiatry  
037 Drug Literature Index  
LA English  
SL English

L4 ANSWER 22 OF 24 SCISEARCH COPYRIGHT 2002 ISI (R)  
AN 92:486655 SCISEARCH  
GA The Genuine Article (R) Number: JH661  
TI THE BEHAVIORAL PHARMACOLOGY OF **OLANZAPINE**, A NOVEL ATYPICAL  
ANTIPSYCHOTIC AGENT  
AU MOORE N A (Reprint); TYE N C; AXTON M S; RISIUS F C  
CS ELI LILLY & CO, LILLY RES CTR, ERL WOOD MANOR, WINDLESHAM GU20 GPH,  
SURREY, ENGLAND (Reprint)  
CYA ENGLAND  
SO JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, (AUG 1992  
) Vol. 262, No. 2, pp. 545-551.  
ISSN: 0022-3565.

DT Article; Journal  
FS LIFE  
LA ENGLISH  
REC Reference Count: 30  
\*ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS\*

L4 ANSWER 23 OF 24 SCISEARCH COPYRIGHT 2002 ISI (R)  
AN 92:468997 SCISEARCH  
GA The Genuine Article (R) Number: JG220  
TI NEUROENDOCRINE EVIDENCE FOR ANTAGONISM OF SEROTONIN AND DOPAMINE-RECEPTORS  
BY **OLANZAPINE** (LY170053), AN ANTIPSYCHOTIC DRUG CANDIDATE  
AU FULLER R W (Reprint); SNODDY H D  
CS ELI LILLY & CO, LILLY RES LABS, LILLY CORP CTR, INDIANAPOLIS, IN, 46285  
(Reprint)  
CYA USA  
SO RESEARCH COMMUNICATIONS IN CHEMICAL PATHOLOGY AND PHARMACOLOGY, (JUL  
1992) Vol. 77, No. 1, pp. 87-93.  
ISSN: 0034-5164.

DT Article; Journal  
FS LIFE  
LA ENGLISH

REC Reference Count: 15  
\*ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS\*

L4 ANSWER 24 OF 24 TOXCENTER COPYRIGHT 2002 ACS  
AN 1992:55845 TOXCENTER  
DN 92364864 PubMed ID: 1354253  
TI The behavioral pharmacology of **olanzapine**, a novel "atypical"  
antipsychotic agent  
AU Moore N A; Tye N C; Axton M S; Risius F C  
CS Lilly Research Centre, Eli Lilly and Co., Windlesham, Surrey, United  
Kingdom  
SO JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, (1992 Aug  
) 262 (2) 545-51.  
Journal Code: JP3; 0376362. ISSN: 0022-3565.  
CY United States  
DT Journal; Article; (JOURNAL ARTICLE)  
FS MEDLINE  
OS MEDLINE 92364864  
LA English  
ED Entered STN: 20011116  
Last Updated on STN: 20011116

=> d l4 kwic 24

L4 ANSWER 24 OF 24 TOXCENTER COPYRIGHT 2002 ACS  
TI The behavioral pharmacology of **olanzapine**, a novel "atypical"  
antipsychotic agent  
SO JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, (1992 Aug  
) 262 (2) 545-51.  
Journal Code: JP3; 0376362. ISSN: 0022-3565.  
AB **Olanzapine** (LY170053, 2-methyl-4-(4-methyl-1-piperazinyl)-10H-  
thieno[2,3-b][1,5] benzodiazepine) is a novel "atypical" antipsychotic  
agent with 5-hydroxytryptamine<sub>2</sub> dopamine D1/D2 antagonist activity and  
anticholinergic properties. In behavioral studies, **olanzapine**  
(1.25-10 mg/kg, p.o.) antagonizes apomorphine-induced climbing behavior in  
mice, demonstrating that the compound possesses D1/D2 antagonist activity  
in vivo. **Olanzapine** (0.3-20 mg/kg, p.o.) antagonizes  
5-hydroxytryptophan-induced head twitches in mice at doses much lower than  
those required to block the climbing response, confirming that in vivo,  
the compound is a more potent 5-hydroxytryptamine<sub>2</sub> antagonist than  
dopamine antagonist. **Olanzapine** (2.5-10 mg/kg, p.o.) also  
antagonized oxotremorine-induced tremor in mice. In a conditioned  
avoidance paradigm in rats, **olanzapine** inhibits the avoidance  
response with an ED<sub>50</sub> of 4.7 mg/kg p.o; however, unlike other  
antipsychotic agents, catalepsy is only observed. . . p.o.). These  
data would suggest that the compound will be less likely to produce  
undesirable extrapyramidal symptoms. Unlike "typical" antipsychotics,  
**olanzapine** (1.25-5 mg/kg p.o.) increases responding during the  
conflict component of a modified Geller Seifter test, demonstrating that  
the compound may also possess anxiolytic activity. In another series of  
experiments, **olanzapine** (1.25 mg/kg, i.p.) produced  
clozapine-appropriate responding in a drug discrimination model in which  
animals had been trained to discriminate clozapine (5 mg/kg, i.p.) from  
vehicle. On the basis of these results, it would therefore be predicted  
that **olanzapine** will have an atypical profile and will be less  
likely to induce undesirable extrapyramidal symptoms than currently  
available drugs.  
RN 132539-06-1 (**olanzapine**)  
28797-61-7 (Pirenzepine)  
58-00-4 (Apomorphine)



=> d His

(FILE 'HOME' ENTERED AT 10:06:09 ON 14 MAY 2002)

FILE 'USPATFULL' ENTERED AT 10:06:42 ON 14 MAY 2002

L1 13 S OLANZAPINE AND DEPRESSANT  
L2 0 S L1 AND PD<1995

FILE 'ADISALERTS, ADISINSIGHT, ADISNEWS, BIOSIS, BIOTECHNO, CANCERLIT, CAPLUS, CEN, DGENE, DRUGB, DRUGLAUNCH, DRUGMONOG2, DRUGNL, DRUGU, EMBAL, EMBASE, ESBIODBASE, IFIPAT, IPA, JICST-EPLUS, KOSMET, LIFESCI, MEDICONF, MEDLINE, NAPRALERT, NLDB, PASCAL, ...' ENTERED AT 10:11:30 ON 14 MAY 2002

L3 14334 S OLANZAPINE  
L4 24 S L3 AND PD<1994

=> s l4 and pain

L5 0 L4 AND PAIN

=> s l4 and depressant?

L6 0 L4 AND DEPRESSANT?

=> d l4 1-24

L4 ANSWER 1 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)  
AN 1993:45907 ADISALERTS  
DN 800241950  
TI **Olanzapine**: pharmacological characteristics and first clinical experiences  
AU Dittmann R W  
SO Pharmacopsychiatry (**Sep 1, 1993**), Vol. 26, pp. 147  
DT (Animal); Abstract  
RE Psychotic Disorders (Index only): Alert no. 3, 1994  
FS Citation  
LA English

L4 ANSWER 2 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)  
AN 1993:44540 ADISALERTS  
DN 800215119  
TI The disposition of **olanzapine** in healthy volunteers  
AU Obermeyer B D; Nyhart Jr E H; Mattiuz E L; et al  
SO Pharmacologist (**Jan 1, 1993**), Vol. 35, No. 3, pp. 176  
DT (Volunteers); Abstract  
RE Psychotic Disorders (Index only): Alert no. 5, 1994  
FS Citation  
LA English

L4 ANSWER 3 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)  
AN 1993:38216 ADISALERTS  
DN 800245800  
TI The preclinical pharmacology of **olanzapine** a novel antipsychotic  
AU Wong D T; Moore N A; Calligaro D O; et al  
SO 9th World Congress of Psychiatry (**Jun 12, 1993**), pp. 190  
DT (Animal); Abstract  
RE Psychotic Disorders (Index only): Alert no. 7, 1993  
FS Citation  
LA English

L4 ANSWER 4 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)  
AN 1993:32800 ADISALERTS  
DN 800210285  
TI The pharmacology of **olanzapine** and other new antipsychotic

agents

AU Moore N A; Calligaro D O; Wong D T; et al

SO Current Opinion in Investigational Drugs (**Apr 1, 1993**), Vol. 2, pp. 281-293

DT General Review

RE Psychotic Disorders (Index only): Alert no. 8, 1993

FS Citation

LA English

L4 ANSWER 5 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)

AN 1992:32627 ADISALERTS

DN 800155878

TI The behavioral pharmacology of **olanzapine**, a novel atypical antipsychotic agent

ADIS TITLE: **Olanzapine**: pharmacodynamics.; Behavioural pharmacology; Animal study

AU Moore N A; Tye N C; Axton M S; Risius F C

CS Eli Lilly and Co., Windlesham, Surrey, England

SO Journal of Pharmacology and Experimental Therapeutics (**Aug 1, 1992**), Vol. 262, pp. 545-551

DT (Animal)

RE Psychotic Disorders (Summary): Alert no. 10, 1992

FS Summary

LA English

WC 519

L4 ANSWER 6 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)

AN 1992:1016 ADISALERTS

DN 807001439

TI Neuroendocrine evidence for antagonism of serotonin and dopamine receptors by **olanzapine** (LY170053), an antipsychotic drug candidate

ADIS TITLE: **Olanzapine**: pharmacodynamics.; Neuroendocrine effects; Animal study

AU Fuller R W; Snoddy H D

CS Lilly Research Laboratories, Eli Lilly and Co., Indianapolis, Indiana, USA

SO Research Communications in Chemical Pathology and Pharmacology (**Jul 1, 1992**), Vol. 77, pp. 87-93

DT (Animal)

RE Psychotic Disorders (Summary): Alert no. 11, 1992

FS Summary

LA English

WC 357

L4 ANSWER 7 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.

AN 1994:139325 BIOSIS

DN PREV199497152325

TI **Olanzapine**: Pharmacological characteristics and first clinical experiences.

AU Dittmann, R. W.

CS Med. Dep., Lilly Ger., Saalburgstr. 153, D-6380 Bad Homburg Germany

SO Pharmacopsychiatry, (1993) Vol. 26, No. 5, pp. 147.

Meeting Info.: 18th Symposium of AGNP (Study Group Neuropsychopharmacology and Pharmacopsychiatry) Nuremberg, Germany October 6-9, 1993

ISSN: 0176-3679.

DT Conference

LA English

L4 ANSWER 8 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.

AN 1994:7091 BIOSIS

DN PREV199497020091

TI Effects of **olanzapine** and other antipsychotics on responding maintained by a conflict schedule.

AU Moore, N. A.; Rees, G.; Sanger, G.; Tye, N. C.  
 CS Lilly Research Centre, Eli Lilly Co., Windlesham, Surrey GU20 6PH UK  
 SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 757.  
 Meeting Info.: 23rd Annual Meeting of the Society for Neuroscience  
 Washington, D.C., USA November 7-12, 1993  
 ISSN: 0190-5295.  
 DT Conference  
 LA English

L4 ANSWER 9 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.  
 AN 1994:4914 BIOSIS  
 DN PREV199497017914  
 TI A comparison of **olanzapine** and clozapine effects on dopamine  
 neuronal activity: An electrophysiological study.  
 AU Stockton, M. E.; Rasmussen, K.  
 CS Lilly Res. Labs, Eli Lilly Co., Indianapolis, IN 46285 USA  
 SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 383.  
 Meeting Info.: 23rd Annual Meeting of the Society for Neuroscience  
 Washington, D.C., USA November 7-12, 1993  
 ISSN: 0190-5295.  
 DT Conference  
 LA English

L4 ANSWER 10 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.  
 AN 1994:4913 BIOSIS  
 DN PREV199497017913  
 TI Effect of **olanzapine** on rat brain receptor binding,  
 acetylcholine levels and monoamine turnover.  
 AU Hemrick-Luecke, S. K. (1); Bymaster, F. P.; Falcone, J. F.; Moore, N. A.;  
 Tye, N. C.; Fuller, R. W.  
 CS (1) Lilly Res. Lab., Eli Lilly Co., Lilly Corp. Cent., Indianapolis, IN  
 46285 USA  
 SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 383.  
 Meeting Info.: 23rd Annual Meeting of the Society for Neuroscience  
 Washington, D.C., USA November 7-12, 1993  
 ISSN: 0190-5295.  
 DT Conference  
 LA English

L4 ANSWER 11 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.  
 AN 1994:3124 BIOSIS  
 DN PREV199497016124  
 TI The pharmacology of some novel 10-substituted derivatives of  
**olanzapine**.  
 AU Tupper, D. E. (1); Bymaster, F. P.; Calligaro, D. O.; Fairhurst, J.;  
 Hotten, T. M.; Wong, D. T.  
 CS (1) Lilly Research Centre Ltd., Eli Lilly Co., Erl Wood Manor, Windlesham  
 Surrey GU20 6PH UK  
 SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 75.  
 Meeting Info.: 23rd Annual Meeting of the Society for Neuroscience  
 Washington, D.C., USA November 7-12, 1993  
 ISSN: 0190-5295.  
 DT Conference  
 LA English

L4 ANSWER 12 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.  
 AN 1993:145631 BIOSIS  
 DN PREV199395078431  
 TI The behavioral pharmacology of **olanzapine**, a novel "atypical"  
 antipsychotic agent.  
 AU Moore, Nicholas A. (1); Tye, Nicholas C.; Axton, Michele S.; Risius,  
 Francesca C.

CS (1) Lilly Research Centre, Eli Lilly and Co., Erl Wood Manor, Windlesham,  
Surrey GU20 6PH UK  
SO Journal of Pharmacology and Experimental Therapeutics, (1992) Vol. 262,  
No. 2, pp. 545-551.  
ISSN: 0022-3565.  
DT Article  
LA English

L4 ANSWER 13 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.  
AN 1992:458864 BIOSIS  
DN BA94:100264  
TI NEUROENDOCRINE EVIDENCE FOR ANTAGONISM OF SEROTONIN AND DOPAMINE RECEPTORS  
BY **OLANZAPINE** LY170053 AN ANTIPSYCHOTIC DRUG CANDIDATE.  
AU FULLER R W; SNODDY H D  
CS LILLY RES. LAB., ELI LILLY CO., LILLY CORP. CENT., INDIANAPOLIS, INDIANA  
46285, USA.  
SO RES COMMUN CHEM PATHOL PHARMACOL, (1992) 77 (1), 87-93.  
CODEN: RCOCB8. ISSN: 0034-5164.  
FS BA; OLD  
LA English

L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2002 ACS  
AN 1997:169158 CAPLUS  
DN 126:242879  
TI **Olanzapine** for the treatment of psychological conditions  
IN Beasley, Charles M., Jr.; Chakrabarti, Jiban K.; Hotten, Terrence M.;  
Tupper, David E.  
PA Eli Lilly and Company, USA; Lilly Industries Ltd.  
SO U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 44,844, abandoned.  
CODEN: USXXAM  
DT Patent  
LA English  
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5605897	A	19970225	US 1995-387498	19950213
	US 5229382	A	19930720	US 1992-890348	19920522 <--
	US 5817656	A	19981006	US 1996-748293	19961113
	US 5817657	A	19981006	US 1996-748294	19961113
PRAI	US 1991-690143		19910423		
	US 1992-890348		19920522		
	US 1993-44844		19930408		
	GB 1990-9229		19900425		
	US 1995-387498		19950213		

L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2002 ACS  
AN 1992:605003 CAPLUS  
DN 117:205003  
TI Neuroendocrine evidence for antagonism of serotonin and dopamine receptors  
by **olanzapine** (LY170053), an antipsychotic drug candidate  
AU Fulle, Ray W.; Snoddy, Harold D.  
CS Lilly Corporate Cent., Eli Lilly and Co., Indianapolis, IN, 46285, USA  
SO Res. Commun. Chem. Pathol. Pharmacol. (1992), 77(1), 87-93  
CODEN: RCOCB8; ISSN: 0034-5164  
DT Journal  
LA English

L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2002 ACS  
AN 1992:584704 CAPLUS  
DN 117:184704  
TI The behavioral pharmacology of **olanzapine**, a novel "atypical"  
antipsychotic agent

AU Moore, Nicholas A.; Tye, Nicholas C.; Axton, Michele S.; Risius, Francesca C.  
CS Lilly Res. Cent., Eli Lilly and Co., Windlesham/Surrey, UK  
SO J. Pharmacol. Exp. Ther. (1992), 262(2), 545-51  
CODEN: JPETAB; ISSN: 0022-3565  
DT Journal  
LA English

L4 ANSWER 17 OF 24 DRUGNL COPYRIGHT 2002 IMSWORLD

ACCESSION NUMBER: 93:883 DRUGNL  
TITLE: Products Nearing the Market with Lilly  
SOURCE: R&D Focus Drug News (6 Sep 1993).  
WORD COUNT: 379

L4 ANSWER 18 OF 24 DRUGNL COPYRIGHT 2002 IMSWORLD

ACCESSION NUMBER: 92:813 DRUGNL  
TITLE: Spotlight on Lilly  
SOURCE: R&D Focus Drug News (7 Sep 1992).  
WORD COUNT: 268

L4 ANSWER 19 OF 24 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.

AN 93355899 EMBASE  
DN 1993355899  
TI Understanding the mechanism of action of atypical antipsychotic drugs. A review of compounds in use and development.  
AU Lieberman J.A.  
CS Department of Psychiatry, Hillside Hospital, Div. Long Island Jewish Med. Center, PO Box 38, Glen Oaks, NY 11004, United States  
SO British Journal of Psychiatry, (1993) 163/DEC. SUPPL. 22 (7-18).  
ISSN: 0007-1250 CODEN: BJPYAJ  
CY United Kingdom  
DT Journal; Conference Article  
FS 032 Psychiatry  
037 Drug Literature Index  
LA English  
SL English

L4 ANSWER 20 OF 24 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.

AN 92275156 EMBASE  
DN 1992275156  
TI The behavioral pharmacology of **olanzapine**, a novel 'atypical' antipsychotic agent.  
AU Moore N.A.; Tye N.C.; Axton M.S.; Risius F.C.  
CS Eli Lilly and Co., Lilly Research Centre, Erl Wood Manor, Windlesham, Surrey GU20 6PH, United Kingdom  
SO Journal of Pharmacology and Experimental Therapeutics, (1992) 262/2 (545-551).  
ISSN: 0022-3565 CODEN: JPETAB  
CY United States  
DT Journal; Article  
FS 002 Physiology  
030 Pharmacology  
032 Psychiatry  
037 Drug Literature Index  
LA English  
SL English

L4 ANSWER 21 OF 24 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.

AN 92247078 EMBASE  
DN 1992247078

TI Neuroendocrine evidence for antagonism of serotonin and dopamine receptors by **olanzapine** (LY170053), an antipsychotic drug candidate.  
AU Fuller R.W.; Snoddy H.D.  
CS Lilly Research Laboratories, Lilly Corporate Center, Eli Lilly and Company, Indianapolis, IN 46285, United States  
SO Research Communications in Chemical Pathology and Pharmacology, ( **1992**) 77/1 (87-93).  
ISSN: 0034-5164 CODEN: RCOCB8  
CY United States  
DT Journal; Article  
FS 030 Pharmacology  
032 Psychiatry  
037 Drug Literature Index  
LA English  
SL English

L4 ANSWER 22 OF 24 SCISEARCH COPYRIGHT 2002 ISI (R)  
AN 92:486655 SCISEARCH  
GA The Genuine Article (R) Number: JH661  
TI THE BEHAVIORAL PHARMACOLOGY OF **OLANZAPINE**, A NOVEL ATYPICAL ANTIPSYCHOTIC AGENT  
AU MOORE N A (Reprint); TYE N C; AXTON M S; RISIUS F C  
CS ELI LILLY & CO, LILLY RES CTR, ERL WOOD MANOR, WINDLESHAM GU20 GPH, SURREY, ENGLAND (Reprint)  
CYA ENGLAND  
SO JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, (**AUG 1992**) Vol. 262, No. 2, pp. 545-551.  
ISSN: 0022-3565.  
DT Article; Journal  
FS LIFE  
LA ENGLISH  
REC Reference Count: 30  
\*ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS\*

L4 ANSWER 23 OF 24 SCISEARCH COPYRIGHT 2002 ISI (R)  
AN 92:468997 SCISEARCH  
GA The Genuine Article (R) Number: JG220  
TI NEUROENDOCRINE EVIDENCE FOR ANTAGONISM OF SEROTONIN AND DOPAMINE-RECEPTORS BY **OLANZAPINE** (LY170053), AN ANTIPSYCHOTIC DRUG CANDIDATE  
AU FULLER R W (Reprint); SNODDY H D  
CS ELI LILLY & CO, LILLY RES LABS, LILLY CORP CTR, INDIANAPOLIS, IN, 46285 (Reprint)  
CYA USA  
SO RESEARCH COMMUNICATIONS IN CHEMICAL PATHOLOGY AND PHARMACOLOGY, (**JUL 1992**) Vol. 77, No. 1, pp. 87-93.  
ISSN: 0034-5164.  
DT Article; Journal  
FS LIFE  
LA ENGLISH  
REC Reference Count: 15  
\*ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS\*

L4 ANSWER 24 OF 24 TOXCENTER COPYRIGHT 2002 ACS  
AN 1992:55845 TOXCENTER  
DN 92364864 PubMed ID: 1354253  
TI The behavioral pharmacology of **olanzapine**, a novel "atypical" antipsychotic agent  
AU Moore N A; Tye N C; Axton M S; Risius F C  
CS Lilly Research Centre, Eli Lilly and Co., Windlesham, Surrey, United Kingdom  
SO JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, (**1992 Aug**) 262 (2) 545-51.

Journal Code: JP3; 0376362. ISSN: 0022-3565.  
CY United States  
DT Journal; Article; (JOURNAL ARTICLE)  
FS MEDLINE  
OS MEDLINE 92364864  
LA English  
ED Entered STN: 20011116  
Last Updated on STN: 20011116

=> d 14 23 kwic

L4 ANSWER 23 OF 24 SCISEARCH COPYRIGHT 2002 ISI (R)  
TI NEUROENDOCRINE EVIDENCE FOR ANTAGONISM OF SEROTONIN AND DOPAMINE-RECEPTORS  
BY **OLANZAPINE** (LY170053), AN ANTIPSYCHOTIC DRUG CANDIDATE  
SO RESEARCH COMMUNICATIONS IN CHEMICAL PATHOLOGY AND PHARMACOLOGY, (JUL  
1992) Vol. 77, No. 1, pp. 87-93.  
ISSN: 0034-5164.  
AB **Olanzapine**, 2-methyl-4-(4-methyl-1-piperazinyl)-10H-  
thieno[2,3-B][1,5]benzodiazepine (LY170053), antagonized the  
quipazine-induced elevation of serum corticosterone concentration in rats  
with an ED50 value of 0.57 mg/kg i.p.. . . was less potent in  
antagonizing the pergolide-induced elevation of serum corticosterone  
concentration in rats, and increases in corticosterone elicited by  
**olanzapine** alone at higher doses complicated the precise estimate  
of an ED50 value, which was approximately 3 mg/kg. These relative  
potencies. . . blocking quipazine and pergolide effects are taken as  
indices of antagonism of serotonin 5HT2 and of dopamine D2 receptors,  
respectively. **Olanzapine** is more potent than clozapine in  
blocking 5HT2 and D2 receptors, and its ability to block these receptors  
supports its. . .

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	124.18	144.86

FILE 'REGISTRY' ENTERED AT 10:22:22 ON 14 MAY 2002  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2002 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 12 MAY 2002 HIGHEST RN 414856-11-4  
DICTIONARY FILE UPDATES: 12 MAY 2002 HIGHEST RN 414856-11-4

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

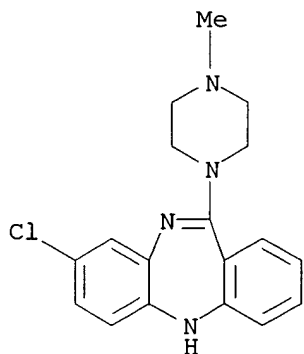
Calculated physical property data is now available. See HELP PROPERTIES  
for more information. See STNote 27, Searching Properties in the CAS  
Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> s clozapine/cn

L7 1 CLOZAPINE/CN

=> d 17

L7 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS  
 RN 5786-21-0 REGISTRY  
 CN 5H-Dibenzo[b,e][1,4]diazepine, 8-chloro-11-(4-methyl-1-piperazinyl)- (7CI, 8CI, 9CI) (CA INDEX NAME)  
 OTHER NAMES:  
 CN 8-Chloro-11-(4-methyl-1-piperazinyl)-5H-dibenzo[b,e][1,4]diazepine  
 CN Asaleptin  
 CN Azaleptine  
 CN Clozapin  
 CN **Clozapine**  
 CN Clozaril  
 CN HF 1854  
 CN Iprox  
 CN Leponex  
 FS 3D CONCORD  
 MF C18 H19 Cl N4  
 CI COM  
 LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN\*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMLIST, CIN, CSCHM, DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, EMBASE, HSDB\*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK\*, NIOSHTIC, PHAR, PHARMASEARCH, PROMT, RTECS\*, SPECINFO, TOXCENTER, USAN, USPATFULL  
 (\*File contains numerically searchable property data)  
 Other Sources: EINECS\*\*, WHO  
 (\*\*Enter CHEMLIST File for up-to-date regulatory information)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2564 REFERENCES IN FILE CA (1967 TO DATE)  
 33 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 2568 REFERENCES IN FILE CAPLUS (1967 TO DATE)  
 2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)



CB

08/823,458

L6 ANSWER 4 OF 13 DRUGU COPYRIGHT 1999 DERWENT INFORMATION LTD  
AN 94-36074 DRUGU T S  
TI Valproic acid treatment of clozapine-induced myoclonus.  
AU Meltzer H Y; Ranjan R  
LO Cleveland, Ohio, United States  
SO Am.J.Psychiatry (151, No. 8, 1246-47, 1994) 4 Ref.  
CODEN: AJPSAO ISSN: 0002-953X

AV No Reprint Address.

LA English

DT Journal

FA AB; LA; CT

FS Literature

AB

It is reported in a letter that valproic acid was used successfully to treat a case of myoclonic seizures caused by high dose clozapine therapy given for chronic schizophrenia. Treatment with valproic acid permitted the continuation of clozapine therapy at high doses. After introduction of valproic acid there were no seizures and there were improvements in psychological and social function. Concomitant medication included chloral-hydrate, **acetaminophen** (paracetamol) and metronidazole.

**Clozapine** may cause myoclonus by blockade of serotonin receptors.

Valproic acid potentiates serotonergic activity and this may account for its ability to control myoclonus.

FILE 'USPATFULL' ENTERED AT 10:06:42 ON 14 MAY 2002  
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 9 May 2002 (20020509/PD)  
FILE LAST UPDATED: 9 May 2002 (20020509/ED)  
HIGHEST GRANTED PATENT NUMBER: US8387446  
HIGHEST APPLICATION PUBLICATION NUMBER: US2002056154  
CA INDEXING IS CURRENT THROUGH 9 May 2002 (20020509/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 9 May 2002 (20020509/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2002  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2002

>>> USPAT2 is now available. USPATFULL contains full text of the <<<  
>>> original, i.e., the earliest published granted patents or <<<  
>>> applications. USPAT2 contains full text of the latest US <<<  
>>> publications, starting in 2001, for the inventions covered in <<<  
>>> USPATFULL. A USPATFULL record contains not only the original <<<  
>>> published document but also a list of any subsequent <<<  
>>> publications. The publication number, patent kind code, and <<<  
>>> publication date for all the US publications for an invention <<<  
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<  
>>> records and may be searched in standard search fields, e.g., /PN, <<<  
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<  
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<  
>>> enter this cluster. <<<  
>>> <<<  
>>> Use USPATALL when searching terms such as patent assignees, <<<  
>>> classifications, or claims, that may potentially change from <<<  
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> s olanzapine and depressant  
140 OLANZAPINE  
5588 DEPRESSANT  
L1 13 OLANZAPINE AND DEPRESSANT

=> s l1 and pd<1995  
1890560 PD<1995  
(PD<19950000)  
L2 0 L1 AND PD<1995

=> d l1 1-13

L1 ANSWER 1 OF 13 USPATFULL  
AN 2002:88001 USPATFULL  
TI Opioid agonist/opioid antagonist/acetaminophen combinations  
IN Kaiko, Robert F., Weston, CT, United States  
Colucci, Robert D., Newtown, CT, United States  
PA Euro-Celtique, S.A., Luxembourg, LUXEMBOURG (non-U.S. corporation)  
PI US 6375957 B1 20020423  
AI US 2000-503020 20000211 (9)  
RLI Continuation-in-part of Ser. No. US 1998-218662, filed on 22 Dec 1998  
PRAI US 1997-68480P 19971222 (60)  
DT Utility  
FS GRANTED  
LN.CNT 2580  
INCL INCLM: 424/400.000

INCLS: 424/451.000; 424/464.000; 514/812.000  
NCL NCLM: 424/400.000  
NCLS: 424/451.000; 424/464.000; 514/812.000  
IC [7]  
ICM: A61K009-48  
ICS: A61K009-20  
EXF 424/464; 424/451; 424/400; 512/812

L1 ANSWER 2 OF 13 USPATFULL  
AN 2002:17328 USPATFULL  
TI Dha-pharmaceutical agent conjugates of taxanes  
IN Shashoua, Victor, Brookline, MA, UNITED STATES  
Swindell, Charles, Merion, PA, UNITED STATES  
Webb, Nigel, Bryn Mawr, PA, UNITED STATES  
Bradley, Matthews, Layton, PA, UNITED STATES  
PI US 2002010208 A1 20020124  
AI US 2001-846838 A1 20010501 (9)  
RLI Continuation of Ser. No. US 1998-135291, filed on 17 Aug 1998, ABANDONED  
Continuation of Ser. No. US 1996-651312, filed on 22 May 1996, GRANTED,  
Pat. No. US 5795909  
DT Utility  
FS APPLICATION  
LN.CNT 2437  
INCL INCLM: 514/449.000  
NCL NCLM: 514/449.000  
IC [7]  
ICM: A61K031-337  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 3 OF 13 USPATFULL  
AN 2001:215087 USPATFULL  
TI Treatment of disorders secondary to organic impairments  
IN Mueller, Peter Sterling, 182 Snowden La., Princeton, NJ, United States  
08540  
PI US 6323242 B1 20011127  
AI US 1998-204124 19981202 (9)  
DT Utility  
FS GRANTED  
LN.CNT 1080  
INCL INCLM: 514/646.000  
INCLS: 564/305.000  
NCL NCLM: 514/646.000  
NCLS: 564/305.000  
IC [7]  
ICM: A61K031-36  
ICS: C07C211-00  
EXF 514/646; 564/305  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 4 OF 13 USPATFULL  
AN 2001:205909 USPATFULL  
TI Polymorphic form of a tachykinin receptor antagonist  
IN Crocker, Louis, Belle Mead, NJ, United States  
Mccauley, James, Belle Mead, NJ, United States  
PA Merck & Co., Inc. (U.S. corporation)  
PI US 2001041702 A1 20011115  
AI US 2001-850370 A1 20010507 (9)  
RLI Division of Ser. No. US 1999-458168, filed on 9 Dec 1999, GRANTED, Pat.  
No. US 6229010  
PRAI US 1997-51600P 19970702 (60)  
DT Utility  
FS APPLICATION

LN.CNT 2079  
INCL INCLM: 514/236.200  
INCLS: 544/132.000  
NCL NCLM: 514/236.200  
NCLS: 544/132.000  
IC [7]  
ICM: A61K031-5377  
ICS: C07D413-02  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 5 OF 13 USPATFULL  
AN 2001:160986 USPATFULL  
TI Use of sulfamate derivatives for treating impulse control disorders  
IN McElroy, Susan L., Cincinnati, OH, United States  
PI US 2001023254 A1 20010920  
US 6323236 B2 20011127  
AI US 2000-506991 A1 20000218 (9)  
DT Utility  
FS APPLICATION  
LN.CNT 933  
INCL INCLM: 514/439.000  
NCL NCLM: 514/439.000  
NCLS: 514/455.000; 514/459.000; 514/463.000  
IC [7]  
ICM: A61K031-385  
ICS: A01N043-26  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 6 OF 13 USPATFULL  
AN 2001:90260 USPATFULL  
TI Fatty acid-pharmaceutical agent conjugates  
IN Webb, Nigel L., Bryn Mawr, PA, United States  
Bradley, Matthews O., Laytonsville, MD, United States  
Swindell, Charles S., Merion, PA, United States  
Shashoua, Victor E., Brookline, MA, United States  
PI US 2001002404 A1 20010531  
AI US 2000-730450 A1 20001205 (9)  
RLI Continuation of Ser. No. US 1996-651428, filed on 22 May 1996, ABANDONED  
DT Utility  
FS APPLICATION  
LN.CNT 2511  
INCL INCLM: 514/560.000  
INCLS: 514/558.000  
NCL NCLM: 514/560.000  
NCLS: 514/558.000  
IC [7]  
ICM: A61K031-20  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 7 OF 13 USPATFULL  
AN 2001:67821 USPATFULL  
TI Polymorphic form of a tachykinin receptor antagonist  
IN Crocker, Louis, Belle Mead, NJ, United States  
McCauley, James, Belle Mead, NJ, United States  
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)  
PI US 6229010 B1 20010508  
AI US 1999-458168 19991209 (9)  
RLI Division of Ser. No. US 1998-212511, filed on 15 Dec 1998, now patented,  
Pat. No. US 6096742  
PRAI US 1997-51600P 19970702 (60)  
DT Utility  
FS Granted

LN.CNT 2023  
INCL INCLM: 544/132.000  
NCL NCLM: 544/132.000  
IC [7]  
ICM: C07D413-00  
EXF 544/132  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 8 OF 13 USPATFULL  
AN 2001:52070 USPATFULL  
TI Substituted 3-(benzylamino)piperidine derivatives and their use as  
therapeutic agents  
IN Elliott, Jason Matthew, Felsted, United Kingdom  
PA Merck Sharp & Dohme Limited, Hoddesdon, United States (non-U.S.  
corporation)  
PI US 6214846 B1 20010410  
WO 9900368 19990107  
AI US 1999-445664 19991209 (9)  
WO 1998-GB1856 19980623  
19991209 PCT 371 date  
19991209 PCT 102(e) date  
PRAI GB 1997-13715 19970627  
GB 1997-20998 19971003

DT Utility  
FS Granted  
LN.CNT 1317  
INCL INCLM: 514/331.000  
INCLS: 514/314.000; 514/329.000; 546/223.000  
NCL NCLM: 514/331.000  
NCLS: 514/314.000; 514/329.000; 546/223.000  
IC [7]  
ICM: C07D211-56  
ICS: A61K031-445  
EXF 514/314; 514/329; 514/331; 546/223  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 9 OF 13 USPATFULL  
AN 2001:33261 USPATFULL  
TI Clozapine compositions and uses thereof  
IN Bradley, Matthews O., Laytonsville, MD, United States  
Shashoua, Victor E., Belmont, MA, United States  
Swindell, Charles S., Merion, PA, United States  
Webb, Nigel L., Bryn Mawr, PA, United States  
PA Protarga, Inc., Conshohocken, PA, United States (U.S. corporation)  
PI US 6197764 B1 20010306  
AI US 1997-978541 19971126 (8)  
DT Utility  
FS Granted  
LN.CNT 770  
INCL INCLM: 514/218.000  
INCLS: 514/219.000; 514/220.000  
NCL NCLM: 514/218.000  
NCLS: 514/219.000; 514/220.000  
IC [7]  
ICM: A61K031-00  
EXF 514/218; 514/219; 514/220  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 10 OF 13 USPATFULL  
AN 2000:142390 USPATFULL  
TI 1-piperidinyl-propan-2-derivatives and their use as therapeutic agents  
IN MacLeod, Angus Murray, Bishops Stortford, United Kingdom

Swain, Christopher John, Duxford, United Kingdom  
van Niel, Monique Bodil, Welwyn Garden City, United Kingdom  
PA Merck Sharp & Dohme Ltd., Hoddesdon, United Kingdom (non-U.S.  
corporation)  
PI US 6136824 20001024  
AI US 2000-511002 20000222 (9)  
PRAI GB 1999-4786 19990203  
DT Utility  
FS Granted  
LN.CNT 1626  
INCL INCLM: 514/317.000  
INCLS: 546/192.000  
NCL NCLM: 514/317.000  
NCLS: 546/192.000  
IC [7]  
ICM: A01N043-40  
EXF 546/190; 514/317  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 11 OF 13 USPATFULL  
AN 2000:98427 USPATFULL  
TI Polymorphic form of a tachykinin receptor antagonist  
IN Crocker, Louis, Belle Mead, NJ, United States  
McCauley, James, Belle Mead, NJ, United States  
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)  
PI US 6096742 20000801  
AI US 1998-212511 19981215 (9)  
RLI Continuation of Ser. No. US 1998-108567, filed on 1 Jul 1998, now  
abandoned  
DT Utility  
FS Granted  
LN.CNT 2018  
INCL INCLM: 514/241.000  
INCLS: 544/132.000; 514/236.200  
NCL NCLM: 514/241.000  
NCLS: 514/236.200; 544/132.000  
IC [7]  
ICM: A61K031-53  
EXF 544/132; 514/236.2  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 12 OF 13 USPATFULL  
AN 1999:113745 USPATFULL  
TI Fatty acid-antipsychotic compositions and uses thereof  
IN Bradley, Matthews O., Laytonsville, MD, United States  
Shashoua, Victor E., Belmont, MA, United States  
Swindell, Charles S., Merion, PA, United States  
Webb, Nigel L., Bryn Mawr, PA, United States  
PA Neuromedica, Inc., Conshohocken, PA, United States (U.S. corporation)  
PI US 5955459 19990921  
AI US 1997-979312 19971126 (8)  
DT Utility  
FS Granted  
LN.CNT 870  
INCL INCLM: 514/220.000  
INCLS: 514/234.000; 514/255.000; 514/321.000  
NCL NCLM: 514/220.000  
NCLS: 514/232.800; 514/252.150; 514/255.010; 514/259.400; 514/321.000  
IC [6]  
ICM: A61R031-395  
EXF 514/220; 514/234; 514/255; 514/321

L1 ANSWER 13 OF 13 USPATFULL  
AN 1998:98932 USPATFULL  
TI DHA-pharmaceutical agent conjugates of taxanes  
IN Shashoua, Victor E., Brookline, MA, United States  
Swindell, Charles S., Merion, PA, United States  
Webb, Nigel L., Bryn Mawr, PA, United States  
Bradley, Matthews O., Laytonsville, MD, United States  
PA Neuromedica, Inc., Conshohocken, PA, United States (U.S. corporation)  
PI US 5795909 19980818  
AI US 1996-651312 19960522 (8)  
DT Utility  
FS Granted  
LN.CNT 2451  
INCL INCLM: 514/449.000  
INCLS: 514/549.000  
NCL NCLM: 514/449.000  
NCLS: 514/549.000  
IC [6]  
ICM: A61K031-335  
ICS: A61K031-22  
EXF 514/449; 514/549  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Welcome to STN International! Enter x:x

LOGINID:sssptaul25txc

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 Jan 25 BLAST(R) searching in REGISTRY available in STN on the Web  
NEWS 3 Jan 29 FSTA has been reloaded and moves to weekly updates  
NEWS 4 Feb 01 DKILIT now produced by FIZ Karlsruhe and has a new update  
frequency  
NEWS 5 Feb 19 Access via Tymnet and SprintNet Eliminated Effective 3/31/02  
NEWS 6 Mar 08 Gene Names now available in BIOSIS  
NEWS 7 Mar 22 TOXLIT no longer available  
NEWS 8 Mar 22 TRCTHERMO no longer available  
NEWS 9 Mar 28 US Provisional Priorities searched with P in CA/CAPLUS  
and USPATFULL  
NEWS 10 Mar 28 LIPINSKI/CALC added for property searching in REGISTRY  
NEWS 11 Apr 02 PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.  
NEWS 12 Apr 08 "Ask CAS" for self-help around the clock  
NEWS 13 Apr 09 BEILSTEIN: Reload and Implementation of a New Subject Area  
NEWS 14 Apr 09 ZDB will be removed from STN  
NEWS 15 Apr 19 US Patent Applications available in IFICDB, IFIPAT, and IFIUDB  
NEWS 16 Apr 22 Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS  
NEWS 17 Apr 22 BIOSIS Gene Names now available in TOXCENTER  
NEWS 18 Apr 22 Federal Research in Progress (FEDRIP) now available  
  
NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,  
CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),  
AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
specific topic.

All use of STN is subject to the provisions of the STN Customer  
agreement. Please note that this agreement limits use to scientific  
research. Use for software development or design or implementation  
of commercial gateways or other similar uses is prohibited and may  
result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 10:06:09 ON 14 MAY 2002

=> file uspatfull

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21



tau125txc

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 Jul 12 BEILSTEIN enhanced with new display and select options,  
resulting in a closer connection to BABS  
NEWS 4 Jul 30 BEILSTEIN on STN workshop to be held August 24 in conjunction  
with the 228th ACS National Meeting  
NEWS 5 AUG 02 IFIPAT/IFIUDB/IFICDB reloaded with new search and display  
fields  
NEWS 6 AUG 02 CAPLUS and CA patent records enhanced with European and Japan  
Patent Office Classifications  
NEWS 7 AUG 02 The Analysis Edition of STN Express with Discover!  
(Version 7.01 for Windows) now available  
NEWS 8 AUG 04 Pricing for the Save Answers for SciFinder Wizard within  
STN Express with Discover! will change September 1, 2004  
NEWS 9 AUG 27 BIOCOMMERCE: Changes and enhancements to content coverage  
NEWS 10 AUG 27 BIOTECHABS/BIOTECHDS: Two new display fields added for legal  
status data from INPADOC  
NEWS 11 SEP 01 INPADOC: New family current-awareness alert (SDI) available  
NEWS 12 SEP 01 New pricing for the Save Answers for SciFinder Wizard within  
STN Express with Discover!  
NEWS 13 SEP 01 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX  
NEWS 14 SEP 14 STN Patent Forum to be held October 13, 2004, in Iselin, NJ  
NEWS 15 SEP 27 STANDARDS will no longer be available on STN  
NEWS 16 SEP 27 SWETSCAN will no longer be available on STN  
  
NEWS EXPRESS JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
specific topic.

All use of STN is subject to the provisions of the STN Customer  
agreement. Please note that this agreement limits use to scientific  
research. Use for software development or design or implementation  
of commercial gateways or other similar uses is prohibited and may  
result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 07:21:57 ON 28 SEP 2004

=> file uspatfull

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'USPATFULL' ENTERED AT 07:22:07 ON 28 SEP 2004

CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 23 Sep 2004 (20040923/PD)

FILE LAST UPDATED: 23 Sep 2004 (20040923/ED)  
HIGHEST GRANTED PATENT NUMBER: US6795973  
HIGHEST APPLICATION PUBLICATION NUMBER: US2004187181  
CA INDEXING IS CURRENT THROUGH 23 Sep 2004 (20040923/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 23 Sep 2004 (20040923/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2004  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2004

```
>>> USPAT2 is now available.  USPATFULL contains full text of the  <<<
>>> original, i.e., the earliest published granted patents or  <<<
>>> applications.  USPAT2 contains full text of the latest US  <<<
>>> publications, starting in 2001, for the inventions covered in  <<<
>>> USPATFULL.  A USPATFULL record contains not only the original  <<<
>>> published document but also a list of any subsequent  <<<
>>> publications.  The publication number, patent kind code, and  <<<
>>> publication date for all the US publications for an invention  <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL  <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc.  <<<
```

```
>>> USPATFULL and USPAT2 can be accessed and searched together  <<<
>>> through the new cluster USPATALL.  Type FILE USPATALL to  <<<
>>> enter this cluster.  <<<
>>>  <<<
>>> Use USPATALL when searching terms such as patent assignees,  <<<
>>> classifications, or claims, that may potentially change from  <<<
>>> the earliest to the latest publication.  <<<
```

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> s fluoxetine and paroxetine and citalopram and sertraline and venlafaxine and  
duloxetine

```
      2250 FLUOXETINE
      1480 PAROXETINE
      975 CITALOPRAM
      1490 SERTRALINE
      893 VENLAFAXINE
      215 DULOXETINE
L1      119 FLUOXETINE AND PAROXETINE AND CITALOPRAM AND SERTRALINE AND
      VENLAFAXINE AND DULOXETINE
```

```
=> s l1 and pd<1995
      1890788 PD<1995
      (PD<19950000)
L2      0 L1 AND PD<1995
```

=> d 99-119 bib, kwic

L2 HAS NO ANSWERS

```
L1      119 SEA FILE=USPATFULL ABB=ON  FLUOXETINE AND PAROXETINE AND
      CITALOPRAM AND SERTRALINE AND VENLAFAXINE AND DULOXETINE
L2      0 SEA FILE=USPATFULL ABB=ON  L1 AND PD<1995
```

=> d l1 99-119 bib, kwic

```
L1  ANSWER 99 OF 119  USPATFULL on STN
AN  2002:45628  USPATFULL
TI  Pyrrolidine and pyrroline derivatives having effects on serotonin
    related systems
IN  Hertel, Larry Wayne, Indianapolis, IN, United States
    Xu, Yao-Chang, Fishers, IN, United States
PA  Eli Lilly and Company, Indianapolis, IN, United States (U.S.
    corporation)
```

PI US 6353008 B1 20020305  
 WO 2000000196 20000106  
 AI US 2000-701361 20001128 (9)  
 WO 1999-US14881 19990629  
 20001128 PCT 371 date  
 PRAI US 1998-91204P 19980630 (60)  
 DT Utility  
 FS GRANTED  
 EXNAM Primary Examiner: Fan, Jane  
 LREP Joyner, Charles T., Lentz, Nelson L.  
 CLMN Number of Claims: 17  
 ECL Exemplary Claim: 1  
 DRWN 0 Drawing Figure(s); 0 Drawing Page(s)  
 LN.CNT 2949

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . receptor and the serotonin-2.sub.A receptor, and activity as inhibitors of serotonin reuptake. The best-known pharmaceutical with the latter efficacy is **fluoxetine**, and the importance of its use in the treatment of depression and other conditions is extremely well documented and publicized. . . .

DETD The efficacy of the compounds of the invention to inhibit the reuptake of serotonin is determined by a **paroxetine** binding assay, the usefulness of which is set out by Wong, et al., Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations from. . . 37.degree. C. between the second and third washes. The resulting pellet is stored at -70.degree. C. until use. Binding of .sup.3H-**paroxetine** to 5-HT uptake sites is carried out in 2 ml reaction medium containing the appropriate drug concentration, 0.1 nM .sup.3H-**paroxetine**, and the cerebral cortical membrane (50 .mu.g protein/tube). Samples are incubated at 37.degree. C. for 30 minutes; those containing 1 .mu.M **fluoxetine** are used to determine nonspecific binding of .sup.3H-**paroxetine**. After incubation, the tubes are filtered through Whatman GF/B filters, which are soaked in 0.05% polyethylenimine for 1 hour before. . . .

DETD . . . in non-human animals is only now beginning, and that some instances of such treatments are coming into use. For example, **fluoxetine**, and perhaps other serotonin reuptake inhibitors, are being used in companion animals such as dogs for the treatment of behavioral. . . .

DETD . . . administration of drugs which inhibit the reuptake of serotonin. The treatment of depression with drugs of the class of which **fluoxetine** is the leader has become perhaps the greatest medical breakthrough of the past decade. Numerous other treatment methods carried out. . . .

DETD . . . dopamine, in the brain of patients to whom the drug combination is administered. Typical and appropriate reuptake inhibitors (SRI) are **fluoxetine**, **duloxetine**, **venlafaxine**, **milnacipran**, **citalopram**, **fluvoxamine** and **paroxetine**. Accordingly, the present invention provides a method for potentiating the action of a serotonin reuptake inhibitor, particularly one of the group consisting of **fluoxetine**, **duloxetine**, **venlafaxine**, **milnacipran**, **citalopram**, **fluvoxamine** and **paroxetine**, in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprising administering said serotonin reuptake inhibitor in combination. . . .

DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No. . . . compound. Robertson, et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . . .

DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule.

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent.

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, et. . . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518.

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur.. . .

DETD **Fluoxetine** or **duloxetine** are the preferred SRI's in pharmaceutical compositions combining a compound of formula I and an SRI, and the corresponding methods. . . .

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;

DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

DETD . . . the methods disclosed herein include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence.

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated than they were only a decade ago. **Duloxetine** is in clinical trials for the treatment of depression and is likely to become a marketed drug for the purpose.

DETD . . . disease. A badly afflicted subject may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . . .

DETD Obesity is a frequent condition in the American population. It has been found that **fluoxetine** will enable an obese subject to lose weight, with the resulting benefit to the circulation and heart condition, as well. . . .

DETD . . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at once, and so is important to the many who suffer from. . . .

L1 ANSWER 100 OF 119 USPATFULL on STN

AN 2002:22507 USPATFULL

TI Methods of inhibiting platelet activation with selective serotonin reuptake inhibitors

IN Serebruany, Victor L., Ellicott City, MD, UNITED STATES  
Gurbel, Paul A., Baltimore, MD, UNITED STATES

O' Connor, Christopher M., Durham, NC, UNITED STATES

PI US 2002013343 A1 20020131

US 6552014 B2 20030422

AI US 2001-804689 A1 20010312 (9)

RLI Continuation-in-part of Ser. No. US 1999-312987, filed on 17 May 1999, GRANTED, Pat. No. US 6245782

DT Utility

FS APPLICATION

LREP Antoinette G. Giugliano, HAMILTON, BROOK, SMITH & REYNOLDS, P.C., Two Militia Drive, Lexington, MA, 02421-4799

CLMN Number of Claims: 24

ECL Exemplary Claim: 1

DRWN 7 Drawing Page(s)

LN.CNT 1392

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . serotonin inhibitor or antagonist. In one embodiment, the serotonin inhibitor or antagonist is a selective serotonin reuptake inhibitor (SSRI) (e.g., **sertraline**, fluvoxamine, **paroxetine**, **citalopram**, **fluoxetine**, **venlafaxine**, mirtazapine, buspirone, trazodone, nefazadone, clomipramine, imipramine, nortriptyline, mianserine, **duloxetine**, dapoxetine, litoxetine, femoxetine, lofepramine, tomoxetine or metabolites thereof). The SSRI prevents the reduction of serotonin in blood of the individual.. . .

SUMM . . . amount of at least one serotonin inhibitor or antagonist, wherein the platelet activation state is reduced. A SSRI such as **sertraline**, fluvoxamine, **paroxetine**, **citalopram**, **fluoxetine**, **venlafaxine**, mirtazapine, buspirone, trazodone, nefazadone, clomipramine, imipramine, nortriptyline, mianserine, **duloxetine**, dapoxetine, litoxetine, femoxetine, lofepramine, tomoxetine or metabolites thereof can be administered. Some examples of vascular events, diseases or disorders are. . . .

DRWD [0009] FIG. 1 is a graph showing the log fluorescence intensity of GPIIb/IIIa after incubation of whole blood with **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or N-desmethylsertraline (NDMS) at 31.1, 64.1, 143.0 ng/ml from a healthy volunteer.

DRWD . . . aggregation induced either by adenosine diphosphate (ADP) or collagen in Platelet Rich Plasma (PRP) from a healthy volunteer incubated with **sertraline** at 18.1, 44.7 or 85.3 ng/ml.

DRWD . . . of platelet aggregation induced either by adenosine diphosphate (ADP) or collagen in whole blood from a healthy volunteer incubated with **sertraline** at 18.1, 44.7 or 85.3 ng/ml.

DRWD [0012] FIG. 4 is a graph showing the percent (%) cell positivity of P-Selectin after incubation of whole blood with **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or N-desmethylsertraline (NDMS) at 31.1, 64.1, 143.0 ng/ml from a post-angioplasty patient.

DRWD . . . induced either by adenosine diphosphate (ADP) or collagen in Platelet Rich Plasma (PRP) from a post-coronary angioplasty patient incubated with **sertraline** at 18.1, 44.7 or 85.3 ng/ml.

DRWD [0015] FIG. 7 is a graph showing the log fluorescence intensity of GPIIb/IIIa after incubation of whole blood with **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or N-desmethylsertraline (NDMS) at 31.1, 64.1, 143.0 ng/ml from a post-coronary angioplasty patient.

DRWD . . . showing the level of platelet GPIb expression when subjected to a control, 18.1 ng/mL, 44.7 ng/mL or 85.5 ng/mL of **sertraline**, or unstained cells.

DRWD . . . a graph from a flow cytometer showing the level of GPIIb/IIIa expression when subjected to a control, 44.7 ng/mL of **sertraline**, 85.3 ng/mL of **sertraline** or unstained cells.

DRWD . . . a graph from a flow cytometer showing the level of PECAM-1 expression when subjected to a control, 44.7 ng/mL of **sertraline**, 85.3 ng/mL of **sertraline** or unstained cells.

DRWD [0019] FIG. 11 is a graph showing the closure time with a collagen/ADP cartridge after whole blood incubation with **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or N-desmethylsertraline (NDMS) at 31.1, 64.1,

143.0 ng/ml from a healthy volunteer.

DETD . . . platelets. The class of drugs referred to as SSRIs also include Serotonin noradrenergic Reuptake Inhibitors (SnaRIs), such as Nefazodone or **Venlafaxine**.

DETD [0029] Examples of SSRIs are **sertraline** (e.g., **sertraline** hydrochloride, marketed under the trademark "Zoloft.RTM." by Pfizer, Inc.) or **sertraline** metabolite, fluvoxamine (e.g., fluvoxamine melate, marketed under the trademark "Luvox.RTM." by Solvay Pharmaceuticals, Inc.), **paroxetine** (e.g., **paroxetine** hydrochloride, marketed under the trademark "Paxil.RTM." by SmithKline Beecham Pharmaceuticals, Inc.), **fluoxetine** (e.g., **fluoxetine** hydrochloride, marketed under the trademark "Prozac.RTM." or "Sarafem.RTM." by Eli Lilly and Company) and **citalopram** (e.g., **citalopram** hydrobromide, marketed under the trademark "Celexa.RTM." by Forest Laboratories, Parke-Davis, Inc.), and metabolites thereof. Additional examples include **venlafaxine** (e.g., **venlafaxine** hydrochloride marketed under the trademark Effexor.RTM. by Wyeth-Ayerst Laboratories), mirtazapine (e.g., marketed under the trademark Remeron.RTM. by Organon, Inc.), buspirone. . . (e.g., Nortriptyline hydrochloride marketed under the trademark Nortrinel.RTM. by Lundbeck), mianserine (e.g., marketed under the trademark Tolvon.RTM. by Organon, Inc.), **duloxetine** (e.g., **duloxetine** hydrochloride marketed by Eli Lilly and Company), dapoxetine (e.g., dapoxetine hydrochloride marketed by ALZA Corporation), litoxetine (e.g., litoxetine hydrochloride marketed. . .

DETD [0031] It is believed that SSRIs inhibit 5-HT (5-hydroxytryptamine), a precursor to serotonin. **Sertraline**'s chemical name is 1S, 4S-N-methyl-4-(3,4 -dichlorophenyl)-1,2,3,4-tetrahydro-1-naphthylamine. Methods of making **sertraline** and its properties are described in U.S. Pat. Nos. 4,536,518; 4,940,731; 4,962,128; 5,130,338 and 5,248,699.

DETD [0032] SSRI metabolites are active in reducing the platelet activation state. **Sertraline**'s major liver metabolite is desmethylsertraline (NDMS), a product of **sertraline** demethylation. NDMS was previously thought to be clinically inactive. Surprisingly, NDMS significantly reduces the platelet activation state of platelets, as well as **sertraline**, and is active. **Sertraline** is 98% protein-bound, and thus may alter serum levels of other highly protein-bound medications, such as warfarin and phenytoin. **Sertraline** is slowly absorbed after oral administration, with peak concentrations achieved approximately 4.5 to 8.5 hours after dosage of 50 to. . .

DETD [0033] The prolonged half-life of the compound in combination with the existence of an inactive metabolite allows rapid equilibration of **sertraline** serum levels within approximately one week, and also results in fairly fast clearance of the medication following discontinuation of therapy. **Sertraline** is specific for the inhibition of serotonin reuptake and less potent for dopamine and norepinephrine blockade in comparison to other SSRI's. The pharmacokinetics and pharmacodynamics of **sertraline** are favorable. Single doses of **sertraline** in volunteers caused changes in the quantitative pharmaco-electroencephalogram suggesting antidepressant and anxiolytic actions, with sedative potential evident only at doses. . .

DETD [0037] Methods of making other SSRIs are also known in the art. Methods of making **paroxetine** and various forms of **paroxetine** are described in the art and in the following U.S. Pat. Nos. 5,872,132, 5,856,493, 5,811,436, 5,672,612, 4,721,723, 5,258,517. Methods and forms for making **fluoxetine** are also known in the art and described in U.S. Pat. Nos. 5,830,500, 5,760,243, 5,747,068, 5,708,035, 5,225,585. WO098/19513, WO98/19512 and WO98/19511 describe methods for preparing **citalopram**.

DETD [0044] In one embodiment, **sertraline**, fluvoxamine,

**paroxetine, citalopram, fluoxetine, venlafaxine, mirtazapine, buspirone, trazodone, nefazadone, clomipramine, imipramine, nortriptyline, mianserine, duloxetine, dapoxetine, litoxetine, femoxetine, lofepramine, or tomoxetine** can be administered orally in an amount between about 2 mg-2500 mg/daily. In particular, **sertraline** can be administered at about 25-200mg/day, fluvoxamine at about 100-300 mg/day, **fluoxetine** at about 20-80mg/day, **paroxetine** at about 20-50 mg/day, and **citalopram** at about 20-40 mg/day.

DETD . . . of time effective to maximally activate the platelets. The sample is then subjected to a SSRI at particular concentrations (e.g., **sertraline** at 18.1, 44.7 or 85.3 ng/ml; NDMS at 31.1, 64.1 or 143 ng./ml). Then one contacts or stains the samples. . . .

DETD [0078] Task A: In vitro experiments treating human blood with the optimal therapeutic concentrations of **sertraline** and metabolite were performed. The following groups of patients were studied in vitro using **sertraline** (18.1 ng/ml, 44.7 ng/ml) and N-desmethylsertraline (31.1 ng/ml, 64.1 ng/ml, 143 ng/ml):

DETD [0084] Task B: Dose-dependency of platelet inhibition for mega doses (500 mg, 1 g, and 2 g) of **sertraline** and metabolite were established.

DETD [0085] Task C: Platelet-related effects of **sertraline** and metabolite can be compared with those of the leading anti-platelet agents. A pilot crossover blinded study that assesses ex vivo effects of **sertraline** (50-100-200 mg) versus aspirin, clopidogrel and ticlopidine on platelet function can be conducted.

DETD [0088] The effects of three therapeutic doses of **sertraline** (50-100-200 mg/daily) is compared with aspirin (325 mg/daily), clopidogrel (75 mg/daily), and ticlopidine (150 mg/daily) on platelet activity.

DETD [0092] The population of the study contains 30 healthy subjects during chronic **sertraline**-aspirin, clopidogrel, and ticlopidine administration. Participants are divided in to 3 parallel groups of 10 individuals each.

DETD . . . performed in order to determine possible correlations between them. Such an approach allows us to define relevant anti-platelet properties of **sertraline** and its metabolite when compared with the leading oral anti-platelet agents.

DETD . . . various PAMs were assess from samples of human volunteers. The samples (either PRP or Whole Blood (WB)) were incubated with **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or N-desmethylsertraline (NDMS) at 31.1, 64.1, 143.0 ng/ml. Baseline levels of the PAMs were also obtained (without exposure to **sertraline** or NDMS). Several PAMs exhibited a decrease in their expression when exposed to either **sertraline** or its metabolite, NDMS. In particular, many of the PAMs showed a dose dependant response, wherein an increase in the concentration of either **sertraline** or NDMS resulted in a corresponding decrease in the PAM expression. These results are significant because they show that administration. . . . Incubation of the Platelet Rich Plasma (Log Fluorescence Intensity) and Whole Blood (% of Cell Positivity for P-selectin) with the Therapeutic Concentrations of **sertraline** and Desmethylsertraline in Healthy, Human Volunteers:

	<b>sertraline</b>		Desmethyl			
	Baseline	<b>sertraline</b> (ng/ml)		(ng/ml)		
Receptor		18.1	44.7	85.3	31.1	64.2
143						
Platelet Rich Plasma						
CD9 (peak)	897	938	777	716	620	805
770						
CD9 (mean). . .						

DETD . . . results from Table 1. FIG. 1 shows the GPIIb/IIIa expression

after incubation of whole blood from a healthy volunteer with **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or NDMS at 31.1, 64.1, 143.0 ng/ml. Both **sertraline** and NDMS caused a dose dependent decrease in the expression. The metabolite, NDMS, more effectively decreased the expression of GPIIb/IIIa.

DETD . . . and 3 show the percent of platelet aggregation of whole blood or PRP, respectively, after incubation with particular amounts of **sertraline**. The platelet aggregation was induced with either ADP or collagen. The data illustrate that the amount platelet aggregation decreases with increasing amounts of **sertraline**.

DETD . . . expression of various PAMs in samples from post-angioplasty patients on aspirin. The samples were incubated with a series of concentrations: **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or NDMS at 31.1, 64.1, 143.0 ng/ml . The levels of PAMs were measured. . . Table 2 shows a dose dependant decrease in several PAM levels when the samples are incubated with increasing concentrations of **sertraline** or NDMS. The decrease in expression of several PAMs indicate a significant reduction in the platelet activation state in samples. . . incubation

of the platelet rich plasma (log fluorescence intensity) and whole blood (% of cell positivity for P-selectin) with the therapeutical concentrations of **sertraline** and desmethylsertraline in a post-angioplasty patient on aspirin:

		Desmethyl				
	<b>sertraline</b>					
		<b>sertraline</b> (ng/ml)		(ng/ml)		
Receptor	Baseline	18.1	44.7	85.3	31.1	64.2
143						
Platelet Rich Plasma						
CD9 (peak)	835	1027	850	964	1046	930
850						
CD9 (mean)						

DETD [0134] FIGS. 4 and 7 show that P-selectin and GPIIb/IIIa expression in whole blood after incubation with either **sertraline** or NDMS at increasing concentrations resulted in striking decreases in expression. This decrease in expression indicates that the SSRI is. . .

DETD [0135] Similarly, FIGS. 5 and 6 show a decrease in platelet aggregation in PRP after incubation with either **sertraline** or NDMS. The samples were activated with either ADP or collagen, and then incubated with the specified concentrations of **sertraline** or NDMS. These graphs show that less platelets were activated and had the ability to aggregate when exposed to a. . .

DETD . . . and PECAM-1, respectively, and clearly show a dose dependent decrease in the expression of the PAM with increasing amounts of **sertraline**.

DETD [0137] FIG. 11 shows the closure time (the time for a platelet plug to form) when **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or NDMS at 31.1, 64.1, 143.0 ng/ml is incubated with whole blood from a. . . 11 shows a decrease in the time (seconds) for the platelets to form a platelet plug when increasing concentrations of **sertraline** or NDMS.

DETD . . . or its metabolite successfully reduces the platelet activation state and decrease the expression of various PAMs. These data indicate that **sertraline** hydrochloride (Zoloft.RTM.) has direct platelet inhibitory properties in humans. Moreover, N-desmethylsertraline, a stable final metabolite of **sertraline** which was previously considered inactive, surprisingly exhibited potent dose-dependent effects inhibiting human platelets in both platelet rich plasma and in. . .

DETD [0139] **Sertraline** is a universal platelet inhibitor in healthy controls, and patients with coronary artery disease, including those on aspirin:

DETD [0142] C. Incubation of platelets with **sertraline** (plasma



concentration 85.3 ng/ml, which is equivalent to 200 mg/ daily) is associated with diminished surface expression of major receptors. . .

DETD [0143] **Sertraline** affects markers of endothelial and/or platelet activation in patients with depression following myocardial infarction:

DETD [0144] A. Mild, but consistent reduction of the ex vivo PECAM-1 and P-selectin plasma levels after 16 weeks of the **sertraline** /placebo therapy.

DETD [0145] B. Increased magnitude of standard error at 16 weeks of the **sertraline**/placebo therapy may be due to the differences between the treatment groups.

CLM What is claimed is:

. . . prevents the reduction of serotonin in blood of the individual, and the SSRI is selected from the group consisting of **venlafaxine**, a **venlafaxine** metabolite, mirtazapine, a mirtazapine metabolite, buspirone, a buspirone metabolite, trazodone, a trazodone metabolite, nefazadone, a nefazadone metabolite, clomipramine, a clomipramine metabolite, imipramine, a imipramine metabolite, nortriptyline, a nortriptyline metabolite, mianserine, a mianserine metabolite, **duloxetine**, a **duloxetine** metabolite, dapoxetine, a dapoxetine metabolite, litoxetine, a litoxetine metabolite, femoxetine, a femoxetine metabolite, lofepramine, a lofepramine metabolite, tomoxetine, and a. . .

. . . prevents the reduction of serotonin in blood of the individual, and the SSRI is selected from the group consisting of **venlafaxine**, a **venlafaxine** metabolite, mirtazapine, a mirtazapine metabolite, buspirone, a buspirone metabolite, trazodone, a trazodone metabolite, nefazadone, a nefazadone metabolite, clomipramine, a clomipramine metabolite, imipramine, a imipramine metabolite, nortriptyline, a nortriptyline metabolite, mianserine, a mianserine metabolite, **duloxetine**, a **duloxetine** metabolite, dapoxetine, a dapoxetine metabolite, litoxetine, a litoxetine metabolite, femoxetine, a femoxetine metabolite, lofepramine, a lofepramine metabolite, tomoxetine, and a. . .

. . . SSRI prevents the reduction of serotonin in blood of the individual, the SSRI is selected from the group consisting of **venlafaxine**, a **venlafaxine** metabolite, mirtazapine, a mirtazapine metabolite, buspirone, a buspirone metabolite, trazodone, a trazodone metabolite, nefazadone, a nefazadone metabolite, clomipramine, a clomipramine metabolite, imipramine, a imipramine metabolite, nortriptyline, a nortriptyline metabolite, mianserine, a mianserine metabolite, **duloxetine**, a **duloxetine** metabolite, dapoxetine, a dapoxetine metabolite, litoxetine, a litoxetine metabolite, femoxetine, a femoxetine metabolite, lofepramine, a lofepramine metabolite, tomoxetine, and a. . .

. . . prevents the reduction of serotonin in blood of the individual, and the SSRI is selected from the group consisting of **venlafaxine**, a **venlafaxine** metabolite, mirtazapine, a mirtazapine metabolite, buspirone, a buspirone metabolite, trazodone, a trazodone metabolite, nefazadone, a nefazadone metabolite, clomipramine, a clomipramine metabolite, imipramine, a imipramine metabolite, nortriptyline, a nortriptyline metabolite, mianserine, a mianserine metabolite, **duloxetine**, a **duloxetine** metabolite, dapoxetine, a dapoxetine metabolite, litoxetine, a litoxetine metabolite, femoxetine, a femoxetine metabolite, lofepramine, a lofepramine metabolite, tomoxetine, and a. . .

. . . an amount sufficient to inhibit or reduce the platelet activation, wherein the SSRI is selected from the group consisting of **venlafaxine**, a **venlafaxine** metabolite, mirtazapine, a mirtazapine metabolite, buspirone, a buspirone metabolite, trazodone, a trazodone metabolite, nefazadone, a nefazadone metabolite, clomipramine, a clomipramine metabolite, imipramine, a imipramine metabolite, nortriptyline, a nortriptyline metabolite, mianserine, a mianserine metabolite, **duloxetine**, a **duloxetine** metabolite,

dapoxetine, a dapoxetine metabolite, litoxetine, a litoxetine metabolite, femoxetine, a femoxetine metabolite, lofepramine, a lofepramine metabolite, tomoxetine, and a. . . .  
 . . . prevents the reduction of serotonin in blood of the individual, and the SSRI is selected from the group consisting of **venlafaxine**, a **venlafaxine** metabolite, mirtazapine, a mirtazapine metabolite, buspirone, a buspirone metabolite, trazodone, a trazodone metabolite, nefazadone, a nefazadone metabolite, clomipramine, a clomipramine metabolite, imipramine, a imipramine metabolite, nortriptyline, a nortriptyline metabolite, mianserine, a mianserine metabolite, **duloxetine**, a **duloxetine** metabolite, dapoxetine, a dapoxetine metabolite, litoxetine, a litoxetine metabolite, femoxetine, a femoxetine metabolite, lofepramine, a lofepramine metabolite, tomoxetine, and a. . . .

L1 ANSWER 101 OF 119 USPTAFULL on STN  
 AN 2002:22476 USPTAFULL  
 TI Antidepressant effect of norepinephrine uptake 2 inhibitors and combined medications including them  
 IN Schildkraut, Joseph J., Chestnut Hill, MA, UNITED STATES  
 Mooney, John J., Belmont, MA, UNITED STATES  
 PI US 2002013312 A1 20020131  
 US 6403645 B2 20020611  
 AI US 2001-811235 A1 20010316 (9)  
 PRAI US 2000-189828P 20000316 (60)  
 DT Utility  
 FS APPLICATION  
 LREP JOHN W. FREEMAN, ESQ., Fish & Richardson P.C., 225 Franklin Street, Boston, MA, 02110-2804  
 CLMN Number of Claims: 30  
 ECL Exemplary Claim: 1  
 DRWN 1 Drawing Page(s)  
 LN.CNT 371  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 SUMM . . . combination is imipramine, desipramine, or reboxetine. Other norepinephrine reuptake inhibitors that can be used include nortriptyline, maprotiline, protriptyline, trimipramine, and **venlafaxine**. Still other candidates include amitriptyline, amoxapine, doxepin, nefazodone, and lamotrigine.  
 DETD . . . or precursor may be combined with: imipramine, desipramine, or reboxetine. Other norepinephrine reuptake inhibitors are: nortriptyline, maprotiline, protriptyline, trimipramine, and **venlafaxine**. Still other reuptake inhibitors include: amitriptyline, amoxapine, doxepin, nefazodone, and lamotrigine.  
 DETD . . . be combined with other antidepressants such as monoamine oxidase inhibitors (phenelzine, tranylcypromine, isocarboxazid, selegiline (L-deprenyl)) or selective serotonin reuptake inhibitors ( **fluoxetine**, **sertraline**, **paroxetine**, fluvoxamine, and **citalopram**). Other compounds that can be evaluated for use in the invention include: stimulants (e.g., amphetamine) or other drugs that presumably. . . have antidepressant effect such as adinazolam, adrafinil, amineptine, befloxatone, brofaromine, bupropion, captopril (capoten), clomipramine, corticotropin-releasing factor (CRF) antagonists, dothiepin (prothiaden), **duloxetine**, fengabine, flesinoxan, idazoxan, inositol, lofepramine, mianserin (bolvidon, norval), medifoxamine, milnacipran, minaprine, mirtazapine, moclobemide, modafanil, ondansetron (zofran), ProzacII, ritanserine (tisterton), rolipram, . . .  
 CLM What is claimed is:  
 6. The method of claim 4, in which the norepinephrine reuptake inhibitor is nortriptyline, maprotiline, protriptyline, trimipramine or **venlafaxine**.

18. The medicament of claim 16 in which the norepinephrine reuptake

inhibitor is nortriptyline, maprotiline, protriptyline, trimipramine or **venlafaxine**.

L1 ANSWER 102 OF 119 USPATFULL on STN  
AN 2002:17328 USPATFULL  
TI Dha-pharmaceutical agent conjugates of taxanes  
IN Shashoua, Victor, Brookline, MA, UNITED STATES  
Swindell, Charles, Merion, PA, UNITED STATES  
Webb, Nigel, Bryn Mawr, PA, UNITED STATES  
Bradley, Matthews, Layton, PA, UNITED STATES  
PI US 2002010208 A1 20020124  
US 6602902 B2 20030805  
AI US 2001-846838 A1 20010501 (9)  
RLI Continuation of Ser. No. US 1998-135291, filed on 17 Aug 1998, ABANDONED  
Continuation of Ser. No. US 1996-651312, filed on 22 May 1996, GRANTED,  
Pat. No. US 5795909  
DT Utility  
FS APPLICATION  
LREP Edward R. Gates, Esq., Wolf, Greenfield & Sacks, P.C., 600 Atlantic  
Avenue, Boston, MA, 02210  
CLMN Number of Claims: 19  
ECL Exemplary Claim: 1  
DRWN 14 Drawing Page(s)  
LN.CNT 2437  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
DETD . . . Daledalin Tosylate; Dapoxetine Hydrochloride; Dazadrol Maleate;  
Dazepinil Hydrochloride; Desipramine Hydrochloride; Dexamisole;  
Deximafen; Dibenzepin Hydrochloride; Dioxadrol Hydrochloride; Dothiepin  
Hydrochloride; Doxepin Hydrochloride; **Duloxetine**  
Hydrochloride; Eclanamine Maleate; Encyprate; Etoferidone Hydrochloride;  
Fantridone Hydrochloride; Fehmetozole Hydrochloride; Fenmetramide;  
Fezolamine Fumarate; Fluotracen Hydrochloride; **Fluoxetine**;  
**Fluoxetine** Hydrochloride; Fluparoxan Hydrochloride; Gamfexine;  
Guanoxyfen Sulfate; Imafen Hydrochloride; Imiloxan Hydrochloride;  
Imipramine Hydrochloride; Indeloxazine Hydrochloride; Intriptyline  
Hydrochloride; Iprindole; Isocarboxazid; Ketipramine Fumarate;. . .  
Napactadine Hydrochloride; Napamezole Hydrochloride; Nefazodone  
Hydrochloride; Nisoxetine; Nitrafudam Hydrochloride; Nomifensine  
Maleate; Nortriptyline Hydrochloride; Octriptyline Phosphate; Opipramol  
Hydrochloride; Oxaprotiline Hydrochloride; Oxypertine;  
**Paroxetine**; Phenelzine Sulfate; Pirandamine Hydrochloride;  
Pizotyline; Pridefine Hydrochloride; Prolintane Hydrochloride;  
Protriptyline Hydrochloride; Quipazine Maleate; Rolicyprine; Seproxetine  
Hydrochloride; **Sertraline** Hydrochloride; Sibutramine  
Hydrochloride; Sulpiride; Suritozole; Tametraline Hydrochloride;  
Tampramine Fumarate; Tandamine Hydrochloride; Thiazesim Hydrochloride;  
Thozalinone; Tomoxetine Hydrochloride; Trazodone Hydrochloride;  
Trebenzomine Hydrochloride; Trimipramine; Trimipramine Maleate;  
**Venlafaxine** Hydrochloride; Viloxazine Hydrochloride; Zimeldine  
Hydrochloride; Zometapine.  
DETD . . . Agents: Tricyclic anti-depressant drugs (e.g., imipramine,  
desipramine, amitriptyline, clornipramine, triripranine, doxepin,  
nortriptyline, protriptyline, amoxapine and maprotiline); non-tricyclic  
anti-depressant drugs (e.g., **sertraline**, trazodone and  
**citalopram**); Ca.sup.++ antagonists (e.g., veraparnil,  
nifedipine, nitrendipine and caroverine); Calmodulin inhibitors (e.g.,  
prenylamine, trifluoroperazine and clomipramine); Amphotericin B;  
Triparanol analogues (e.g., . . .  
DETD . . . flecainide; fleroxacin; flesinoxan; flezelastine;  
flobufen; flomoxef; florfenicol; florifenine; flosatidil; fluasterone;  
fluconazole; fludarabine; flumazenil; flumecinol; flumequine;  
flunarizine; fluocalcitriol; fluorodaunorunicin hydrochloride;  
**fluoxetine**, R-; **fluoxetine**, S-; fluparoxan;

flupirtine; flurbiprofen axetil; flurithromycin; fluticasone propionate; flutrimazole; fluvastatin; fluvoxamine; forasartan; forfenimex; formestane; fonnoterol; forrnoterol, R,R-; fosfomycin; trometamol; fosinopril;. . . oxodipine; ozagrel; palauamine; palinavir; palmitoylrhizoxin; pamaqueside; parnicogrel; pamidronic acid; panarnesine; panaxytriol; panipenem; panipenum; pannorin; panomifene; pantethine; pantoprazole; parabactin; pamaparin sodium; **paroxetine**; parthenolide; pazelliptine; pazufloxacin; pefloxacin; pegaspargase; peldesine; pemedolac; pemirolast; penciclovir; pentafluside; pentamidine; pentamorphone; pentigetide; pentosan; pentostatin; pentrozole; perflubron; perfosfamide; pergolide; perindoprilat;. . . SarCNU; sarcophytol A sargrarnostim; sarpogrelate; saruplase; saterinone; satigrel; satumomab pendetide; selegiline; selenium thiosemicarbazone; sematilide; semduramicin; semotiadil; semustine; sermorelin; sertaconazole; sertindole; **sertraline**; setiptiline; sevirumab; sevoflurane; sezolamide; silipide; silteplase; simendan; simvastatin; sinitrodil; sinnabidol; sipatrigine; sirolimus; sizofiran; somatomedin B; somatomedin C; somatrem; somatropin; sonermin;. . . trovirdine; tucaresol; tulobuterol; tylogenin; urapidil; uridine triphosphate; valaciclovir; valproate magnesium; valproate semisodium; valsartan; vamicamide; vanadeine; vaninolol; vapreotide; variolin B; velaresol; **venlafaxine**; veramine; verapamil, (S); verdins; veroxan; verteporfin; vesnarinone; vexibinol; vigabatrin; vinburnine citrate; vinburnine resinate; vinconate; vinorelbine; vinpocetine; vinpocetine citrate; vintoperol; vinxaltine;. . .

L1 ANSWER 103 OF 119 USPATFULL on STN  
AN 2001:160986 USPATFULL  
TI Use of sulfamate derivatives for treating impulse control disorders  
IN McElroy, Susan L., Cincinnati, OH, United States  
PI US 2001023254 A1 20010920  
US 6323236 B2 20011127  
AI US 2000-506991 A1 20000218 (9)  
DT Utility  
FS APPLICATION  
LREP FROST BROWN TODD, LLC, 2200 PNC CENTER, 201 E. FIFTH STREET, CINCINNATI, OH, 45202  
CLMN Number of Claims: 14  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 933  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
SUMM . . . Daledalin Tosylate; Dapoxetine Hydrochloride; Dazadrol Maleate; Dazepinil Hydrochloride; Desipramine Hydrochloride; Dexamisole; Deximafen; Dibenzepin Hydrochloride; Dioxadrol Hydrochloride; Dothiepin Hydrochloride; Doxepin Hydrochloride; **Duloxetine** Hydrochloride; Eclanamine Maleate; Encyprate; Etoferidone Hydrochloride; Fantridone Hydrochloride; Fehmetozole Hydrochloride; Fenmetramide; Fezolamine Fumarate; Fluotracen Hydrochloride; **Fluoxetine**; **Fluoxetine** Hydrochloride; Fluparoxan Hydrochloride; Gamfexine; Guanoxyfen Sulfate; Imafen Hydrochloride; Imiloxan Hydrochloride; Imipramine Hydrochloride; Indeloxazine Hydrochloride; Intriptyline Hydrochloride; Iprindole; Isocarboxazid; Ketipramine Fumarate;. . . Napactadine Hydrochloride; Napamezole Hydrochloride; Nefazodone Hydrochloride; Nisoxetine; Nitrafudam Hydrochloride; Nomifensine Maleate; Nortriptyline Hydrochloride; Octriptyline Phosphate; Opipramol Hydrochloride; Oxaprotiline Hydrochloride; Oxyptertine; **Paroxetine**; Phenelzine Sulfate; Pirandamine Hydrochloride; Pizotyline; Pridetine Hydrochloride; Prolintane Hydrochloride; Protriptyline Hydrochloride; Quipazine Maleate; Rolicyprine; Seproxetine Hydrochloride; **Sertraline** Hydrochloride; Sibutramine Hydrochloride; Sulpiride; Suritozole; Tametraline Hydrochloride; Tampramine Fumarate; Tandamine Hydrochloride; Thiazesim Hydrochloride;

Thozalinone; Tomoxetine Hydrochloride; Trazodone Hydrochloride; Trebenzomine Hydrochloride; Trimipramine; Trimipramine Maleate; **Venlafaxine** Hydrochloride; Viloxazine Hydrochloride; Zimeldine Hydrochloride; Zometapine.

SUMM . . . I. Treatment of Binge Eating (Binge Eating Disorder, Bulimia Nervosa, Anorexia Nervosa with Binge eating) with serotonin re-uptake inhibitors (e.g., **citalopram** (CELEXA), clomipramine (ANAFRANIL)), **fluoxetine** (PROZAC), fluvoxamine (LUVOX), **venlafaxine** (EFFEXOR), other antidepressants (e.g., bupropion (WELLBUTRIN) nefazodone (SERZONE), tricyclics (e.g., NORPRAMIN and PAMELOR), trazodone (DESYREL), Substance P antagonists), psychostimulants, (e.g., . . .

L1 ANSWER 104 OF 119 USPATFULL on STN

AN 2001:90260 USPATFULL

TI Fatty acid-pharmaceutical agent conjugates

IN Webb, Nigel L., Bryn Mawr, PA, United States  
Bradley, Matthews O., Laytonsville, MD, United States  
Swindell, Charles S., Merion, PA, United States  
Shashoua, Victor E., Brookline, MA, United States

PI US 2001002404 A1 20010531

US 6576636 B2 20030610

AI US 2000-730450 A1 20001205 (9)

RLI Continuation of Ser. No. US 1996-651428, filed on 22 May 1996, ABANDONED

DT Utility

FS APPLICATION

LREP Edward R. Gates, Wolf, Greenfield & Sacks, P.C., 600 Atlantic Avenue,  
Boston, MA, 02210

CLMN Number of Claims: 12

ECL Exemplary Claim: 1

DRWN 14 Drawing Page(s)

LN.CNT 2511

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . Daledalin Tosylate; Dapoxetine Hydrochloride; Dazadrol Maleate; Dazepinil Hydrochloride; Desipramine Hydrochloride; Dexamisole; Deximafen; Dibenzeprin Hydrochloride; Dioxadrol Hydrochloride; Dothiepin Hydrochloride; Doxepin Hydrochloride; **Duloxetine** Hydrochloride; Eclanamine Maleate; Encyprate; Etoferidone Hydrochloride; Fantridone Hydrochloride; Fenmetozole Hydrochloride; Fenmetramide; Fezolamine Fumarate; Fluotracen Hydrochloride; **Fluoxetine**; **Fluoxetine** Hydrochloride; Fluparoxan Hydrochloride; Gamfexine; Guanoxyfen Sulfate; Imafen Hydrochloride; Imiloxan Hydrochloride; Imipramine Hydrochloride; Indeloxazine Hydrochloride; Intriptyline Hydrochloride; Iprindole; Isocarboxazid; Ketipramine Fumarate; . . . Napactadine Hydrochloride; Napamezole Hydrochloride; Nefazodone Hydrochloride; Nisoxetine; Nitrafudam Hydrochloride; Nomifensine Maleate; Nortriptyline Hydrochloride; Octriptyline Phosphate; Opipramol Hydrochloride; Oxaprotiline Hydrochloride; Oxypertine; **Paroxetine**; Phenelzine Sulfate; Pirandamine Hydrochloride; Pizotyline; Pridefine Hydrochloride; Prolintane Hydrochloride; Protriptyline Hydrochloride; Quipazine Maleate; Rolicyprine; Seproxetine Hydrochloride; **Sertraline** Hydrochloride; Sibutramine Hydrochloride; Sulpiride; Suritozole; Tametraline Hydrochloride; Tampramine Fumarate; Tandamine Hydrochloride; Thiazesim Hydrochloride; Thozalinone; Tomoxetine Hydrochloride; Trazodone Hydrochloride; Trebenzomine Hydrochloride; Trimipramine; Trimipramine Maleate; **Venlafaxine** Hydrochloride; Viloxazine Hydrochloride; Zimeldine Hydrochloride; Zometapine.

DETD . . . Agents: Tricyclic anti-depressant drugs (e.g., imipramine, desipramine, amitriptyline, clomipramine, trimipramine, doxepin, nortriptyline, protriptyline, amoxapine and maprotiline); non-tricyclic anti-depressant drugs (e.g., **sertraline**, trazodone and **citalopram**); Ca.sup.++ antagonists (e.g., verapamil, nifedipine, nitrendipine and caroverine); Calmodulin inhibitors (e.g., prenylamine,

trifluoroperazine and clomipramine); Amphotericin B; Triparanol analogues (e.g., . . . .

DETD . . . . cicloprolol; cidofovir; cilansetron; cilazapril; cilnidipine; cilobradine; cilostazol; cimetropium bromide; cinitapride; cinolazepam; cioteronel; ciprofibrate; ciprofloxacin; ciprostone; cis-porphyrin; cisapride; cisatracurium besilate; cistinexine; **citalopram**; citicoline; citreamicin alpha; cladribine; clarithromycin; clausenamide; clebopride; clinafloxacin; clobazam; clobetasone butyrate; clodronic acid; clomethiazole; clopidogrel; clotrimazole; colestimide; colfosceril palmitate; collismycin. . . . flecainide; flerobuterol; fleroxacin; flesinoxan; flezelastine; flubufen; flomoxef; florfenicol; florifenine; flosatidil; fluasterone; fluconazole; fludarabine; flumazenil; flumecinol; flumequine; flunarizine; fluocalcitriol; fluorodaunorunicin hydrochloride; **fluoxetine**, R-; **fluoxetine**, S-; fluparoxan; flupirtine; flurbiprofen axetil; flurithromycin; fluticasone propionate; flutrimazole; fluvastatin; fluvoxamine; forasartan; forfenimex; formestane; formoterol; formoterol, R,R-; fosfomycin; trometamol; fosinopril;. . . . oxodipine; ozagrel; palauamine; palinavir; palmitoylrhizoxin; pamaqueside; pamicogrel; pamidronic acid; panamesine; panaxytriol; panipenem; panipenum; pannorin; panomifene; pantethine; pantoprazole; parabactin; pamaparin sodium; **paroxetine**; parthenolide; pazelliptine; pazufloxacin; pefloxacin; pegaspargase; peldesine; pemedolac; pemirolast; penciclovir; pentafuside; pentamidine; pentamorphone; pentigetide; pentosan; pentostatin; pentrozole; perflubron; perfosfamide; pergolide; perindoprilat;. . . . SarCNU; sarcophytol A sargramostim; sarpogrelate; saruplase; saterinone; satigrel; satumomab pendetide; selegiline; selenium thiosemicarbazone; sematilide; semduramicin; semotiadil; semustine; sermorelin; sertaconazole; sertindole; **sertraline**; setiptiline; sevirumab; sevoflurane; sezolamide; silipide; silteplase; simendan; simvastatin; sinitrodil; sinnabidol; sipatrigine; sirolimus; sizofiran; somatomedin B; somatomedin C; somatrem; somatropin; sonermin;. . . . trovirdine; tucaresol; tulobuterol; tylogenin; urapidil; uridine triphosphate; valaciclovir; valproate magnesium; valproate semisodium; valsartan; vamicamide; vanadeine; vaninolol; vapreotide; variolin B; velaresol; **venlafaxine**; veramine; verapamil, (S); verdins; veroxan; verteporfin; vesnarinone; vexibinol; vigabatrin; vinbumine citrate; vinbumine resinate: vinconate; vinorelbine; vinpocetine; vinpocetine citrate; vintoperol; vinxaltine;.

L1 ANSWER 105 OF 119 USPATFULL on STN

AN 2001:40474 USPATFULL

TI Non-peptidyl vasopressin V1a antagonists

IN Bruns, Jr., Robert F, Carmel, IN, United States  
Cooper, Robin DG, Indianapolis, IN, United States  
Dressman, Bruce A, Indianapolis, IN, United States  
Hunden, David C, Carmel, IN, United States  
Kaldor, Stephen W, Indianapolis, IN, United States  
Koppel, Gary A, Indianapolis, IN, United States  
Rizzo, John R, Indianapolis, IN, United States  
Skelton, Jeffrey J, Indianapolis, IN, United States  
Steinberg, Mitchell I, Indianapolis, IN, United States

PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

PI US 6204260 B1 20010320  
WO 9730707 19970828

AI US 1999-125737 19990819 (9)  
WO 1997-US3039 19970220  
19990819 PCT 371 date  
19990819 PCT 102(e) date

PRAI GB 1996-5044 19960309  
GB 1996-5045 19960309  
GB 1996-5046 19960309

US 1996-12149P            19960223 (60)  
 US 1996-12188P            19960223 (60)  
 US 1996-12215P            19960223 (60)

DT      Utility  
 FS      Granted  
 EXNAM   Primary Examiner: Lambkin, Deborah C.  
 LREP     Titus, Robert D.  
 CLMN     Number of Claims: 12  
 ECL      Exemplary Claim: 1  
 DRWN     No Drawings  
 LN.CNT   3548  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD     **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No. . . . compound. Robertson et al., J. Med. Chem., 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . . .

DETD     **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule;

DETD     **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent;

DETD     **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen et. . . .

DETD     **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur. . . .

DETD     **Sertraline**, (1S-cis)-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-1-naphthylamine hydrochloride, is a serotonin reuptake inhibitor which is marketed as an antidepressant. It is disclosed by U.S. Pat. No. . . .

L1      ANSWER 106 OF 119    USPATFULL on STN  
 AN      2001:4747    USPATFULL  
 TI      Compounds having effects on serotonin-related systems  
 IN      Audia, James E., Indianapolis, IN, United States  
          Hibsichman, David J., Bargersville, IN, United States  
          Krushinski, Jr., Joseph H., Indianapolis, IN, United States  
          Mabry, Thomas E., Indianapolis, IN, United States  
          Nissen, Jeffrey S., Fishers, IN, United States  
          Rasmussen, Kurt, Fishers, IN, United States  
          Rocco, Vincent P., Indianapolis, IN, United States  
          Schaus, John M., Zionsville, IN, United States  
          Thompson, Dennis C., Indianapolis, IN, United States  
          Wong, David T., Indianapolis, IN, United States  
 PA      Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)  
 PI      US 6172073            B1      20010109  
 AI      US 1998-49837                    19980327 (9)  
 RLI     Division of Ser. No. US 1995-467434, filed on 6 Jun 1995, now patented, Pat. No. US 5741789 Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned  
 DT      Patent

FS        Granted  
EXNAM    Primary Examiner: Raymond, Richard L.  
LREP     Lentz, Nelsen L.  
CLMN     Number of Claims: 8  
ECL      Exemplary Claim: 1  
DRWN     No Drawings  
LN.CNT 5343

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM     . . . the present pharmaceuticals have a second activity as inhibitors of reuptake of serotonin. The best-known pharmaceutical with that efficacy is **fluoxetine**, and the importance of its use in the treatment of depression and other conditions is extremely well documented and publicized.

DETD     . . . efficacy of the compounds of Formulae XI and XIII to inhibit the reuptake of serotonin has been determined by a **paroxetine** binding assay, the usefulness of which is set out by Wong, et al., Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations from . . . C. between the second and third washes. The resulting pellet was stored at -70.degree. C. until use. Binding of .sup.3 H-**paroxetine** to 5-HT uptake sites was carried out in 2 m-1-reaction medium containing the appropriate drug concentration, 0.1 nM .sup.3 H-**paroxetine**, and the cerebral cortical membrane (50 .mu.g protein/tube). Samples were incubated at 37.degree. C. for 30 minutes; those containing 1 .mu.M **fluoxetine** were used to determine nonspecific binding of .sup.3 H-**paroxetine**. After incubation, the tubes were filtered through Whatman GF/B filters, which were soaked in 0.05% polyethylenimine for 1 hour before. . .

DETD     . . . in non-human animals is only now beginning, and that some instances of such treatments are coming into use. For example, **fluoxetine**, and perhaps other serotonin reuptake inhibitors, are being used in companion animals such as dogs for the treatment of behavioral. . .

DETD     . . . administration of drugs which inhibit the reuptake of serotonin. The treatment of depression with drugs of the class of which **fluoxetine** is the leader has become perhaps the greatest medical breakthrough of the past decade. Numerous other treatment methods carried out. . .

DETD     . . . dopamine, in the brain of subjects to whom the drug combination is administered. Typical and appropriate reuptake inhibitors (SRI) are **fluoxetine**, **duloxetine**, **venlafaxine**, **sertraline**, **milnacipran**, **citalopram**, **fluvoxamine** and **paroxetine**. Accordingly, the present invention provides a method for potentiating the action of a serotonin reuptake inhibitor, - particularly one of the group consisting of **fluoxetine**, **duloxetine**, **venlafaxine**, **milnacipran**, **sertraline**, **citalopram**, **fluvoxamine** and **paroxetine**, in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprising administering said serotonin reuptake inhibitor in combination. . .

DETD     **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No. . . compound. Robertson, et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . .

DETD     **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule.



DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent.

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, et. . . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518.

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur. . . .

DETD In general, combinations and methods of treatment using **fluoxetine** or **duloxetine** as the SRI are preferred.

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;

DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

DETD . . . the present treatment methods include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence.

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated than they were only a decade ago. **Duloxetine** is in clinical trials for the treatment of depression and is likely to become a marketed drug for the purpose.

DETD . . . disease. A badly afflicted subject may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . . .

DETD Obesity is a frequent condition in the American population. It has been found that **fluoxetine** will enable an obese subject to lose weight, with the resulting benefit to the circulation and heart condition, as well. . . .

DETD . . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at once, and so is important to the many who suffer from. . . .

L1 ANSWER 107 OF 119 USPATFULL on STN

AN 2000:153705 USPATFULL

TI Combination therapy for treatment of psychoses

IN Bymaster, Franklin P., Brownsburg, IN, United States  
Perry, Kenneth W., Indianapolis, IN, United States  
Tollefson, Gary D., Indianapolis, IN, United States

PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

PI US 6147072 20001114

AI US 1997-935872 19970923 (8)

PRAI US 1996-26884P 19960923 (60)

DT Utility

FS Granted

EXNAM Primary Examiner: Jarvis, William R. A.

LREP Titus, Robert D.

CLMN Number of Claims: 22  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 836

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No. . . . compound. Robertson et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . . .

DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule;

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent;

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen et. . . .

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur. . . .

DETD **Sertraline**, (1S-cis)-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-1-naphthylamine hydrochloride, is a serotonin reuptake inhibitor which is marketed as an antidepressant. It is disclosed by U.S. Pat. No. . . .

DETD olanzapine/**fluoxetine**

DETD olanzapine/**venlafaxine**

DETD olanzapine/**paroxetine**

DETD olanzapine/**sertraline**

DETD olanzapine/**duloxetine**

DETD clozapine/**fluoxetine**

DETD risperidone/**fluoxetine**

DETD sertindole/**fluoxetine**

DETD quetiapine/**fluoxetine**

DETD ziprasidone/**fluoxetine**

DETD . . . combinations and methods of treatment using olanzapine as the first component are preferred. Furthermore, combinations and methods of treatment using **fluoxetine** as the second component are preferred. Especially preferred are combinations and methods of treatment using olanzapine as the first component and **fluoxetine** as the second component.

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;

DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 20 to about 50 mg once/day; preferred, from about 20 to about 30 mg once/day.

DETD **Sertraline**: from about 20 to about 500 mg once/day; preferred, from about 50 to about 200 mg once/day;

DETD . . . are cellulose acetate phthalate, polyvinyl acetate phthalate,

hydroxypropyl methylcellulose phthalate and hydroxypropyl methylcellulose acetate succinate. It is preferred to formulate **duloxetine** and **duloxetine**-containing combinations as enteric compositions, and even more preferred to formulate them as enteric pellets.

DETD A preferred **duloxetine** enteric formulation is a pellet formulation comprising a) a core consisting of **duloxetine** and a pharmaceutically acceptable excipient; b) an optional separating layer; c) an enteric layer comprising hydroxypropylmethylcellulose acetate succinate (HPMCAS) and. . .

DETD

#### Formulation 1

Hard gelatin capsules are prepared using the following ingredients:

	Quantity (mg/capsule)	
Olanzapine	25	mg
<b>Fluoxetine</b> , racemic, hydrochloride	20	
Starch, dried	150	
Magnesium stearate	10	
Total	210	mg

#### Formulation 2

A tablet is prepared using the ingredients below:

	Quantity (mg/capsule)	
Olanzapine	10	
<b>Fluoxetine</b> , racemic, hydrochloride	10	
Cellulose, microcrystalline	275	
Silicon dioxide, fumed	10	
Stearic acid	5	
Total	310	mg

The components are blended and compressed to form tablets each weighing 465 mg.

#### Formulation 3

An aerosol solution is prepared containing the following components:

	Weight	
Risperidone	5	mg
(+)- <b>Duloxetine</b> , hydrochloride	10	
Ethanol	25.75	
Propellant 22 (Chlorodifluoromethane)	60.00	
Total	100.75	mg

DETD

#### Formulation 4

Tablets, each containing 80 mg of active ingredient, are made as follows:

Sertindole	60	mg
(+)- <b>Duloxetine</b> , hydrochloride	20	mg
Starch	30	mg
Microcrystalline cellulose	20	mg

Polyvinylpyrrolidone 4 mg  
(as 10% solution in water)  
Sodium carboxymethyl starch 4.5 mg  
Magnesium stearate 0.5 . . .

DETD

Formulation 5

Capsules, each containing 130 mg of active ingredient, are made as follows:

Quetiapine	70	mg
<b>Fluoxetine</b> , racemic, hydrochloride	30	mg
Starch	39	mg
Microcrystalline cellulose	39	mg
Magnesium stearate	2	mg
Total	180	mg

DETD

Formulation 6

Suppositories, each containing 45 mg of active ingredient, are made as follows:

Ziprasidone	75	mg
(+)- <b>Duloxetine</b> , hydrochloride	5	mg
Saturated fatty acid glycerides	2,000	mg
Total	2,080	mg

DETD

Formulation 7

Suspensions, each containing 70 mg of active ingredient per 5 ml dose, are made as follows:

Olanzapine	20	mg
<b>Sertraline</b>	100	mg
Sodium carboxymethyl cellulose 50 mg		
Syrup	1.25	ml
Benzoic acid solution	0.10	ml
Flavor	q.v.	
Color	q.v.	
Purified water to total	5	ml

DETD

Formulation 8

An intravenous formulation may be prepared as follows:

Olanzapine	20	mg
<b>Paroxetine</b>	25	mg
Isotonic saline	1,000	ml

DETD . . . under chloral hydrate/pentobarbital anesthesia (170 and 36 mg/kg i.p. in 30% propylene glycol, 14% ethanol) (Perry and Fuller, Effect of **fluoxetine** on serotonin and dopamine concentration in rat hypothalamus after administration of **fluoxetine** plus L-5-hydroxytryptophan, Life Sci., 50, 1683-90 (1992)). A David Kopf stereotaxic instrument is used to implant the probe unilaterally in. . .

CLM What is claimed is:

. . . consisting of olanzapine, clozapine, risperidone, sertindole, quetiapine, and ziprasidone; and the second component is selected from

the group consisting of **fluoxetine**, **venlafaxine**, **citalopram**, **fluvoxamine**, **paroxetine**, **sertraline**, **milnacipran** and **duloxetine**.

4. A method of claim 1 wherein the second component compound is **fluoxetine**.

8. A method of claim 1 wherein the first component compound is **olanzapine** and the second component compound is **fluoxetine**.

9. A method of claim 8 wherein the **fluoxetine** is racemic **fluoxetine**.

10. A method of claim 8 wherein the **fluoxetine** is racemic **fluoxetine** hydrochloride.

11. A method of claim 8 wherein the **fluoxetine** is R-**fluoxetine**.

12. A method of claim 8 wherein the **fluoxetine** is R-**fluoxetine** hydrochloride.

L1 ANSWER 108 OF 119 USPTAFULL on STN

AN 2000:64869 USPTAFULL

TI Potentiation of pharmaceuticals

IN Perry, Kenneth Wayne, Indianapolis, IN, United States

PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

PI US 6066643 20000523

AI US 1998-169369 19981009 (9)

PRAI US 1997-62282P 19971017 (60)

DT Utility

FS Granted

EXNAM Primary Examiner: Henley, III, Raymond

LREP Scott Alexander McNeil, Demeter, John C.

CLMN Number of Claims: 28

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 615

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM Pharmaceutical agents used in treating depression include amitriptyline, clomipramine, doxepin, imipramine, (+)-trimipramine, amoxapine, desipramine, maprotiline, nortriptyline, protriptyline, (.-.-)-**fluoxetine**, **fluvoxamine**, **paroxetine**, **sertraline**, (.-.-)-**venlafaxine**, bupropion, nefazodone, and trazodone.

SUMM Pharmaceutical agents used in treating bulimia include amitriptyline, clomipramine, doxepin, imipramine, (+)-trimipramine, amoxapine, desipramine, maprotiline, nortriptyline, protriptyline, (.-.-)-**fluoxetine**, **fluvoxamine**, **paroxetine**, **sertraline**, and (.-.-)-**venlafaxine**.

SUMM Pharmaceutical agents used in treating premenstrual syndrome include amitriptyline, clomipramine, doxepin, imipramine, (+)-trimipramine, amoxapine, desipramine, maprotiline, nortriptyline, protriptyline, (.-.-)-**fluoxetine**, **fluvoxamine**, **paroxetine**, **sertraline**, (.-.-)-**venlafaxine**, bupropion, nefazodone, and trazodone.

SUMM Pharmaceutical agents used in treating Obsessive Compulsive Disorder include clomipramine, (.-.-)-**fluoxetine**, **fluvoxamine**, **paroxetine**, **sertraline**, and (.-.-)-**venlafaxine**.

SUMM **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as

the racemic mixture of its two enantiomers. U.S. Pat. No. . . . compound. Robertson et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. (It will be appreciated that in. . . drug is used to signify a chemical compound and its pharmaceutically acceptable salts and enantiomeric forms. For example, the term "**fluoxetine**" will be used to include any acid addition salt, the free base, the racemic mixture and the separate R and. . .

- SUMM **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin re-uptake inhibitor. Its pharmacology was disclosed by Christensen et. . .
- SUMM **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur. . .
- SUMM **Sertraline**, (1S-cis)-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-1-naphthylamine hydrochloride, is a serotonin re-uptake inhibitor which is marketed as an antidepressant. It is disclosed by U.S. Pat. No. . . .
- SUMM **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt and the free base of the molecule;
- SUMM A **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent; and
- SUMM Preferably, the agent is selected from **fluoxetine**, **citalopram**, **fluvoxamine**, **paroxetine**, **sertraline**, **tomoxetine**, **reboxetine**, **duloxetine**, **venlafaxine** and **milnacipran**.
- SUMM **Fluoxetine** is a particularly preferred agent in the method according to the invention.
- SUMM . . . method for the treatment of depression in a warm blooded mammal requiring treatment, which comprises administering an effective amount of **fluoxetine** and an effective amount of **moxonidine**.
- DETD . . . particular agent selected, and may readily be determined by those skilled in the art, for example, the dose at which **fluoxetine** is administered may typically be in the range of from 10 to 80 mg/day.
- DETD The potentiating effect of **moxonidine** on the antidepressant action of **fluoxetine** is demonstrated by the following clinical trial.
- DETD . . . major depression as defined in the Diagnostic and Statistical Manual of Mental Disorders, Fourth Edition (DSM-IV) are either dosed with **fluoxetine** 20 mg daily and **moxonidine** 0.2 mg twice daily, increasing after one week to **fluoxetine** 20 mg daily and **moxonidine** 0.3 mg twice daily, or **fluoxetine** 20 mg daily and placebo twice daily in a double-blind, randomized clinical trial. The time to onset of action, and the percentage of patients responding to **fluoxetine** treatment with and without co-administration of **moxonidine** is then determined.
- DETD **Moxonidine**, **imipramine**, **fluoxetine**, and **idazoxan** (Research Biochemicals International, Massachusetts, USA) were all made up in  $\beta$ -cyclodextrin. All compounds were injected sc in a. . .

DETD TABLE 4

The effect of **Moxonidine** (0.25-1 mg/kg s.c.) vs.

**Fluoxetine** (3 mg/kg) on immobility in the FST in mice.

Data are mean time spent immobile in the FST for each. . .

- DETD . . . **moxonidine** enhanced the effects of **imipramine**, a typical tricyclic antidepressant, but had no effect in combination with a dose

of **fluoxetine**. Considering test's insensitivity to serotonin re-uptake inhibitors, the potentiating effect of moxonidine on serotonin re-uptake inhibitors is not fully demonstrated.. . .

CLM What is claimed is:

2. A pharmaceutical composition, comprising moxonidine, or a pharmaceutically acceptable salt thereof, and an agent selected from **fluoxetine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, tomoxetine, reboxatine, **duloxetine**, **venlafaxine** and milnacipran.

3. A pharmaceutical composition, comprising moxonidine, or a pharmaceutically acceptable salt thereof, and **fluoxetine**.

8. A method for treating depression in a warm blooded mammal requiring treatment, which comprises administering an effective amount of **fluoxetine** and an effective amount of moxonidine, or a pharmaceutically acceptable salt thereof.

9. A method of claim 4 in which said agent is selected from **fluoxetine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, tomoxetine, reboxatine, **duloxetine**, **venlafaxine** and milnacipran.

10. A method of claim 5 in which said agent is selected from **fluoxetine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, tomoxetine, reboxatine, **duloxetine**, **venlafaxine** and milnacipran.

11. A method of claim 6 in which said agent is selected from **fluoxetine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, tomoxetine, reboxatine, **duloxetine**, **venlafaxine** and milnacipran.

12. A method of claim 7 in which said agent is selected from **fluoxetine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, tomoxetine, reboxatine, **duloxetine**, **venlafaxine** and milnacipran.

13. A method of claim 9 in which said agent is **fluoxetine**.

14. A method of claim 10 in which said agent is **fluoxetine**.

15. A method of claim 11 in which said agent is **fluoxetine**.

16. A method of claim 12 in which said agent is **fluoxetine**.

L1 ANSWER 109 OF 119 USPATFULL on STN

AN 1999:151262 USPATFULL

TI Use of 5HT4 receptor antagonists for overcoming gastrointestinal effects of serotonin reuptake inhibitors

IN Meulemans, Ann Louise Gabrielle, Mol, Belgium

Bosmans, Jean-Paul Rene Marie Andre, Rijkevorsel, Belgium

PA Janssen Pharmaceutica, N.V., Beerse, Belgium (non-U.S. corporation)

PI US 5990159 19991123

WO 9729739 19970821

AI US 1998-117974 19980811 (9)

WO 1997-EP586 19970207

19980811 PCT 371 date

19980811 PCT 102(e) date

PRAI EP 1996-200380 19960215

DT Utility

FS Granted

EXNAM Primary Examiner: Henley, III, Raymond

LREP Coletti, Ellen Ciambrone  
 CLMN Number of Claims: 11  
 ECL Exemplary Claim: 1  
 DRWN 8 Drawing Figure(s); 8 Drawing Page(s)  
 LN.CNT 471  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 SUMM Selective Serotonin Reuptake Inhibitors are, for instance, fluvoxamine, **fluoxetine**, **paroxetine**, **sertraline**, **citalopram**, **venlafaxine**, **cericlamine**, **duloxetine**, milnacipran, nefazodone, cyanodothiepin, CGP-6085-A, FG-7080, LY-280253, LY-285974 or RP 68303. (This list is not meant to be exhaustive). An overview. . .  
 SUMM **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;  
 SUMM **paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 5 to about 300 mg-once/day.  
 SUMM **citalopram**/GR 125487;  
 SUMM **paroxetine**/GR 125487  
 SUMM **fluoxetine**/GR 125487  
 SUMM **citalopram**/SB 204070;  
 SUMM **paroxetine**/SB 204070  
 SUMM **fluoxetine**/SB 204070  
 DRWD FIG. 2 Effect of **citalopram** (0.63 mg/kg s.c.) on gastric relaxation induced by changes in pressure in conscious dogs. (n=4)  
 DRWD FIG. 3 Effect of **paroxetine** (0.63 mg/kg s.c.) on gastric relaxation induced by changes in pressure in conscious dogs. (n=4)  
 DRWD FIG. 4 Effect of **fluoxetine** (0.63 mg/kg s.c.) on gastric relaxation induced by changes in pressure in conscious dogs. (n=4)  
 DETD . . . to 5 show an analogous behaviour of the gastric tone when other SSRIs are administered. The effect is shown for **citalopram** (FIG. 2), **paroxetine** (FIG. 3), **fluoxetine** (FIG. 4) and CGP-6085-A (FIG. 5).  
 CLM What is claimed is:  
 3. The method of claim 1 wherein the selective serotonin reuptake inhibitor is selected from fluvoxamine, **fluoxetine**, **paroxetine**, **sertraline**, **citalopram**, **venlafaxine**, **cericlamine**, **duloxetine**, milnacipran, nefazodone, cyanodothiepin, CGP-6085-A, FG-7080, LY 280253, LY-285974 or RP 68303.  
 5. The method of claim 1 wherein the selective serotonin reuptake inhibitor is fluvoxamine, **citalopram**, **paroxetine**, **fluoxetine**, CPG-6085-A.  
 8. A pharmaceutical composition as claimed in claim 7 wherein the selective serotonin reuptake inhibitor is **fluoxetine**.  
 L1 ANSWER 110 OF 119 USPATFULL on STN  
 AN 1999:117001 USPATFULL  
 TI Potentiation of serotonin response  
 IN Wong, David T, Indianapolis, IN, United States  
 PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)  
 PI US 5958429 19990928  
 WO 9706792 19970227  
 AI US 1998-11937 19980728 (9)  
 WO 1996-US13274 19960816  
 19980728 PCT 371 date  
 19980728 PCT 102(e) date  
 DT Utility  
 FS Granted  
 EXNAM Primary Examiner: Page, Thurman K.; Assistant Examiner: Ware, T.  
 LREP Titus, Robert D.



CLMN Number of Claims: 14  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 1105

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM Perhaps the most dramatic discovery in medicinal chemistry in the recent past is **fluoxetine**, a serotonin reuptake inhibitor, which is extremely effective in the treatment of depression. As a reuptake inhibitor, it increases the . . . uptake carrier. Excessive uptake results in depression, as well as other pathologies of the central nervous system. Not only is **fluoxetine** spectacularly effective in depression, it is also effective in treating numerous other conditions.

SUMM While the primary activity of **fluoxetine** and related drugs is the inhibition of the reuptake of serotonin, the cascade of monoamine processes in the brain connects. . . .

SUMM . . . for increasing the availability of serotonin, norepinephrine and dopamine, even compared to the usual increased availability caused by treatment with **fluoxetine** and related drugs which have followed it.

SUMM The invention provides a method for potentiating the action of a first component chosen from the group consisting of **fluoxetine**, **venlafaxine**, **citalopram**, **fluvoxamine**, **paroxetine**, **sertraline**, **milnacipran**, and **duloxetine** in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprising administering a first component to a patient. . . .

SUMM **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No. . . . compound. Robertson et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . . .

SUMM **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule.

SUMM **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501.

**Venlafaxine** is identified as compound A in that patent.

SUMM **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen et. . . .

SUMM **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur. . . .

SUMM **Sertraline**, (1S-cis)-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-1-naphthylamine hydrochloride, is a serotonin reuptake inhibitor which is marketed as an antidepressant. It is disclosed by U.S. Pat. No. . . .

SUMM **Duloxetine** and **fluoxetine**, as well as the other first components, are known to increase the availability of serotonin (5-HT), dopamine (DA) and norepinephrine. . . .

SUMM **fluoxetine**/pindolol/5-hydroxy-L-tryptophan

SUMM **duloxetine**/pindolol/5-hydroxy-L-tryptophan

SUMM **fluoxetine**/penbutolol/5-hydroxy-L-tryptophan

SUMM **duloxetine**/penbutolol/L-tryptophan

SUMM **fluoxetine**/propranolol/5-hydroxy-L-tryptophan  
SUMM **duloxetine**/propranolol/L-tryptophan  
SUMM **fluoxetine**/tertatolol/L-tryptophan  
SUMM **duloxetine**/tertatolol/5-hydroxy-L-tryptophan  
SUMM **fluoxetine**/4-(2-hydroxy-3-cyclohexylaminopropoxy)-indole/L-tryptophan  
SUMM **duloxetine**/4-(2-hydroxy-3-cyclohexylaminopropoxy)-indole/5-hydroxy-L-tryptophan  
SUMM In general, combinations and methods of treatment using **fluoxetine** or **duloxetine** as the first component are preferred.  
SUMM **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . .  
SUMM **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;  
SUMM **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;  
SUMM **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;  
SUMM **Paroxetine**: from about 20 to about 50 mg once/day; preferred, from about 20 to about 30 mg once/day.  
SUMM **Sertraline**: from about 20 to about 500 mg once/day; preferred, from about 50 to about 200 mg once/day;  
SUMM . . . are cellulose acetate phthalate, polyvinyl acetate phthalate, hydroxypropyl methylcellulose phthalate and hydroxypropyl methylcellulose acetate succinate. It is preferred to formulate **duloxetine** and **duloxetine**-containing combinations as enteric compositions, and even more preferred to formulate them as enteric pellets.  
SUMM A preferred **duloxetine** enteric formulation is a pellet formulation comprising a) a core consisting of **duloxetine** and a pharmaceutically acceptable excipient; b) an optional separating layer; c) an enteric layer comprising hydroxypropylmethylcellulose acetate succinate (HPMCAS) and. . .

DETD

10 mg **Duloxetine** base/capsule  
Bill of Materials

Beads

Sucrose - starch nonpareils, 20-25 mesh  
60.28 mg

**Duloxetine** layer

**Duloxetine** 11.21

Hydroxypropylmethylcellulose  
3.74

Separating layer

Hydroxypropylmethylcellulose  
2.51

Sucrose 5.00

Talc, 500 mesh 10.03

Enteric layer

HPMCAS, LF grade, Shin-Etsu Chemical  
25.05

Co., Tokyo, Japan

Triethyl citrate 5.00

Talc, 500 mesh. . .

DETD The **duloxetine** layer was built up by suspending **duloxetine** in a 4% w/w solution of the hydroxypropylmethylcellulose in water, and milling the suspension with a CoBall Mill (Fryma Mashinen. . .

DETD

Quantity  
(mg/capsule)

<b>Fluoxetine, racemic, hydrochloride</b>	20	mg
Pindolol	30	
5-Hydroxy-L-tryptophan	50	
Starch, dried	150	
Magnesium stearate	10	
<b>Total</b>	<b>260</b>	<b>mg</b>

DETD

Quantity  
(mg/capsule)

<b>Fluoxetine, racemic, hydrochloride</b>	10	
(-)-Penbutolol	40	
50Hydroxy-L-tryptophan	125	
Cellulose, microcrystalline	275	
Silicon dioxide, fumed	10	
Stearic acid	5	
<b>Total</b>	<b>465</b>	<b>mg</b>

DETD

Weight

<b>(+)-Duloxetine, hydrochloride</b>	10
Pindolol	10
L-Tryptophan	10
Ethanol	25.75
Propellant 22 (Chlorodifluoromethane)	60.00
<b>Total</b>	<b>115.75</b>

DETD

<b>(+)-Duloxetine, hydrochloride</b>	20	mg
(-)-Penbutolol	60	mg
L-Tryptophan	30	
Starch	30	mg
Microcrystalline cellulose	20	mg
Polyvinylpyrrolidone (as 10% solution in water)	4	mg
Sodium carboxymethyl starch		

DETD

<b>Fluoxetine, racemic, hydrochloride</b>	30	mg
Propanolol	100	mg
5-Hydroxy-L-tryptophan	40	mg
Starch	39	mg
Microcrystalline cellulose	39	mg
Magnesium stearate	2	mg
<b>Total</b>	<b>250</b>	<b>mg</b>

DETD

<b>(+)-Duloxetine, hydrochloride</b>	5	mg
--------------------------------------	---	----

Propanolol	40	mg
L-Tryptophan	200	mg
Saturated fatty acid glycerides		
	2,000	
Total	2,245	mg

DETD

**Fluoxetine**, racemic, hydrochloride

	10	mg
Propanolol	60	mg
5-Hydroxy-L-tryptophan		
	100	mg
Sodium carboxymethyl cellulose		
	50	mg
Syrup	1.25	ml
Benzoic acid solution		
	0.10	ml
Flavor	q.v.	
Color	q.v.	
Purified. . .		

DETD

(+)-**Duloxetine**, hydrochloride

	10	mg
Propanolol	20	mg
L-Tryptophan	300	mg
Isotonic saline	1,000	ml

DETD . . . present method of adjunctive therapy include depression, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence.

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated. .

DETD . . . disease. A badly afflicted patient may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . .

DETD Obesity is a frequent condition in the population of developed countries. It has been found that **fluoxetine** will enable an obese patient to lose weight, with the resulting benefit to the patient's circulation and heart condition, as. . .

DETD . . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at once, and so is important to the many people who suffer. . .

DETD . . . under chloral hydrate/pentobarbital anesthesia (170 and 36 mg/kg i.p. in 30% propylene glycol, 14% ethanol) (Perry and Fuller, Effect of **fluoxetine** on serotonin and dopamine concentration in rat hypothalamus after administration of **fluoxetine** plus L-5-hydroxytryptophan, Life Sci., 50, 1683-90 (1992)). A David Kopf stereotaxic instrument was used to implant the probe unilaterally in. . .

DETD In this test, the combination therapy comprised **fluoxetine** as the hydrochloride of the racemate, (-)-pindolol, and L-tryptophan. The rats were prepared as described above, and L-tryptophan administered intraperitoneally. . . experiment. Pindolol was administered subcutaneously at 5 mg/kg, at 270 minutes after the start of the experiment. A mixture of **fluoxetine** (10 mg/kg) and pindolol (10 mg/kg) was administered intraperitoneally at 390 minutes after the start of the experiment. L-Tryptophan was. . .

DETD Administration of a mixture of **fluoxetine** and pindolol at 390

minutes followed by the administration of tryptophan 30 minutes later resulted in a remarkable increase in serotonin concentration to nearly 800% of basal levels. The administration of **fluoxetine** and pindolol alone has been reported to increase serotonin levels to 400% of basal levels (Dreshfield, et al., Neurochemical Research, . . .

DETD In this test, the combination therapy comprised **fluoxetine** as the hydrochloride of the racemate, pindolol as the racemate, and L-tryptophan. Pindolol was continuously infused subcutaneously at a rate of 50 mg/kg/hr beginning at 120 minutes after the beginning of the experiment. **Fluoxetine** was administered intraperitoneally at 10 mg/kg, 240 minutes after the start of the experiment. L-Tryptophan was administered intraperitoneally at 100. . .

DETD In this test, the combination therapy comprised flouxetine as the hydrochloride of the racemate and L-tryptophan for purposes of comparison. **Fluoxetine** was administered intraperitoneally at 10 mg/kg, 100 minutes after the start of the experiment. L-Tryptophan was administered intraperitoneally at 100. . .

CLM What is claimed is:

. . . 2. A method of claim 1 for potentiating the action of a first component selected from the group consisting of **fluoxetine**, **venlafaxine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, milnacipran and **duloxetine** in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprising administering a first component to a patient. . . .  
3. A method of claim 1 wherein the first component compound is **fluoxetine** or **duloxetine**.

9. A composition of claim 8 which comprises a first component selected from the group consisting of **fluoxetine**, **venlafaxine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, milnacipran and **duloxetine** in combination with a second component selected from the group consisting of alprenolol, WAY 100135, WAY 100635, spiperone, pindolol, (S)-UH-301, . . .

11. A composition of claim 8 wherein the first component compound is **fluoxetine** or **duloxetine**.

12. A composition of claim 10 wherein the first component compound is **fluoxetine** or **duloxetine**.

L1 ANSWER 111 OF 119 USPATFULL on STN

AN 1999:102805 USPATFULL

TI Method for treating pain

IN Shannon, Harlan E., Carmel, IN, United States

Womer, Daniel E., Thornton, CO, United States

PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

PI US 5945416 19990831

AI US 1997-823461 19970324 (8)

PRAI US 1996-14130P 19960325 (60)

US 1996-14132P 19960325 (60)

US 1996-14128P 19960325 (60)

US 1996-14129P 19960325 (60)

DT Utility

FS Granted

EXNAM Primary Examiner: Criares, Theodore J.

LREP Palmberg, Arleen, Vorndram-Jones, Macharri

CLMN Number of Claims: 44

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 738

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . . tricyclic antidepressants (for example desipramine, imipramine, amytriptiline, nortriptyline), anticonvulsants (for example, carbamazepine, gabapentine, valproate), and serotonin reuptake inhibitors (for example, **fluoxetine**, **paroxetine**, **citalopram**, **sertraline**), mixed serotonin-norepinephrine reuptake inhibitors (for example **venlafaxine**, **duloxetine**), serotonin receptor agonists and antagonists, cholinergic (muscarinic and nicotinic) analgesics, and neurokinin antagonists.

CLM What is claimed is:

. . . . tricyclic antidepressants (for example desipramine, imipramine, amytriptiline, nortriptyline), anticonvulsants (for example, carbamazepine, gabapentine, valproate), and serotonin reuptake inhibitors (for example, **fluoxetine**, **paroxetine**, **citalopram**, **sertraline**), mixed serotonin-norepinephrine reuptake inhibitors (for example **venlafaxine**, **duloxetine**), serotonin receptor agonists and antagonists, cholinergic (muscarinic and nicotinic) analgesics, and neurokinin antagonists.

L1 ANSWER 112 OF 119 USPATFULL on STN

AN 1999:99678 USPATFULL

TI Method for treating pain

IN Panetta, Jill Ann, Zionsville, IN, United States

Shannon, Harlan Edgar, Carmel, IN, United States

PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

PI US 5942530 19990824

AI US 1998-138495 19980824 (9)

PRAI US 1997-57389P 19970828 (60)

DT Utility

FS Granted

EXNAM Primary Examiner: Jarvis, William R. A.

LREP Lentz, Nelsen L., Palmberg, Arleen

CLMN Number of Claims: 38

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 3423

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . . tricyclic antidepressants (for example desipramine, imipramine, amytriptiline, nortriptyline), anticonvulsants (for example, carbamazepine, gabapentine, valproate), and serotonin reuptake inhibitors (for example, **fluoxetine**, **paroxetine**, **citalopram**, **sertraline**), mixed serotonin-norepinephrine reuptake inhibitors (for example **venlafaxine**, **duloxetine**), serotonin receptor agonists and antagonists, cholinergic (muscarinic and nicotinic) analgesics, and neurokinin antagonists.

L1 ANSWER 113 OF 119 USPATFULL on STN

AN 1999:67275 USPATFULL

TI Compounds having effects on serotonin-related systems

IN Koch, Daniel James, Indianapolis, IN, United States

Rocco, Vincent Patrick, Indianapolis, IN, United States

PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

PI US 5912256 19990615

AI US 1997-861445 19970522 (8)

PRAI US 1996-20131P 19960620 (60)

DT Utility

FS Granted

EXNAM Primary Examiner: Bernhardt, Emily

LREP Lentz, Nelsen L., Palmberg, Arleen

CLMN Number of Claims: 5  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 1324

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . 1.sub.A receptor and a second activity as inhibitors of reuptake of serotonin. The best-known pharmaceutical with the latter efficacy is **fluoxetine**, and the importance of its use in the treatment of depression and other conditions is extremely well documented and publicized. . . .

DETD The efficacy of the compounds of the invention to inhibit the reuptake of serotonin has been determined by a **paroxetine** binding assay, the usefulness of which is set out by Wong, et al., Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations from . . . C. between the second and third washes. The resulting pellet was stored at -70.degree. C. until use. Binding of .sup.3 H-**paroxetine** to 5-HT uptake sites was carried out in 2 ml reaction medium containing the appropriate drug concentration, 0.1 nM .sup.3 H-**paroxetine**, and the cerebral cortical membrane (50 .mu.g protein/tube). Samples were incubated at 37.degree. C. for 30 minutes; those containing 1 .mu.M **fluoxetine** were used to determine nonspecific binding of .sup.3 H-**paroxetine**. After incubation, the tubes were filtered through Whatman GF/B filters, which were soaked in 0.05% polyethyleneimine for 1 hour before. . . .

DETD . . . in non-human animals is only now beginning, and that some instances of such treatments are coming into use. For example, **fluoxetine**, and perhaps other serotonin reuptake inhibitors, are being used in companion animals such as dogs for the treatment of behavioral. . . .

DETD . . . administration of drugs which inhibit the reuptake of serotonin. The treatment of depression with drugs of the class of which **fluoxetine** is the leader has become perhaps the greatest medical breakthrough of the past decade. Numerous other treatment methods carried out. . . .

DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No. . . . compound. Robertson, et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . . .

DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule. . . .

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent. . . .

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, . . . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518. . . .

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur. . . . .

DETD In general, combinations and methods of treatment using **fluoxetine** or **duloxetine** as the SRI are preferred. . . .

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;

DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

DETD . . . the present treatment methods include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence.

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated than they were only a decade ago. **Duloxetine** is in clinical trials for the treatment of depression and is likely to become a marketed drug for the purpose.

DETD . . . disease. A badly afflicted subject may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . .

DETD Obesity is a frequent condition in the American population. It has been found that **fluoxetine** will enable an obese subject to lose weight, with the resulting benefit to the circulation and heart condition, as well. . .

DETD . . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at once, and so is important to the many who suffer from. . .

L1 ANSWER 114 OF 119 USPATFULL on STN

AN 1998:98932 USPATFULL

TI DHA-pharmaceutical agent conjugates of taxanes

IN Shashoua, Victor E., Brookline, MA, United States

Swindell, Charles S., Merion, PA, United States

Webb, Nigel L., Bryn Mawr, PA, United States

Bradley, Matthews O., Laytonsville, MD, United States

PA Neuromedica, Inc., Conshohocken, PA, United States (U.S. corporation)

PI US 5795909 19980818

AI US 1996-651312 19960522 (8)

DT Utility

FS Granted

EXNAM Primary Examiner: Jarvis, William R. A.

LREP Wolf, Greenfield & Sacks, P.C.

CLMN Number of Claims: 12

ECL Exemplary Claim: 1

DRWN 27 Drawing Figure(s); 14 Drawing Page(s)

LN.CNT 2451

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . Daledalin Tosylate; Dapoxetine Hydrochloride; Dazadrol Maleate; Dazepinil Hydrochloride; Desipramine Hydrochloride; Dexamisole; Deximafen; Dibenzepin Hydrochloride; Dioxadrol Hydrochloride; Dothiepin Hydrochloride; Doxepin Hydrochloride; **Duloxetine** Hydrochloride; Eclanamine Maleate; Encyprate; Etooperidone Hydrochloride; Fantridone Hydrochloride; Fehmetozole Hydrochloride; Fenmetramide; Fezolamine Fumarate; Fluotracen Hydrochloride; **Fluoxetine**; **Fluoxetine** Hydrochloride; Fluparoxan Hydrochloride; Gamfexine; Guanoxyfen Sulfate; Imafen Hydrochloride; Imiloxan Hydrochloride; Imipramine Hydrochloride; Indeloxazine Hydrochloride; Intriptyline Hydrochloride; Iprindole; Isocarboxazid; Ketipramine Fumarate;



DETD . . . Napactadine Hydrochloride; Napamezole Hydrochloride; Nefazodone Hydrochloride; Nisoxetine; Nitrafudam Hydrochloride; Nomifensine Maleate; Nortriptyline Hydrochloride; Octriptyline Phosphate; Opipramol Hydrochloride; Oxaprotiline Hydrochloride; Oxyptertine; **Paroxetine**; Phenelzine Sulfate; Pirandamine Hydrochloride; Pizotiline; Pridetine Hydrochloride; Prolintane Hydrochloride; Protriptyline Hydrochloride; Quipazine Maleate; Rolicyprine; Seproxetine Hydrochloride; **Sertraline** Hydrochloride; Sibutramine Hydrochloride; Sulpiride; Suritozole; Tametraline Hydrochloride; Tampramine Fumarate; Tandamine Hydrochloride; Thiazesim Hydrochloride; Thozalinone; Tomoxetine Hydrochloride; Trazodone Hydrochloride; Trebenzomine Hydrochloride; Trimipramine; Trimipramine Maleate; **Venlafaxine** Hydrochloride; Viloxazine Hydrochloride; Zimeldine Hydrochloride; Zometapine.

DETD . . . Agents: Tricyclic anti-depressant drugs (e.g., imipramine, desipramine, amitriptyline, clomipramine, trimipramine, doxepin, nortriptyline, protriptyline, amoxapine and maprotiline); non-tricyclic anti-depressant drugs (e.g., **sertraline**, trazodone and **citalopram**); Ca.sup.++ antagonists (e.g., verapamil, nifedipine, nitrendipine and caroverine); Calmodulin inhibitors (e.g., prenylamine, trifluoroperazine and clomipramine); Amphotericin B; Triparanol analogues (e.g., . . .

DETD . . . cicloprolol; cidofovir; cilansetron; cilazapril; cilnidipine; cilobradine; cilostazol; cimetropium bromide; cinitapride; cinolazepam; cioterone; ciprofibrate; ciprofloxacin; ciprostone; cis-porphyrin; cisapride; cisatracurium besilate; cistinexine; **citalopram**; citicoline; citreamicin alpha; cladribine; clarithromycin; clausenamide; clebopride; clinafloxacin; clobazam; clobetasone butyrate; clodronic acid; clomethiazole; clopidogrel; clotrimazole; colestimide; colfosceril palmitate; collismycin. . . flecainide; flerobutanol; fleroxacin; flesinoxan; flezelastine; flobufen; flomoxef; florfenicol; florifenine; flosatidil; fluasterone; fluconazole; fludarabine; flumazenil; flumecinol; flumequine; flunarizine; fluocalcitriol; fluorodaunorubicin hydrochloride; **fluoxetine**, R-; **fluoxetine**, S-; fluparoxan; flupirtine; flurbiprofen axetil; flurithromycin; fluticasone propionate; flutrimazole; fluvastatin; fluvoxamine; forasartan; forfenimex; formestane; formoterol; formoterol, R,R-; fosfomycin; trometamol; fosinopril; . . . oxodipine; ozagrel; palauamine; palinavir; palmitoylrhizoxin; pamaqueside; pamocogrel; pamidronic acid; panamesine; panaxytriol; panipenem; panipenum; pannorin; panomifene; pantethine; pantoprazole; parabactin; pamaparin sodium; **paroxetine**; parthenolide; pazelliptine; pazufloxacin; pefloxacin; pegaspargase; peldesine; pemedolac; pemirolast; penciclovir; pentafuside; pentamidine; pentamorphone; pentigetide; pentosan; pentostatin; pentozole; perflubron; perfosfamide; pergolide; perindoprilat; . . . SarCNU; sarcophytol A sargramostim; sarpogrelate; saruplase; saterinone; satigrel; satumomab pendetide; selegiline; selenium thiosemicarbazone; sematilide; semduramicin; semotiadil; semustine; sermorelin; sertaconazole; sertindole; **sertraline**; setiptiline; sevirumab; sevoflurane; sezolamide; silipide; silteplase; simendan; simvastatin; sinitrodil; sinnabidol; sipatrigine; sirolimus; sizofiran; somatomedin B; somatomedin C; somatrem; somatropin; sonermin; . . . trovirdine; tucaresol; tulobuterol; tylogenin; urapidil; uridine triphosphate; valaciclovir; valproate magnesium; valproate semisodium; valsartan; vamicamide; vanadeine; vaninolol; vaporeotide; variolin B; velaresol; **venlafaxine**; veramine; verapamil, (S); verdins; veroxan; verteporfin; vesnarinone; vexibinol; vigabatrin; vinbumine citrate; vinburnine resinate; vinconate; vinorelbine; vinpocetine; vinpocetine citrate; vintoperol; vinxaltine; . . .

L1 ANSWER 115 OF 119 USPATFULL on STN  
AN 1998:92024 USPATFULL  
TI Compounds having effects on serotonin-related systems

IN Audia, James E., Indianapolis, IN, United States  
Hibschman, David J., Bargersville, IN, United States  
Krushinski, Jr., Joseph H., Indianapolis, IN, United States  
Mabry, Thomas E., Indianapolis, IN, United States  
Nissen, Jeffrey S., Fishers, IN, United States  
Rasmussen, Kurt, Fishers, IN, United States  
Rocco, Vincent P., Indianapolis, IN, United States  
Schaus, John M., Zionsville, IN, United States  
Thompson, Dennis C., Indianapolis, IN, United States  
Wong, David T., Indianapolis, IN, United States  
PA Eli Lilly Company, Indianapolis, IN, United States (U.S. corporation)  
PI US 5789402 19980804  
AI US 1995-471121 19950606 (8)  
RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995,  
now abandoned  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Berch, Mark L.; Assistant Examiner: Kifle, Bruck  
LREP Palmberg, Arleen, Boone, David E.  
CLMN Number of Claims: 21  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 5961  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
SUMM . . . the present pharmaceuticals have a second activity as  
inhibitors of reuptake of serotonin. The best-known pharmaceutical with  
that efficacy is **fluoxetine**, and the importance of its use in  
the treatment of depression and other conditions is extremely well  
documented and publicized.. . .  
DETD . . . efficacy of the compounds of Formulae XI and XIII to inhibit  
the reuptake of serotonin has been determined by a **paroxetine**  
binding essay, the usefulness of which is set out by Wong, et al.,  
Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations  
from. . . C. between the second and third washes. The resulting  
pellet was stored at -70.degree. C. until use. Binding of .sup.3 H-  
**paroxetine** to 5-HT uptake sites was carried out in 2 ml reaction  
medium containing the appropriate drug concentration, 0.1 nM .sup.3 H-  
**paroxetine**, and the cerebral cortical membrane (50 .mu.g  
protein/tube). Samples were incubated at 37.degree. C. for 30 minutes;  
those containing 1 .mu.M **fluoxetine** were used to determine  
nonspecific binding of .sup.3 H-**paroxetine**. After incubation,  
the tubes were filtered through Whatman GF/B filters, which were soaked  
in 0.05% polyethylenimine for 1 hour before. . . .  
DETD . . . in non-human animals is only now beginning, and that some  
instances of such treatments are coming into use. For example,  
**fluoxetine**, and perhaps other serotonin reuptake inhibitors, are  
being used in companion animals such as dogs for the treatment of  
behavioral. . . .  
DETD . . . administration of drugs which inhibit the reuptake of  
serotonin. The treatment of depression with drugs of the class of which  
**fluoxetine** is the leader has become perhaps the greatest medical  
breakthrough of the past decade. Numerous other treatment methods  
carried out. . . .  
DETD . . . dopamine, in the brain of subjects to whom the drug combination  
is administered. Typical and appropriate reuptake inhibitors (SRI) are  
**fluoxetine**, **duloxetine**, **venlafaxine**,  
**sertraline**, **milnacipran**, **citalopram**, **fluvoxamine** and  
**paroxetine**. Accordingly, the present invention provides a method  
for potentiating the action of a serotonin reuptake inhibitor,  
particularly one of the group consisting of **fluoxetine**,  
**duloxetine**, **venlafaxine**, **milnacipran**,  
**sertraline**, **citalopram**, **fluvoxamine** and  
**paroxetine**, in increasing the availability of serotonin,  
norepinephrine and dopamine in the brain, comprising administering said

serotonin reuptake inhibitor in combination. . . .

DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No. . . . compound. Robertson, et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . . .

DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule. . . .

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501.

**Venlafaxine** is identified as compound A in that patent.

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, et. . . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518.

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur. . . .

DETD In general, combinations and methods of treatment using **fluoxetine** or **duloxetine** as the SRI are preferred.

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;

DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

DETD . . . the present treatment methods include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence.

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated than they were only a decade ago. **Duloxetine** is in clinical trials for the treatment of depression and is likely to become a marketed drug for the purpose.

DETD . . . disease. A badly afflicted subject may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . . .

DETD Obesity is a frequent condition in the American population. It has been found that **fluoxetine** will enable an obese subject to lose weight, with the resulting benefit to the circulation and heart condition, as well. . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at once, and so is important to the many who suffer from. . . .

L1 ANSWER 116 OF 119 USPATFULL on STN  
 AN 1998:42357 USPATFULL  
 TI Compounds having effects on serotonin-related systems  
 IN Hibschan, David J., Bangersville, IN, United States  
 Krushinski, Jr., Joseph H., Indianapolis, IN, United States  
 Rasmussen, Kurt, Fishers, IN, United States  
 Rocco, Vincent P., Indianapolis, IN, United States  
 Schaus, John M., Zionsville, IN, United States  
 Thompson, Dennis C., Indianapolis, IN, United States  
 PA Eli Lilly and Company, Indianapolis, IN, United States (U.S.  
 corporation)  
 PI US 5741789 19980421  
 AI US 1995-467434 19950606 (8)  
 RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995,  
 now abandoned  
 DT Utility  
 FS Granted  
 EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Kifle, Bruck  
 LREP Palmberg, Arleen, Boone, David E.  
 CLMN Number of Claims: 20  
 ECL Exemplary Claim: 1  
 DRWN No Drawings  
 LN.CNT 5902  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 SUMM . . . the present pharmaceuticals have a second activity as  
 inhibitors of reuptake of serotonin. The best-known pharmaceutical with  
 that efficacy is **fluoxetine**, and the importance of its use in  
 the treatment of depression and other conditions is extremely well  
 documented and publicized.. . .  
 DETD . . . efficacy of the compounds of Formulae XI and XIII to inhibit  
 the reuptake of serotonin has been determined by a **paroxetine**  
 binding assay, the usefulness of which is set out by Wong, et al.,  
 Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations  
 from. . . C. between the second and third washes. The resulting  
 pellet was stored at -70.degree. C. until use. Binding of .sup.3 H-  
**paroxetine** to 5-HT uptake sites was carried out in 2 ml reaction  
 medium containing the appropriate drug concentration, 0.1 nM .sup.3 H-  
**paroxetine**, and the cerebral cortical membrane (50 .mu.g  
 protein/tube). Samples were incubated at 37.degree. C. for 30 minutes;  
 those containing 1 .mu.M **fluoxetine** were used to determine  
 nonspecific binding of .sup.3 H-**paroxetine**. After incubation,  
 the tubes were filtered through Whatman GF/B filters, which were soaked  
 in 0.05% polyethylenimine for 1 hour before. . . .  
 DETD . . . in non-human animals is only now beginning, and that some  
 instances of such treatments are coming into use. For example,  
**fluoxetine**, and perhaps other serotonin reuptake inhibitors, are  
 being used in companion animals such as dogs for the treatment of  
 behavioral. . . .  
 DETD . . . administration of drugs which inhibit the reuptake of  
 serotonin. The treatment of depression with drugs of the class of which  
**fluoxetine** is the leader has become perhaps the greatest medical  
 breakthrough of the past decade. Numerous other treatment methods  
 carried out. . . .  
 DETD . . . dopamine, in the brain of subjects to whom the drug combination  
 is administered. Typical and appropriate reuptake inhibitors (SRI) are  
**fluoxetine**, **duloxetine**, **venlafaxine**,  
**sertraline**, **milnacipran**, **citalopram**, **fluvoxamine** and  
**paroxetine**. Accordingly, the present invention provides a method  
 for potentiating the action of a serotonin reuptake inhibitor,  
 particularly one of the group consisting of **fluoxetine**,  
**duloxetine**, **venlafaxine**, **milnacipran**,  
**sertraline**, **citalopram**, **fluvoxamine** and  
**paroxetine**, in increasing the availability of serotonin,

norepinephrine and dopamine in the brain, comprising administering said serotonin reuptake inhibitor in combination. . . .

DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No. . . . compound. Robertson, et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . . .

DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule. . . .

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent. . . .

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, et. . . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518. . . .

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur. . . .

DETD In general, combinations and methods of treatment using **fluoxetine** or **duloxetine** as the SRI are preferred. . . .

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day; . . .

DETD **venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day; . . .

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day; . . .

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day. . . .

DETD . . . the present treatment methods include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence. . . .

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated than they were only a decade ago. **Duloxetine** is in clinical trials for the treatment of depression and is likely to become a marketed drug for the purpose. . . .

DETD . . . disease. A badly afflicted subject may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . . .

DETD Obesity is a frequent condition in the American population. It has been found that **fluoxetine** will enable an obese subject to lose weight, with the resulting benefit to the circulation and heart condition, as well. . . .

DETD . . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at

once, and so is important to the many who suffer from. . .

L1 ANSWER 117 OF 119 USPATFULL on STN  
AN 97:38539 USPATFULL  
TI Compounds having effects on serotonin-related systems  
IN Audia, James E., Indianapolis, IN, United States  
Hibschman, David J., Bargsersville, IN, United States  
Krushinski, Jr., Joseph H., Indianapolis, IN, United States  
Mabry, Thomas E., Indianapolis, IN, United States  
Nissen, Jeffrey S., Fishers, IN, United States  
Rasmussen, Kurt, Fishers, IN, United States  
Rocco, Vincent P., Indianapolis, IN, United States  
Schaus, John M., Zionsville, IN, United States  
Thompson, Dennis C., Indianapolis, IN, United States  
Wong, David T., Indianapolis, IN, United States  
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S.  
corporation)  
PI US 5627196 19970506  
AI US 1995-468948 19950606 (8)  
RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995,  
now abandoned  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Bottino, Anthony  
LREP Jones, Joseph A., Boone, David E.  
CLMN Number of Claims: 56  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 5947  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
SUMM . . . the present pharmaceuticals have a second activity as  
inhibitors of reuptake of serotonin. The best-known pharmaceutical with  
that efficacy is **fluoxetine**, and the importance of its use in  
the treatment of depression and other conditions is extremely well  
documented and publicized. . . .  
DETD . . . efficacy of the compounds of Formulae XI and XIII to inhibit  
the reuptake of serotonin has been determined by a **paroxetine**  
binding assay, the usefulness of which is set out by Wong, et al.,  
Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations  
from. . . C. between the second and third washes. The resulting  
pellet was stored at -70.degree. C. until use. Binding of .sup.3 H-  
**paroxetine** to 5-HT uptake sites was carried out in 2 ml reaction  
medium containing the appropriate drug concentration, 0.1 nM .sup.3 H-  
**paroxetine**, and the cerebral cortical membrane (50 .mu.g  
protein/tube). Samples were incubated at 37.degree. C. for 30 minutes;  
those containing 1 .mu.M **fluoxetine** were used to determine  
nonspecific binding of .sup.3 H-**paroxetine**. After incubation,  
the tubes were filtered through Whatman GF/B filters, which were soaked  
in 0.05% polyethylenimine for 1 hour before. . . .  
DETD . . . in non-human animals is only now beginning, and that some  
instances of such treatments are coming into use. For example,  
**fluoxetine**, and perhaps other serotonin reuptake inhibitors, are  
being used in companion animals such as dogs for the treatment of  
behavioral. . . .  
DETD . . . administration of drugs which inhibit the reuptake of  
serotonin. The treatment of depression with drugs of the class of which  
**fluoxetine** is the leader has become perhaps the greatest medical  
breakthrough of the past decade. Numerous other treatment methods  
carried out. . . .  
DETD . . . dopamine, in the brain of subjects to whom the drug combination  
is administered. Typical and appropriate reuptake inhibitors (SRI) are  
**fluoxetine**, **duloxetine**, **venlafaxine**,  
**sertraline**, **milnacipran**, **citalopram**, **fluvoxamine** and  
**paroxetine**. Accordingly, the present invention provides a method

for potentiating the action of a serotonin reuptake inhibitor, particularly one of the group consisting of **fluoxetine**, **duloxetine**, **venlafaxine**, **milnacipran**, **sertraline**, **citalopram**, **fluvoxamine** and **paroxetine**, in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprising administering said serotonin reuptake inhibitor in combination. . . .

DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No. . . . compound. Robertson, et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . . .

DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule.

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent.

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, et. . . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518.

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur. . . .

DETD In general, combinations and methods of treatment using **fluoxetine** or **duloxetine** as the SRI are preferred.

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;

DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

DETD . . . the present treatment methods include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and **milnacipran** is urinary incontinence.

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated than they were only a decade ago. **Duloxetine** is in clinical trials for the treatment of depression and is likely to become a marketed drug for the purpose.

DETD . . . disease. A badly afflicted subject may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . . .

DETD Obesity is a frequent condition in the American population. It has been found that **fluoxetine** will enable an obese subject to lose

weight, with the resulting benefit to the circulation and heart condition, as well. . . .

DETD . . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at once, and so is important to the many who suffer from. . . .

L1 ANSWER 118 OF 119 USPATFULL on STN

AN 97:25037 USPATFULL

TI Compounds having effects on serotonin-related systems

IN Audia, James E., Indianapolis, IN, United States  
 Krushinski, Jr., Joseph H., Indianapolis, IN, United States  
 Rasmussen, Kurt, Fishers, IN, United States  
 Rocco, Vincent P., Indianapolis, IN, United States  
 Schaus, John M., Zionsville, IN, United States  
 Thompson, Dennis C., Indianapolis, IN, United States  
 Wong, David T., Indianapolis, IN, United States

PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

PI US 5614523 19970325

AI US 1995-470512 19950606 (8)

RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Bottino, Anthony

LREP Jones, Joseph A., Boone, David E.

CLMN Number of Claims: 19

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 5755

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . the present pharmaceuticals have a second activity as inhibitors of reuptake of serotonin. The best-known pharmaceutical with that efficacy is **fluoxetine**, and the importance of its use in the treatment of depression and other conditions is extremely well documented and publicized. . . .

DETD . . . efficacy of the compounds of Formulae XI and XIII to inhibit the reuptake of serotonin has been determined by a **paroxetine** binding essay, the usefulness of which is set out by Wong, et al., Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations from. . . C. between the second and third washes. The resulting pellet was stored at -70.degree. C. until use. Binding of .sup.3 H-**paroxetine** to 5-HT uptake sites was carried out in 2 ml reaction medium containing the appropriate drug concentration, 0.1 nM .sup.3 H-**paroxetine**, and the cerebral cortical membrane (50 .mu.g protein/tube). Samples were incubated at 37.degree. C. for 30 minutes; those containing 1 .mu.M **fluoxetine** were used to determine nonspecific binding of .sup.3 H-**paroxetine**. After incubation, the tubes were filtered through Whatman GF/B filters, which were soaked in 0.05% polyethylenimine for 1 hour before. . . .

DETD . . . in non-human animals is only now beginning, and that some instances of such treatments are coming into use. For example, **fluoxetine**, and perhaps other serotonin reuptake inhibitors, are being used in companion animals such as dogs for the treatment of behavioral. . . .

DETD . . . administration of drugs which inhibit the reuptake of serotonin. The treatment of depression with drugs of the class of which **fluoxetine** is the leader has become perhaps the greatest medical breakthrough of the past decade. Numerous other treatment methods carried out. . . .

DETD . . . dopamine, in the brain of subjects to whom the drug combination is administered. Typical and appropriate reuptake inhibitors (SRI) are **fluoxetine**, **duloxetine**, **venlafaxine**,



**sertraline**, **milnacipran**, **citalopram**, **fluvoxamine** and **paroxetine**. Accordingly, the present invention provides a method for potentiating the action of a serotonin reuptake inhibitor, particularly one of the group consisting of **fluoxetine**, **duloxetine**, **venlafaxine**, **milnacipran**, **sertraline**, **citalopram**, **fluvoxamine** and **paroxetine**, in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprising administering said serotonin reuptake inhibitor in combination. . .

DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No. . . . compound. Robertson, et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . .

DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule.

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501.

**Venlafaxine** is identified as compound A in that patent.

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, et. . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518.

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur. . .

DETD In general, combinations and methods of treatment using **fluoxetine** or **duloxetine** as the SRI are preferred.

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;

DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

DETD . . . the present treatment methods include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and **milnacipran** is urinary incontinence.

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated than they were only a decade ago. **Duloxetine** is in clinical trials for the treatment of depression and is likely to become a marketed drug for the purpose.

DETD . . . disease. A badly afflicted subject may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . .

DETD Obesity is a frequent condition in the American population. It has been found that **fluoxetine** will enable an obese subject to lose weight, with the resulting benefit to the circulation and heart condition, as well. . . .  
 DETD . . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at once, and so is important to the many who suffer from. . . .  
 L1 ANSWER 119 OF 119 USPATFULL on STN  
 AN 96:106493 USPATFULL  
 TI Compounds having effects on serotonin-related systems  
 IN Krushinski, Jr., Joseph H., Indianapolis, IN, United States  
 Rasmussen, Kurt, Fishers, IN, United States  
 Rocco, Vincent P., Indianapolis, IN, United States  
 Schaus, John M., Zionsville, IN, United States  
 Thompson, Dennis C., Indianapolis, IN, United States  
 PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)  
 PI US 5576321 19961119  
 AI US 1995-468900 19950606 (8)  
 RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned  
 DT Utility  
 FS Granted  
 EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Bottino, Anthony  
 LREP Jones, Joseph A., Boone, David E.  
 CLMN Number of Claims: 14  
 ECL Exemplary Claim: 1  
 DRWN No Drawings  
 LN.CNT 5725  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 SUMM . . . the present pharmaceuticals have a second activity as inhibitors of reuptake of serotonin. The best-known pharmaceutical with that efficacy is **fluoxetine**, and the importance of its use in the treatment of depression and other conditions is extremely well documented and publicized. . . .  
 DETD . . . efficacy of the compounds of Formulae XI and XIII to inhibit the reuptake of serotonin has been determined by a **paroxetine** binding essay, the usefulness of which is set out by Wong, et al., Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations from. . . C. between the second and third washes. The resulting pellet was stored at -70.degree. C. until use. Binding of .sup.3 H-**paroxetine** to 5-HT uptake sites was carried out in 2 ml reaction medium containing the appropriate drug concentration, 0.1 nM .sup.3 H-**paroxetine**, and the cerebral cortical membrane (50 .mu.g protein/tube). Samples were incubated at 37.degree. C. for 30 minutes; those containing 1 .mu.M **fluoxetine** were used to determine nonspecific binding of .sup.3 H-**paroxetine**. After incubation, the tubes were filtered through Whatman GF/B filters, which were soaked in 0.05% polyethylenimine for 1 hour before. . . .  
 DETD . . . in non-human animals is only now beginning, and that some instances of such treatments are coming into use. For example, **fluoxetine**, and perhaps other serotonin reuptake inhibitors, are being used in companion animals such as dogs for the treatment of behavioral. . . .  
 DETD . . . administration of drugs which inhibit the reuptake of serotonin. The treatment of depression with drugs of the class of which **fluoxetine** is the leader has become perhaps the greatest medical breakthrough of the past decade. Numerous other treatment methods carried out. . . .  
 DETD . . . dopamine, in the brain of subjects to whom the drug combination is administered. Typical and appropriate reuptake inhibitors (SRI) are **fluoxetine**, **duloxetine**, **venlafaxine**,

**sertraline**, milnacipran, **citalopram**, fluvoxamine and **paroxetine**. Accordingly, the present invention provides a method for potentiating the action of a serotonin reuptake inhibitor, particularly one of the group consisting of **fluoxetine**, **duloxetine**, **venlafaxine**, milnacipran, **sertraline**, **citalopram**, fluvoxamine and **paroxetine**, in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprising administering said serotonin reuptake inhibitor in combination. . . .

DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No. . . . compound. Robertson, et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . . .

DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule. . . .

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent. . . .

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, et. . . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518. . . .

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur, . . . .

DETD In general, combinations and methods of treatment using **fluoxetine** or **duloxetine** as the SRI are preferred. . . .

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day; . . . .

DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day; . . . .

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day; . . . .

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day. . . .

DETD . . . the present treatment methods include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence. . . .

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated than they were only a decade ago. **Duloxetine** is in clinical trials for the treatment of depression and is likely to become a marketed drug for the purpose. . . .

DETD . . . disease. A badly afflicted subject may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . . .

DET D

obesity is a frequent condition in the American population. It has been found that **fluoxetine** will enable an obese subject to lose weight, with the resulting benefit to the circulation and heart condition, as well. . . .

DET D

. . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at once, and so is important to the many who suffer from. . . .

Welcome to STN International! Enter x:x

LOGINID:sssptaul25txc

PASSWORD:

\*\*\*\*\* RECONNECTED TO STN INTERNATIONAL \*\*\*\*\*  
SESSION RESUMED IN FILE 'USPATFULL' AT 14:34:48 ON 27 SEP 2004  
FILE 'USPATFULL' ENTERED AT 14:34:48 ON 27 SEP 2004  
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)  
COST IN U.S. DOLLARS

	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	30.80	31.01

=> s paroxetine and schizophrenia  
1480 PAROXETINE  
8219 SCHIZOPHRENIA  
L9 531 PAROXETINE AND SCHIZOPHRENIA

=> s l9 and pd<1995  
1890788 PD<1995  
(PD<19950000)  
L10 12 L9 AND PD<1995

=> d l10 1-12 bib, kwic

L10 ANSWER 1 OF 12 USPATFULL on STN  
AN 97:66127 USPATFULL  
TI Pyridyl-and pyrimidylpiperazine derivatives  
IN Abramo, Lisbeth, Bjarred, Sweden  
Lundstedt, Torbjorn, Loddekopinge, Sweden  
Nordvi, Curt, Malmo, Sweden  
Olsson, Knut Gunnar, Malmo, Sweden  
Brodzski, Martin, Malmo, Sweden  
PA Pharmacia Aktiebolag, Stockholm, Sweden (non-U.S. corporation)  
PI US 5652240 19970729  
WO 9403430 19940217 <--  
AI US 1995-374776 19950131 (8)  
WO 1993-SE632 19930716  
19950131 PCT 371 date  
19950131 PCT 102(e) date  
PRAI SE 1992-2265 19920731  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Grumblin, Matthew V.  
LREP Birch, Stewart, Kolasch & Birch, LLP  
CLMN Number of Claims: 6  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 342

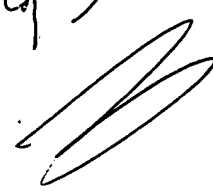
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5652240 19970729  
WO 9403430 19940217 <--

SUMM . . . dystonic reactions and tardive dyskinesia) and are poor in ameliorating the negative symptoms (e.g. restricted or blunted emotional arousal) of **schizophrenia**. The main disadvantage of the anti-depressants is that they fail to alleviate depression in 30 to 40% of patients. Anxiolytics. . .

SUMM . . . such as 5-HT.sub.1A agonists, e.g., buspirone and ipsapirone, 5-HT.sub.2 antagonists e.g. amperozide and ritanserin, 5-HT uptake inhibitors e.g. fluoxetine and **paroxetine**.

L10 ANSWER 2 OF 12 USPATFULL on STN

09/93-513  


AN 94:26537 USPATFULL  
TI Octahydronaphthoquinolizines, and methods of making and using thereof  
IN Schuster, David I., Wilton, CT, United States  
Murphy, Randall B., Irvington, NY, United States  
Cai, Bing, Rego Park, NY, United States  
PA New York University, New York, NY, United States (U.S. corporation)  
PI US 5298509 19940329 <--  
AI US 1992-950550 19920925 (7)  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Cintins, Marianne M.; Assistant Examiner: Scalzo, Catherine  
LREP Browdy and Neimark  
CLMN Number of Claims: 14  
ECL Exemplary Claim: 1  
DRWN 15 Drawing Figure(s); 9 Drawing Page(s)  
LN.CNT 1608  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
PI US 5298509 19940329 <--  
SUMM Dopamine, 3,4-dihydroxyphenethylamine is a neurotransmitter whose functional role appears to be intimately linked with **schizophrenia**. The so-called "Dopamine Hypothesis of **Schizophrenia**" suggested that an overactivity of the mesolimbic/mesocortical ascending dopamine systems in man was etiologic for **schizophrenia**. This original hypothesis has been extensively modified; although various workers have suggested that imbalances in the activity of other neurotransmitter. . . involved, recent reviews have all agreed that the dopamine systems do appear in some manner to be intimately involved in **schizophrenia**.  
SUMM A portion of the difficult lies in the difficulty of concordance in diagnosing **schizophrenia**, since this term seems to apply to a spectrum of disorders, ranging from affective disorder at one end to chronic. . . agreement through definitions such as the DSM-IIIr and ICD has been obtained as to the symptomatology which defines the disease. **Schizophrenia** can be differentiated into two basic categories; that which is amenable to drug treatment, by means of conventional antipsychotic agents, . . .  
SUMM . . . use of neuroleptics is indicated in several types of psychotic disorders, e.g., acute psychotic episodes, regardless of type; exacerbations of **schizophrenia**; acute manic excitement while deferring use of lithium or awaiting onset of its effects; adjunctive therapy for major depression with. . .  
SUMM . . . of neuroleptics is indicated in many psychotic disorders, such as (for more than six months) (i) primary indications such as **Schizophrenia**, Paranoia, Childhood psychoses, some degenerative or idiopathic neuropsychiatric disorders (notably, Huntington's disease and Gilles de la Tourette's syndrome); (ii) secondary. . .  
SUMM . . . colleagues in the mid-1970s, has been used as a principal supporting argument for the validity of the dopamine hypothesis of **schizophrenia**.  
SUMM . . . great need for drugs which can be termed "atypical" or "nonclassical" neuroleptics, wherein these agents will treat the symptomatology of **schizophrenia** either in cases which are resistant to other drugs, without toxic side effects, or whose long-term administration will not produce. . .  
SUMM As a non-limiting example, OHNQ compounds and/or compositions may be used in methods for the prevention and treatment of **schizophrenia** and neurological disorders, such as Gilles de la Tourette's Syndrome, dystonias, choreas and Parkinsonism, as well as other diseases associated. . .  
DETD . . . which possess sigma receptor ligand specificity, consistent with clinical utility in the treatment of sigma receptor related pathologies, such as **schizophrenia** and other disorders associated with neuroreceptor pathology. As a non-limiting example, OHNQ

compounds and derivatives may be used as antipsychotic. . . .

DETD . . . and various 5-HT subtypes, including in particular 5-HT-1a receptors (using (.sup.3 H)-8-OH DPAT) and the 5-HT uptake site (using (.sup.3 H)-**paroxetine**), as shown herein.

CLM What is claimed is:  
14. A method according to claim 9, wherein said pathology is dystonia, tardive dyskinesia, **schizophrenia**, Huntington's Chorea, Gilles de la Tourette's Syndrome or Parkinson's disease.

L10 ANSWER 3 OF 12 USPATFULL on STN

AN 94:9599 USPATFULL

TI Method for treating certain psychiatric disorders and certain psychiatric symptoms

IN Norden, Michael J., 348 NW. 113th Pl., Seattle, WA, United States 98177

PI US 5283263 19940201 <--

AI US 1992-870360 19920417 (7)

RLI Division of Ser. No. US 1990-610339, filed on 5 Nov 1990, now patented, Pat. No. US 5114976 which is a continuation of Ser. No. US 1989-294461, filed on 6 Jan 1989, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Friedman, S. J.

LREP Seed and Berry

CLMN Number of Claims: 2

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 984

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5283263 19940201 <--

AB . . . circadian rhythm disorders, borderline personality disorders, personality disorders, Late Luteal Phase Dysphoric Disorder, psychoactive substance use disorders, sexual disorders, and **schizophrenia** and certain psychiatric symptoms including stress, anger, worry, rejection sensitivity and lack of mental or physical energy with administration of. . . .

SUMM **Schizophrenia** is characterized by the presence of characteristic psychotic symptoms during the active phase of the illness, and functioning below the highest level previously achieved. At some phase of the illness, **schizophrenia** always involves delusions, hallucinations, or certain characteristic disturbances in affect and the form of thought. The active phase of **schizophrenia** is characterized by the presence of at least delusions, prominent hallucinations, incoherence or marked loosening of associations, catatonic behavior, flat. . . .

SUMM **Schizophrenia** is a prevalent psychiatric disorder. The importance of **schizophrenia** as a prevalent problem and the inadequacy of current treatment is evidenced in Kapln et al "The Comprehensive Textbook of Psychiatry", Williams Wilkens, Baltimore, Fourth Edition (1985) page 650 which states "An estimated two million Americans suffer from **schizophrenia** today. Approximately half of these individuals will experience a course of illness requiring continuous or intermittent dependence upon others for their support, with particular reliance on public support mechanisms." Accordingly, more effective treatment for **schizophrenia** is needed.

SUMM . . . personality disorders), hypochondriasis, late luteal phase dysphoric disorder, psychoactive substance use disorders (except for nicotine and alcohol), sexual disorders, and **schizophrenia**, and related symptoms including stress, worry, anger, rejection sensitivity and lack of mental or physical energy. The present invention also. . . .

SUMM . . . of a serotonin re-uptake blocker. Preferred and known serotonin re-uptake blockers include fluoxetine, clomipramine, zimelidine, fluvoximine, sertraline, indalpine, citalopram, femoxetine,

**paroxetine**, alaproclate, and gepirone. The serotonin re-uptake blockers also include derivatives and pharmaceutically acceptable salts thereof. For example, an active serotonin. . . .

DETD . . . . disorder, personality disorders including borderline personality disorder, hypochondriasis, late luteal phase dysphoric disorder, psychoactive substance use disorders, sexual disorders and **schizophrenia**) and the following psychiatric symptoms (stress, anger, rejection sensitivity, worry and lack of mental or physical energy) is useful in. . . .

DETD **Schizophrenia**

DETD I have made a finding of efficacy with a serotonin re-uptake blocking agent in a woman with chronic **schizophrenia**. The patient had a limited response to an antipsychotic (Molindone) in high chronic dose of 70 mg to 90 mg. . . .

L10 ANSWER 4 OF 12 USPATFULL on STN

AN 94:5884 USPATFULL

TI Piperidine derivatives, their preparation and their therapeutic application

IN Jegham, Samir, Franconville, France  
DeFosse, Gerard, Paris, France  
Purcell, Thomas, Montfort-l'Amaury, France  
Schoemaker, Johannes, Gif-sur-Yvette, France

PA Synthelabo, Le Plessis-Robinson, France (non-U.S. corporation)

PI US 5280030 19940118 <--

AI US 1992-862376 19920402 (7)

PRAI FR 1991-4009 19910403

DT Utility

FS Granted

EXNAM Primary Examiner: Ivy, C. Warren; Assistant Examiner: Chang, Celia

LREP Wegner, Cantor, Mueller & Player

CLMN Number of Claims: 7

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 600

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5280030 19940118 <--

DETD . . . . absence or in the presence of the test compound. The incubation is performed in the presence of 0.1 .mu.M of **paroxetine** and 1 .mu.M of ketanserin. Nonspecific binding is measured in the presence of 1 .mu.M of ondansetron. After incubation, the. . . .

DETD . . . . resulting from an antitumour treatment or from the administration of an anaesthetic; disorders of the central nervous system such as **schizophrenia**; mania, anxiety and depression; cognition disorders such as Alzheimer's senile or presenile dementia; dyskinesia, pain, migraine and headaches; disorders resulting. . . .

L10 ANSWER 5 OF 12 USPATFULL on STN

AN 93:29211 USPATFULL

TI Tricyclic 5-HT.sub.3 receptor antagonists

IN Berger, Jacob, Los Altos Hills, CA, United States  
Clark, Robin D., Palo Alto, CA, United States  
Eglen, Richard M., Mountain View, CA, United States  
Smith, William L., Sunnyvale, CA, United States  
Weinhardt, Klaus K., San Francisco, CA, United States

PA Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)

PI US 5202333 19930413 <--

AI US 1991-704565 19910522 (7)

RLI Continuation-in-part of Ser. No. US 1989-442082, filed on 28 Nov 1989, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Berch, Mark L.

LREP Montgomery, Wayne W., Freyberg, Derek P., Moran, Tom M.



CLMN Number of Claims: 50  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 1778  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
PI US 5202333 19930413 <--  
SUMM . . . possess anxiolytic properties, demonstrate potential for use in the treatment of dependency disorders and are under investigation in patients with **schizophrenia** (see article from The Lancet previously cited).  
DETD . . . and aging), cerebral vascular deficiency and Parkinson's disease. Psychoses that are treatable using the compounds of Formula I include paranoia, **schizophrenia** and autism. Obsessive/compulsive behavior that is treatable using compounds of Formula I includes eating disorders, e.g., bulimia, a condition in. . .  
DETD . . . are labelled using 0.3-0.7 nM [<sup>3</sup>H]quipazine (specific activity 50-66 Ci/mmol; New England Nuclear) in the presence of 0.1 mM **paroxetine** to prevent [<sup>3</sup>H]quipazine binding to 5-HT uptake sites. The rat cortex membranes are incubated with [<sup>3</sup>H]quipazine in the. . .

L10 ANSWER 6 OF 12 USPATFULL on STN  
AN 93:29196 USPATFULL  
TI Tricyclic compounds acting at serotonin receptor subtypes  
IN Berger, Jacob, Los Altos Hills, CA, United States  
Clark, Robin D., Palo Alto, CA, United States  
Eglen, Richard M., Mountain View, CA, United States  
Smith, William L., Sunnyvale, CA, United States  
Weinhardt, Klaus K., San Francisco, CA, United States  
PA Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)  
PI US 5202318 19930413 <--  
AI US 1991-708260 19910528 (7)  
RLI Continuation-in-part of Ser. No. US 1990-523090, filed on 14 May 1990, now abandoned

DT Utility  
FS Granted  
EXNAM Primary Examiner: Berch, Mark L.  
LREP Montgomery, Wayne W., Freyberg, Derek P., Moran, Tom M.  
CLMN Number of Claims: 52  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 1931

CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
PI US 5202318 19930413 <--  
SUMM . . . 437-457). In addition, 5-HT<sub>2</sub> receptor antagonists may be useful in treating CNS diseases involving cognitive dysfunctions, anxiety, dependency disorders and **schizophrenia** (see article from The Lancet previously cited) and may also be of value in the control of pain, particularly migraine. . . .  
DETD . . . and aging), cerebral vascular deficiency and Parkinson's disease. Psychoses that are treatable using the compounds of Formula I include paranoia, **schizophrenia** and autism. Obsessive/compulsive behavior treatable using the compounds of Formula I include eating disorders, e.g., bulimia, a condition in which. . . .  
DETD . . . are labelled using 0.3-0.7 nM [<sup>3</sup>H]quipazine (specific activity 50-66 Ci/mmol; New England Nuclear) in the presence of 0.1 mM **paroxetine** to prevent [<sup>3</sup>H]quipazine binding to 5-HT uptake sites. The rat cortex membranes are incubated with [<sup>3</sup>H]quipazine in the. . . .

L10 ANSWER 7 OF 12 USPATFULL on STN  
AN 93:18676 USPATFULL  
TI Serotonergic alpha-oxoacetamides

IN Clark, Robin D., Palo Alto, CA, United States  
 Eglen, Richard M., Mountain View, CA, United States  
 Muchowski, Joseph M., Sunnyvale, CA, United States  
 Smith, William L., Sunnyvale, CA, United States  
 Weinhardt, Klaus K., San Francisco, CA, United States  
 PA Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)  
 PI US 5192770 19930309 <--  
 AI US 1990-624028 19901207 (7)  
 DT Utility  
 FS Granted  
 EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Kumar, Shailendra  
 LREP Montgomery, Wayne W., Freyberg, Derek P., Moran, Tom M.  
 CLMN Number of Claims: 34  
 ECL Exemplary Claim: 1  
 DRWN No Drawings  
 LN.CNT 1589

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5192770 19930309 <--  
 SUMM . . . 437-457). In addition, 5-HT.sub.3 receptor antagonists are under investigation for treating CNS diseases involving cognitive dysfunctions, anxiety, dependency disorders and **schizophrenia** (see article from The Lancet previously cited) and may also be of value in the control of pain, particularly migraine. . . .  
 DETD . . . aging), cerebral vascular deficiency and Parkinson's disease. Psychoses that may be treated using the compounds of this invention include paranoia, **schizophrenia** and autism. Representative, treatable anxiety/depressive states include anticipatory anxiety (e.g., prior to surgery, dental work, etc.), depression, mania, convulsions and. . . .  
 DETD . . . are labelled using 0.3-0.7 nM [<sup>3</sup>H]quipazine (specific activity 50-66 Ci/mmol; New England Nuclear) in the presence of 0.1 .mu.M **paroxetine** to prevent [<sup>3</sup>H]quipazine binding to 5-HT uptake sites. The rat cortex membranes are incubated with [<sup>3</sup>H]quipazine in the. . . .

L10 ANSWER 8 OF 12 USPATFULL on STN

AN 93:14571 USPATFULL  
 TI Tricyclic 5-HT.sub.3 receptor antagonists  
 IN Berger, Jacob, Los Altos Hills, CA, United States  
 Clark, Robin D., Palo Alto, CA, United States  
 PA Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)  
 PI US 5189041 19930223 <--  
 AI US 1990-614326 19901116 (7)  
 DT Utility  
 FS Granted  
 EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Kumar, Shailendra  
 LREP Montgomery, Wayne W., Freyberg, Derek P., Moran, Tom M.  
 CLMN Number of Claims: 43  
 ECL Exemplary Claim: 1  
 DRWN No Drawings  
 LN.CNT 1673

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5189041 19930223 <--  
 SUMM . . . possess anxiolytic properties, demonstrate potential for use in the treatment of dependency disorders and are under investigation in patients with **schizophrenia** (see article from The Lancet previously cited).  
 SUMM . . . aging), cerebral vascular deficiency and Parkinson's disease. Psychoses that may be treated using the compounds of this invention include paranoia, **schizophrenia** and autism. Representative, treatable anxiety/depressive states include anticipatory anxiety (e.g., prior to surgery, dental work, etc.), depression, mania, convulsions

and. . .

DETD . . . are labelled using 0.3-0.7 nM [<sup>3</sup>H]quipazine (specific activity 50-66 Ci/mmol; New England Nuclear) in the presence of 0.1  $\mu$ M **paroxetine** to prevent [<sup>3</sup>H]quipazine binding to 5-HT uptake sites. The rat cortex membranes are incubated with [<sup>3</sup>H]quipazine in the. . .

L10 ANSWER 9 OF 12 USPATFULL on STN

AN 92:40700 USPATFULL

TI Method for treating certain psychiatric disorders and certain psychiatric symptoms

IN Norden, Michael J., 348 NW. 113th Pl., Seattle, WA, United States 98177

PI US 5114976 19920519 <--

AI US 1990-610339 19901105 (7)

RLI Continuation of Ser. No. US 1989-294461, filed on 6 Jan 1989, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Friedman, S. J.

LREP Seed and Berry

CLMN Number of Claims: 3

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 985

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5114976 19920519 <--

AB . . . circadian rhythm disorders, borderline personality disorders, personality disorders, Late Luteal Phase Dysphoric Disorder, psychoactive substance use disorders, sexual disorders, and **schizophrenia** and certain psychiatric symptoms including stress, anger, worry, rejection sensitivity and lack of mental or physical energy with administration of. . .

SUMM **Schizophrenia** is characterized by the presence of characteristic psychotic symptoms during the active phase of the illness, and functioning below the highest level previously achieved. At some phase of the illness, **schizophrenia** always involves delusions, hallucinations, or certain characteristic disturbances in affect and the form of thought. The active phase of **schizophrenia** is characterized by the presence of at least delusions, prominent hallucinations, incoherence or marked loosening of associations, catatonic behavior, flat. . .

SUMM **Schizophrenia** is a prevalent psychiatric disorder. The importance of **schizophrenia** as a prevalent problem and the inadequacy of current treatment is evidenced in Kapln et al "The Comprehensive Textbook of Psychiatry", Williams Wilkens, Baltimore, Fourth Edition (1985) page 650 which states "An estimated two million Americans suffer from **schizophrenia** today. Approximately half of these individuals will experience a course of illness requiring continuous or intermittent dependence upon others for their support, with particular reliance on public support mechanisms." Accordingly, more effective treatment for **schizophrenia** is needed.

SUMM . . . personality disorders), hypochondriasis, late luteal phase dysphoric disorder, psychoactive substance use disorders (except for nicotine and alcohol), sexual disorders, and **schizophrenia**, and related symptoms including stress, worry, anger, rejection sensitivity and lack of mental or physical energy. The present invention also. . .

SUMM . . . of a serotonin re-uptake blocker. Preferred and known serotonin re-uptake blockers include fluoxetine, clomipramine, zimelidine, fluvoxamine, sertraline, indalpine, citalopram, femoxetine, **paroxetine**, alaproclate, and gepirone. The serotonin re-uptake blockers also include derivatives and pharmaceutically acceptable salts thereof. For example, an active serotonin. . .

DETD . . . disorders, personality disorders including borderline

personality disorder, hypochondriasis, late luteal phase dysphoric disorder, psychoactive substance use disorders, sexual disorders and **schizophrenia**) and the following psychiatric symptoms (stress, anger, rejection sensitivity, worry and lack of mental or physical energy) is useful in. . .

DETD **SCHIZOPHRENIA**

DETD I have made a finding of efficacy with a serotonin re-uptake blocking agent in a woman with chronic **schizophrenia**. The patient had a limited response to an antipsychotic (Molindone) in high chronic dose of 70 mg to 90 mg. . .

CLM What is claimed is:

. . of circadian rhythm disorder, borderline personality disorder, hypochondriasis, late luteal phase dysphoric disorder, psychoactive substance use disorder, sexual disorder and **schizophrenia** comprising, administering a therapeutically effective, nontoxic dose of fluoxetine, and derivatives and pharmaceutically acceptable salts thereof.

L10 ANSWER 10 OF 12 USPATFULL on STN

AN 92:21000 USPATFULL

TI (4-piperidyl)methyl-2,3-dihydro-1H-isoindole and -2,3,4,5-tetrahydro-1H-benzazepine derivatives, their preparation and their application in therapy

IN George, Pascal, St. Arnoult en Yvelines, France

Sevrin, Mireille, Paris, France

Mangane, Michel, Chatillon s/Bagneux, France

PA Synthelabo, Paris, France (non-U.S. corporation)

PI US 5096900 19920317 <--

AI US 1990-503941 19900208 (7)

RLI Division of Ser. No. US 1989-377929, filed on 11 Jul 1989

PRAI FR 1988-9450 19880712

DT Utility

FS Granted

EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Ward, E. C.

LREP Fleit, Jacobson, Cohn, Price, Holman & Stern

CLMN Number of Claims: 6

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 548

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5096900 19920317 <--

DETD . . . .mu.l of membrane suspension in a final volume of 1 ml of buffer containing 10 .mu.M pargyline and 3 .mu.M **paroxetine**.

DETD . . . . A and/or sigma type serotoninergic receptors, in particular for the treatment of depressive states, anxiety states, psychotic states such as **schizophrenia** and sleep disorders, and for the regulation of food intake, and also for the treatment of vascular, cardiovascular and cerebrovascular. . .

L10 ANSWER 11 OF 12 USPATFULL on STN

AN 92:3665 USPATFULL

TI 2,3-dihydro-1H-isoindole derivatives and their application in therapy

IN George, Pascal, St. Arnoult en Yvelines, France

Sevrin, Mireille, Paris, France

Mangane, Michel, Chatillon s/Bagneux, France

Merly, Jean-Pierre, Fontenay Aux Roses, France

Bigg, Dennis, Castres, France

PA Synthelabo, Paris, France (non-U.S. corporation)

PI US 5081128 19920114 <--

AI US 1989-377929 19890711 (7)

PRAI FR 1988-9450 19880712

FR 1988-9451 19880712

DT Utility

FS Granted  
 EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Ward, E. C.  
 LREP Fleit, Jacobson, Cohn, Price, Holman & Stern  
 CLMN Number of Claims: 6  
 ECL Exemplary Claim: 1  
 DRWN No Drawings  
 LN.CNT 549  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 PI US 5081128 19920114 <--  
 DETD . . . .mu.l of membrane suspension in a final volume of 1 ml of  
 buffer containing 10 .mu.M pargyline and 3 .mu.M **paroxetine**.  
 DETD . . . . A and/or sigma type serotoninerbic receptors, in particular for  
 the treatment of depressive states, anxiety states, psychotic states  
 such as **schizophrenia** and sleep disorders, and for the  
 regulation of food intake, and also for the treatment of vascular,  
 cardiovascular and cerebrovascular. . .  
  
 L10 ANSWER 12 OF 12 USPATFULL on STN  
 AN 90:65557 USPATFULL  
 TI 6-phenyl-3-(piperazinyalalkyl)-2,4(1H,3H)-pyrimidinedione derivatives,  
 their preparation and their application in therapy  
 IN Frost, Jonathan, Wissous, France  
 Gaudilliere, Bernard, Nanterre, France  
 Rousseau, Jean, Bourg la Reine, France  
 Dupont, Regis, Tours, France  
 Manoury, Philippe, Verrieres le Buisson, France  
 Obitz, Daniel, Fontenay aux Roses, France  
 PA Synthelabo, Paris, France (non-U.S. corporation)  
 PI US 4950670 19900821 <--  
 AI US 1989-352342 19890516 (7)  
 PRAI FR 1988-6568 19880517  
 DT Utility  
 FS Granted  
 EXNAM Primary Examiner: Hollrah, Glennon H.; Assistant Examiner: Turnipseed,  
 James H.  
 LREP Wegner & Bretschneider  
 CLMN Number of Claims: 7  
 ECL Exemplary Claim: 1,7  
 DRWN No Drawings  
 LN.CNT 588  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 PI US 4950670 19900821 <--  
 DETD . . . .mu.l of membrane suspension in a final volume of 1 ml of  
 buffer containing 10 .mu.M pargyline and 3 .mu.M **paroxetine**.  
 DETD . . . . diseases and conditions directly or indirectly involving the  
 5-HT.sub.1A type serotoninerbic receptors, in particular for the  
 treatment of psychotic states (**schizophrenia**), depressive  
 states, anxiety states, sleep disorders and disorders of sexual  
 behaviour, and for the regulation of food intake, as well. . .

=> d his

(FILE 'HOME' ENTERED AT 14:13:24 ON 27 SEP 2004)

FILE 'USPATFULL' ENTERED AT 14:13:41 ON 27 SEP 2004

L1 653 S OLANZAPINE  
 L2 425 S L1 AND FLUOXETINE  
 L3 0 S L2 AND PD 1995  
 L4 507 S FLUOXETINE AND ANALGESIC  
 L5 52 S L4 AND PD< 1998  
 L6 27 S L4 AND PD< 1995  
 L7 267 S OLANZAPINE AND ANALGESIC  
 L8 0 S L7 AND PD<1995

L9 531 S PAROXETINE AND SCHIZOPHRENIA  
L10 12 S L9 AND PD<1995

=> s schizophrenia and fluoxetine  
8219 SCHIZOPHRENIA  
2250 FLUOXETINE  
L11 647 SCHIZOPHRENIA AND FLUOXETINE

=> s l11 and pd< 1995  
1890788 PD< 1995  
(PD<19950000)  
L12 21 L11 AND PD< 1995

=> d l12 1-5 and 16-21 bib, kwic  
'AND' IS NOT A VALID FORMAT FOR FILE 'USPATFULL'

The following are valid formats:

The default display format is STD.

ABS ----- AB  
ALL ----- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, PTERM, DCD,  
RLI, PRAI, DT, FS, REP, REN, EXNAM, LREP, CLMN, ECL,  
DRWN, AB, GOVI, PARN, SUMM, DRWD, DETD, CLM, INCL,  
INCLM, INCLS, NCL, NCLM, NCLS, IC, ICM, ICS,  
EXF, ARTU  
ALLG ----- ALL plus PAGE.DRAW  
BIB ----- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, PTERM, DCD, RLI,  
PRAI, DT, FS, EXNAM, LREP, CLMN, ECL, DRWN, LN.CNT  
BIB.EX ----- BIB for original and latest publication  
BIBG ----- BIB plus PAGE.DRAW  
BROWSE ----- See "HELP BROWSE" or "HELP DISPLAY BROWSE". BROWSE must  
entered on the same line as DISPLAY, e.g., D BROWSE.  
CAS ----- OS, CC, SX, ST, IT  
CBIB ----- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, PRAI, DT, FS  
DALL ----- ALL, delimited for post-processing  
FP ----- PI, TI, IN, INA, PA, PAA, PAT, PTERM, DCD, AI, RLI,  
PRAI, IC, ICM, ICS, INCL, INCLM, INCLS, NCL,  
NCLM, NCLS, EXF, REP, REN, ARTU, EXNAM, LREP,  
CLMN, DRWN, AB  
FP.EX ----- FP for original and latest publication  
FPALL ----- PI, TI, IN, INA, PA, PAA, PAT, PTERM, DCD, AI,  
RLI, PRAI, IC, ICM, ICS, INCL, INCLM, INCLS, NCL, NCLM,  
NCLS, EXF, REP, REN, ARTU, EXNAM, LREP, CLMN, DRWN, AB,  
PARN, SUMM, DRWD, DETD, CLM  
FPBIB ----- PI, TI, IN, INA, PA, PAA, PAT, PTERM, DCD, AI,  
RLI, PRAI, REP, REN, EXNAM, LREP, CLM, CLMN, DRWN  
FHITSTR ----- HIT RN, its text modification, its CA index name, and  
its structure diagram  
FPG ----- FP plus PAGE.DRAW  
GI ----- PN and page image numbers  
HIT ----- All fields containing hit terms  
HITRN ----- HIT RN and its text modification  
HITSTR ----- HIT RN, its text modification, its CA index name, and  
its structure diagram  
IABS ----- ABS, indented with text labels  
IALL ----- ALL, indented with text labels  
IALLG ----- IALL plus PAGE.DRAW  
IBIB ----- BIB, indented with text labels  
IBIB.EX ----- IBIB for original and latest publication  
IBIBG ----- IBIB plus PAGE.DRAW  
IMAX ----- MAX, indented with text labels  
IMAX.EX ----- IMAX for original and latest publication  
IND ----- INCL, INCLM, INCLS, NCL, NCLM, NCLS, IC, ICM, ICS,

EXF, ARTU, OS, CC, SX, ST, IT  
 ISTD ----- STD, indented with text labels  
 KWIC ----- All hit terms plus 20 words on either side  
 MAX ----- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, PTERM, DCD,  
 RLI, PRAI, DT, FS, REP, REN, EXNAM, LREP, CLMN, ECL,  
 DRWN, AB, GOVI, PARN, SUMM, DRWD, DETD, CLM, INCL,  
 INCLM, INCLS, NCL, NCLM, NCLS, IC, ICM, ICS,  
 EXF, ARTU OS, CC, SX, ST, IT  
 MAX.EX ----- MAX for original and latest publication  
 OCC ----- List of display fields containing hit terms  
 SBIB ----- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, RLI, PRAI,  
 DT, FS, LN.CNT  
 SCAN ----- AN, TI, NCL, NCLM, NCLS, IC, ICM, ICS (random display  
 without answer number. SCAN must be entered on the  
 same line as DISPLAY, e.g., D SCAN)  
 STD ----- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, RLI, PRAI,  
 DT, FS, LN.CNT, INCL, INCLM, INCLS, NCL, NCLM, NCLS,  
 IC, ICM, ICS, EXF (STD is the default)  
 STD.EX ----- STD for original and latest publication  
 TRIAL ----- AN, TI, INCL, INCLM, INCLS, NCL, NCLM, NCLS, IC,  
 ICM, ICS

ENTER DISPLAY FORMAT (STD):std

L12 ANSWER 1 OF 21 USPATFULL on STN  
 AN 1999:69625 USPATFULL  
 TI PCT-65 serotonin receptor  
 IN Monsma, Jr., Frederick J., Riehen, Switzerland  
 Shen, Yong, Libertyville, IL, United States  
 Sibley, David R., Gaithersburg, MD, United States  
 Hamblin, Mark, Seattle, WA, United States  
 PA United States of America, Washington, DC, United States (U.S.  
 corporation)  
 PI US 5914236 19990622  
 WO 9410311 19940511 <--  
 AI US 1995-428243 19950918 (8)  
 WO 1993-US10301 19931026  
 19950918 PCT 371 date  
 19950918 PCT 102(e) date  
 RLI Continuation-in-part of Ser. No. US 1992-980514, filed on 26 Oct 1992,  
 now abandoned  
 DT Utility  
 FS Granted  
 LN.CNT 1179  
 INCL INCLM: 435/007.210  
 INCLS: 435/069.100; 435/320.100; 435/325.000; 435/369.000; 536/023.500;  
 530/350.000  
 NCL NCLM: 435/007.210  
 NCLS: 435/069.100; 435/320.100; 435/325.000; 435/369.000; 530/350.000;  
 536/023.500  
 IC [6]  
 ICM: C12N015-12  
 ICS: C07K014-705; G01N033-00  
 EXF 435/6; 435/7.1; 435/7.2; 435/7.21; 435/69.1; 435/240.1; 435/252.3T;  
 435/320.1; 435/325; 435/369; 536/23.5; 514/2; 514/12; 530/350  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 2 OF 21 USPATFULL on STN  
 AN 97:66127 USPATFULL  
 TI Pyridyl-and pyrimidylpiperazine derivatives  
 IN Abramo, Lisbeth, Bjarred, Sweden  
 Lundstedt, Torbjorn, Loddekopinge, Sweden  
 Nordvi, Curt, Malmo, Sweden  
 Olsson, Knut Gunnar, Malmo, Sweden

Brodzski, Martin, Malmo, Sweden  
 PA Pharmacia Aktiebolag, Stockholm, Sweden (non-U.S. corporation)  
 PI US 5652240 19970729  
 WO 9403430 19940217 <--  
 AI US 1995-374776 19950131 (8)  
 WO 1993-SE632 19930716  
 19950131 PCT 371 date  
 19950131 PCT 102(e) date  
 PRAI SE 1992-2265 19920731  
 DT Utility  
 FS Granted  
 LN.CNT 342  
 INCL INCLM: 514/252.000  
 INCLS: 544/295.000; 544/360.000; 544/364.000; 544/365.000  
 NCL NCLM: 514/253.010  
 NCLS: 514/218.000; 514/253.120; 514/253.130; 540/575.000; 544/295.000;  
 544/360.000; 544/364.000; 544/365.000  
 IC [6]  
 ICM: A61K031-495  
 ICS: A61K031-505; C07D403-06  
 EXF 544/295; 544/360; 544/364; 544/365; 514/252  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 3 OF 21 USPATFULL on STN  
 AN 94:73420 USPATFULL  
 TI 8-azabicyclo[3.2.1]octane methanone and corresponding oximes  
 IN Glamkowski, Edward J., Warren, NJ, United States  
 Fink, David M., Doylestown, PA, United States  
 Kurys, Barbara E., Elmwood Park, NJ, United States  
 Chiang, Yulin, Convent Station, NJ, United States  
 PA Hoechst-Roussel Pharmaceuticals Inc., Somerville, NJ, United States  
 (U.S. corporation)  
 PI US 5340936 19940823 <--  
 AI US 1993-37047 19930325 (8)  
 RLI Division of Ser. No. US 1992-831027, filed on 4 Feb 1992, now patented,  
 Pat. No. US 5234931 which is a continuation-in-part of Ser. No. US  
 1991-650144, filed on 4 Feb 1991, now abandoned  
 DT Utility  
 FS Granted  
 LN.CNT 1114  
 INCL INCLM: 546/124.000  
 INCLS: 546/126.000; 546/132.000  
 NCL NCLM: 546/124.000  
 NCLS: 546/126.000; 546/132.000  
 IC [5]  
 ICM: C07D451-02  
 ICS: C07D401-04; C07D417-04  
 EXF 546/126; 546/132; 546/124; 514/304  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 4 OF 21 USPATFULL on STN  
 AN 94:66490 USPATFULL  
 TI Heteroaryl-8-azabicyclo[3,2,1]octanes as antipsychotic agents,  
 5-HT.sub.3 receptor antagonists and inhibitors of the reuptake of  
 serotonin  
 IN Glamkowski, Edward J., Warren, NJ, United States  
 Fink, David M., Doylestown, PA, United States  
 Kurys, Barbara E., Elmwood Park, NJ, United States  
 Chiang, Yulin, Convent Station, NJ, United States  
 PA Hoechst-Roussel Pharmaceuticals Inc., Somerville, NJ, United States  
 (U.S. corporation)  
 PI US 5334599 19940802 <--  
 AI US 1993-37134 19930325 (8)  
 RLI Division of Ser. No. US 1992-831027, filed on 4 Feb 1992, now patented,



Pat. No. US 5234931 Continuation-in-part of Ser. No. US 1991-650144,  
filed on 4 Feb 1991, now abandoned

DT Utility  
FS Granted  
LN.CNT 1246  
INCL INCLM: 514/304.000  
INCLS: 546/126.000  
NCL NCLM: 514/304.000  
NCLS: 546/126.000  
IC [5]  
ICM: C07D401-04  
ICS: C07D413-04; C07D417-04; A61K031-46  
EXF 546/126; 514/304  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 5 OF 21 USPATFULL on STN

AN 94:60171 USPATFULL  
TI Substituted (pyridinylamino)-indoles  
IN Effland, Richard C., Bridgewater, NJ, United States  
Klein, Joseph T., Bridgewater, NJ, United States  
Martin, Lawrence L., Lebanon, NJ, United States  
Shutske, Gregory M., Flemington, NJ, United States  
Kapples, Kevin J., Little York, NJ, United States  
Tomer, IV, John D., Perkasio, PA, United States  
PA Hoechst-Roussel Pharmaceuticals Incorporated, Somerville, NJ, United States (U.S. corporation)  
PI US 5328920 19940712 <--  
AI US 1992-964546 19921021 (7)  
RLI Continuation-in-part of Ser. No. US 1991-688964, filed on 17 Apr 1991, now patented, Pat. No. US 5177088  
DT Utility  
FS Granted  
LN.CNT 2977  
INCL INCLM: 514/339.000  
INCLS: 546/273.000; 546/270.000; 546/256.000; 514/338.000; 514/333.000  
NCL NCLM: 514/339.000  
NCLS: 514/333.000; 514/338.000; 546/256.000; 546/271.100; 546/272.100; 546/275.700; 546/277.400; 546/281.100; 546/284.100  
IC [5]  
ICM: C07D401-12  
ICS: A61K031-44  
EXF 546/273; 546/270; 546/256; 514/339; 514/333; 514/338  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 16 OF 21 USPATFULL on STN

AN 90:46662 USPATFULL  
TI Brain-specific analogues of centrally acting amines  
IN Bodor, Nicholas S., Gainesville, FL, United States  
PA University of Florida, Gainesville, FL, United States (U.S. corporation)  
PI US 4933438 19900612 <--  
AI US 1988-208872 19880620 (7)  
RLI Division of Ser. No. US 1985-785903, filed on 29 Aug 1985, now patented, Pat. No. US 4771059 which is a continuation-in-part of Ser. No. US 1984-584800, filed on 29 Feb 1984, now abandoned  
DT Utility  
FS Granted  
LN.CNT 1599  
INCL INCLM: 536/006.400  
INCLS: 546/316.000  
NCL NCLM: 536/006.400  
NCLS: 546/316.000  
IC [5]  
ICM: C07H015-24  
EXF 536/6.4; 514/34

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 17 OF 21 USPATFULL on STN  
AN 90:5989 USPATFULL  
TI Method of assisting weight loss  
IN Seed, John C., 763 Kingston Rd., Princeton, NJ, United States 08540  
PI US 4895845 19900123 <--  
AI US 1986-907837 19860915 (6)  
DT Utility  
FS Granted  
LN.CNT 583  
INCL INCLM: 514/252.000  
INCLS: 514/280.000; 514/649.000; 514/651.000; 514/910.000  
NCL NCLM: 514/253.040  
NCLS: 514/280.000; 514/649.000; 514/651.000; 514/910.000  
IC [4]  
ICM: A61K031-50  
ICS: A61K031-495; A61K031-44; A61K031-135  
EXF 514/280; 514/910; 514/252; 514/649; 514/651  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 18 OF 21 USPATFULL on STN  
AN 88:59093 USPATFULL  
TI Brain-specific analogues of centrally acting amines  
IN Bodor, Nicholas S., Gainesville, FL, United States  
PA University of Florida, Gainesville, FL, United States (U.S. corporation)  
PI US 4771059 19880913 <--  
WO 8503937 19850912 <--  
AI US 1985-785903 19850829 (6)  
WO 1985-US236 19850215  
19850829 PCT 371 date  
19850829 PCT 102(e) date  
RLI Continuation-in-part of Ser. No. US 1984-584800, filed on 29 Feb 1984,  
now abandoned  
DT Utility  
FS Granted  
LN.CNT 1805  
INCL INCLM: 514/355.000  
INCLS: 514/307.000; 514/309.000; 514/311.000; 514/312.000; 514/345.000;  
514/348.000; 514/350.000; 514/354.000; 514/356.000; 514/357.000;  
514/358.000; 546/139.000; 546/141.000; 546/142.000; 546/145.000;  
546/146.000; 546/147.000; 546/150.000; 546/152.000; 546/153.000;  
546/155.000; 546/156.000; 546/157.000; 546/158.000; 546/165.000;  
546/169.000; 546/170.000; 546/172.000; 546/176.000; 546/180.000;  
546/290.000; 546/296.000; 546/298.000; 546/299.000; 546/300.000;  
546/301.000; 546/302.000; 546/303.000; 546/316.000; 546/318.000;  
546/321.000; 546/322.000; 546/323.000; 546/338.000; 546/345.000;  
546/346.000  
NCL NCLM: 514/355.000  
NCLS: 514/307.000; 514/309.000; 514/311.000; 514/312.000; 514/345.000;  
514/348.000; 514/350.000; 514/354.000; 514/356.000; 514/357.000;  
514/358.000; 546/139.000; 546/141.000; 546/142.000; 546/145.000;  
546/146.000; 546/147.000; 546/150.000; 546/152.000; 546/153.000;  
546/155.000; 546/156.000; 546/157.000; 546/158.000; 546/165.000;  
546/169.000; 546/170.000  
IC [4]  
ICM: A61K031-44  
ICS: A61K031-47; C07D211-90; C07D215-54  
EXF 546/316; 546/323; 546/139; 546/141; 546/142; 546/145; 546/146; 546/147;  
546/150; 546/152; 546/153; 546/155; 546/156; 546/157; 546/158; 546/165;  
546/169; 546/170; 546/172; 546/176; 546/180; 546/290; 546/296; 546/298;  
546/299; 546/300; 546/301; 546/302; 546/303; 546/318; 546/321; 546/322;  
546/338; 546/345; 546/346; 514/354; 514/355; 514/307; 514/309; 514/311;  
514/312; 514/345; 514/348; 514/350; 514/356; 514/357; 514/358

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 19 OF 21 USPATFULL on STN  
AN 86:68118 USPATFULL  
TI Treatment of obesity with aryloxyphenylpropylamines  
IN Molloy, Bryan B., North Salem, IN, United States  
Schmiegel, Klaus K., Indianapolis, IN, United States  
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S.  
corporation)  
PI US 4626549 19861202 <--  
AI US 1986-846448 19860331 (6)  
RLI Continuation-in-part of Ser. No. US 1983-544654, filed on 24 Oct 1983,  
now patented, Pat. No. US 4584404 which is a continuation of Ser. No. US  
1978-872147, filed on 25 Jan 1978, now abandoned which is a division of  
Ser. No. US 1974-432379, filed on 10 Jan 1974, now patented, Pat. No. US  
4314081  
DT Utility  
FS Granted  
LN.CNT 923  
INCL INCLM: 514/651.000  
INCLS: 564/347.000  
NCL NCLM: 514/651.000  
NCLS: 564/347.000  
IC [4]  
ICM: A61K031-135  
EXF 514/651; 514/584; 514/585  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 20 OF 21 USPATFULL on STN  
AN 86:29790 USPATFULL  
TI Anti-anxiety method  
IN Stark, Paul, Indianapolis, IN, United States  
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S.  
corporation)  
PI US 4590213 19860520 <--  
AI US 1983-483087 19830408 (6)  
DT Utility  
FS Granted  
LN.CNT 92  
INCL INCLM: 514/653.000  
NCL NCLM: 514/653.000  
IC [4]  
ICM: A61K031-135  
EXF 424/330; 514/653  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 21 OF 21 USPATFULL on STN  
AN 80:28238 USPATFULL  
TI 1-Phenyl-3-(substituted phenoxy)propylamines  
IN Lavagnino, Edward R., Indianapolis, IN, United States  
McShane, Lawrence J., Indianapolis, IN, United States  
Molloy, Bryan B., North Salem, IN, United States  
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S.  
corporation)  
PI US 4207343 19800610 <--  
AI US 1978-917819 19780622 (5)  
DT Utility  
FS Granted  
LN.CNT 644  
INCL INCLM: 424/330.000  
INCLS: 260/349.000; 260/453.000AR; 260/501.180; 260/501.190;  
260/546.000; 260/549.000; 260/570.500R; 260/570.600;  
260/651.000R; 260/651.000HA; 424/316.000; 560/061.000;  
560/062.000; 562/471.000; 562/472.000; 568/631.000

NCL NCLM: 514/651.000  
NCLS: 560/061.000; 560/062.000; 562/471.000; 562/472.000; 564/346.000;  
568/631.000  
IC [2]  
ICM: A01N009-20  
ICS: A01N009-24; C07C093-06  
EXF 260/570.5R; 260/570.6; 260/570.7; 260/501.10; 424/330; 424/316  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d l12 1-5, 16-21 kwic

L12 ANSWER 1 OF 21 USPATFULL on STN

PI US 5914236 19990622

WO 9410311 19940511

<--

SUMM . . . and sleep. Disruptions of serotonergic systems may be a critical factor in a number of clinical disorders or conditions including **schizophrenia**, depression, obsessive compulsive disorder, anxiety, migraine headaches, and pain.

SUMM . . . receptor technologies utilizing the serotonin classes known in the art. 5-HT.sub.2 antagonists, for example, are useful in the treatment of **schizophrenia**, parkinsonism, and anxiety disorders. Several azapirones, such as buspirone, gepirone, and ipsapirone, have high affinities for 5HT.sub.1A receptors in the. . .

DETD . . . which are not in Table 1 were tested and found to have Ki values >1.3 mM: Imipramine, NAN-190, Desipramine, Citalopram, **Fluoxetine**, Idazoxan, Quipazine, LY-278584, Octopamine, MDL7222, BRL24294, BRL43694, BIMU1, BIMU8, DAU6215, DAU6285, GR38032, Zacopride, Fenflurmine, Pindolol, Dopamine, Norepinephrine, Histamine, and Melatonin.

L12 ANSWER 2 OF 21 USPATFULL on STN

PI US 5652240 19970729

WO 9403430 19940217

<--

SUMM . . . dystonic reactions and tardive dyskinesia) and are poor in ameliorating the negative symptoms (e.g. restricted or blunted emotional arousal) of **schizophrenia**. The main disadvantage of the anti-depressants is that they fail to alleviate depression in 30 to 40% of patients. Anxiolytics. . .

SUMM . . . tropic drugs such as 5-HT.sub.1A agonists, e.g., buspirone and ipsapirone, 5-HT.sub.2 antagonists e.g. amperozide and ritanserin, 5-HT uptake inhibitors e.g. **fluoxetine** and **paroxetine**.

L12 ANSWER 3 OF 21 USPATFULL on STN

PI US 5340936 19940823

<--

SUMM . . . binding site in the brain. Compounds which function as 5-HT.sub.3 antagonists are believed to be useful in the treatment of **schizophrenia**.

SUMM . . . that 5-HT.sub.3 antagonists may have a therapeutic benefit in disease states believed to be associated with excessive dopaminergic activity; i.e., **schizophrenia**, anxiety and drug abuse.

SUMM TABLE 3

COMPOUND 5-HT-IC.sub.50 (.mu.M)

[4-[2-[3-[1,2-Benzisoxazol-3-yl]-  
0.01

8-azabicyclo[3.2.1]octan-8-yl]-  
ethoxy]-3-methoxyphenyl]ethanone  
fumarate

[4-[4-[3-[1H-Indazol-3-yl]-8-azabicyclo-  
0.07

[3.2.1]octan-8-yl]butoxy]-3-methoxyphenyl]-  
ethanone fumarate hemihydrate

[4-[4-[3-[6-Fluoro-1H-indazol-3-yl]-  
0.02  
8-azabicyclo[3.2.1]-octan-8-yl]butoxy]-  
3-methoxyphenyl]ethanone  
[4-[4-[3-[1,2-Benzisothiazol-3-yl]-  
0.027  
8 azabicyclo[3.2.1]octan-8-yl]-  
butoxy]-3-methoxyphenyl]ethanone  
monohydrochloride  
Chloripramine (reference)  
0.15  
**Fluoxetine** (reference) 0.247

L12 ANSWER 4 OF 21 USPATFULL on STN  
PI US 5334599 19940802 <--  
SUMM . . . binding site in the brain. Compounds which function as  
5-HT.sub.3 antagonists are believed to be useful in the treatment of  
**schizophrenia**.  
SUMM . . . that 5-HT.sub.3 antagonists may have a therapeutic benefit in  
disease states believed to be associated with excessive dopaminergic  
activity; i.e., **schizophrenia**, anxiety and drug abuse.  
SUMM TABLE 3

COMPOUND	5-HT-IC.sub.50 (.mu.M)
----------	------------------------

[4-[2-[3-[1,2-Benzisoxazol-3-yl]- 0.01 8-azabicyclo[3.2.1]octan-8-yl]- ethoxy]-3-methoxyphenyl]ethanone fumarate [4-[4-[3-[1H-Indazol-3-yl]-8-azabicyclo- 0.07 [3.2.1]octan-8-yl]butoxy]-3-methoxyphenyl]- ethanone fumarate hemihydrate [4-[4-[3-[6-Fluoro-1H-indazol-3-yl]- 0.02 8-azabicyclo[3.2.1]-octan-8-yl]butoxy]- 3-methoxyphenyl]ethanone [4-[4-[3-[1,2-Benzisothiazol-3-yl]- 0.027 8-azabicyclo[3.2.1]octan-8-yl]- butoxy]-3-methoxyphenyl]ethanone monohydrochloride Chloripramine (reference) 0.15 <b>Fluoxetine</b> (reference) 0.247	
---	--

L12 ANSWER 5 OF 21 USPATFULL on STN  
PI US 5328920 19940712 <--  
SUMM . . . 5 HT.sub.3 antagonists may have a therapeutic benefit in  
disease states believed to be associated with excessive dopaminergic  
activity; e.g., **schizophrenia** and drug abuse.  
SUMM . . . (10). Trazodone and zimelidine are clinically effective  
antidepressants (3) with fairly selective effects on 5 HT uptake (4,5).  
More recently, **fluoxetine** has been shown to be both a  
selective and potent 5 HT uptake inhibitor.  
SUMM TABLE 4

Compound	Dose (mg/kg/day)
----------	---------------------

6-Chloro-3-(propyl-4- Active at 30 and 15

pyridinylamino)benzo[b]-  
thiophene hydrochloride  
6-chloro-3-[2-methylpropyl)  
Active at 15  
(4-pyridinyl) amino]benzo[b]thiophene  
hydrochloride  
3-[(2-methylpropyl)4-pyridinylamino)]-  
Active at 15  
6-trifluoromethylbenzo[b]thiophene  
hydrochloride  
(Reference Compounds)  
Clomipramine Active at 5  
**Fluoxetine** Active at 5

---

L12 ANSWER 16 OF 21 USPATFULL on STN

PI US 4933438 19900612 <--

SUMM . . . stimulants; desipramine, nortriptyline, octriptyline, protriptyline and maprotiline, which are cerebral stimulants/tricyclic antidepressants of the dibenzazepine-type; amedalin, bupropion, cartazolate, daledalin, difluanine, **fluoxetine** and nisoxetine, which also are cerebral stimulants; bethanidine, a hypotensive; and ephedrine and pseudoephedrine, which are sympathomimetic amines.

SUMM . . . agent which structurally is an analogue of the phenothiazine tranquilizers; thiothixine, a thioxanthine alerting agent (used, e.g., in chronic withdrawn **schizophrenia**) which structurally is an analogue of the phenothiazine tranquilizers; doxepin and cidoxepin, tricyclic antidepressants which structurally are dibenzoxapine analogues of the phenothiazine tranquilizers; loxapine, a tranquilizer/antipsychotic (used, e.g., in treating chronic and acute **schizophrenia**) which structurally is an analogue of the phenothiazine tranquilizers; clomacran, clopenthixol and clothiapine, which are antipsychotics which structurally are analogues. . .

L12 ANSWER 17 OF 21 USPATFULL on STN

PI US 4895845 19900123 <--

DETD . . . of elevated blood pressure. Infrequently, rauwolfia alkaloid derivatives have been prescribed in the management of agitated psychotic states, such as **schizophrenia**.

DETD The preferred phenoxyphenylpropylamine antidepressant is **fluoxetine**. Clinical studies indicate **fluoxetine** relieves the symptoms of major depressive illness. In contrast to the tricyclic antidepressants, **fluoxetine** does not inhibit the noradrenergic uptake system. This phenoxyphenylpropylamine antidepressant should be administered within the range of from about 0.1. . . .

CLM What is claimed is:

. . . alkaloid in the form of reserpine, and at least one antidepressant selected from the group consisting of trazodone, bupropion and **fluoxetine** in an administration regimen sufficient to supply effective daily dosages thereof for assisting weight loss.

5. The method of claim 1 wherein said antidepressant is **fluoxetine** and both reserpine and **fluoxetine** are administered concomitantly.

7. The method of claim 1 wherein said antidepressant is **fluoxetine** and the daily dosage of **fluoxetine** is between about 0.1 and about 1.5 milligram per kilogram of human body weight.

8. The method of claim 1 wherein the rauwolfia alkaloid is reserpine, and both trazodone and **fluoxetine** are administered, said reserpine, trazodone, and **fluoxetine** being administered in a

regimen sufficient to supply effective daily dosages thereof for assisting weight loss.

9. The method of claim 1 wherein the rauwolfia alkaloid is reserpine, and trazodone, bupropion, and **fluoxetine** are administered, said reserpine, trazodone, bupropion and **fluoxetine** being administered in a regimen sufficient to supply effective daily dosages thereof for assisting weight loss.

L12 ANSWER 18 OF 21 USPATFULL on STN

PI US 4771059 19880913

<--

WO 8503937 19850912

<--

SUMM . . . agent which structurally is an analogue of the phenothiazine tranquilizers; thiothixine, a thioxanthine alerting agent (used, e.g., in chronic withdrawn **schizophrenia**) which structurally is an analogue of the phenothiazine tranquilizers; doxepin and cidoxepin, tricyclic antidepressants which structurally are dibenzoxapine analogues of the phenothiazine tranquilizers; loxapine, a tranquilizer/antipsychotic (used, e.g., in treating chronic and acute **schizophrenia**) which structurally is an analogue of the phenothiazine tranquilizers; clomacran, clopenthixol and clothiapine, which are antipsychotics which structurally are analogues. . .

SUMM . . . AMEDALIN ##STR249## ##STR250## ##STR251## BUPROPION ##STR252## ##STR253## ##STR254## CARTAZOLATE ##STR255## ##STR256## ##STR257## CHLORBENZOCETAMINE ##STR258## ##STR259## ##STR260## TILLETAMINE ##STR261## ##STR262## ##STR263## **FLUOXETINE** ##STR264## ##STR265## ##STR266## NISOXETINE ##STR267## ##STR268## ##STR269## TRACAZOLATE ##STR270## ##STR271## ##STR272## PROPANOLOL ##STR273## ##STR274## ##STR275## METOPROLOL ##STR276## ##STR277## ##STR278## NADOLOL. . .

SUMM . . . ##STR546## ##STR547## OCTRIPTYLINE ##STR548## ##STR549## AMEDALIN ##STR550## ##STR551## BUPROPION ##STR552## ##STR553## CARTAZOLATE ##STR554## ##STR555## CHLORBENZOCETAMINE ##STR556## ##STR557## TILLETAMINE ##STR558## ##STR559## **FLUOXETINE** ##STR560## ##STR561## NISOXETINE ##STR562## ##STR563## TRACAZOLATE ##STR564## ##STR565## PROPANOLOL ##STR566## ##STR567## METOPROLOL ##STR568## ##STR569## NADOLOL ##STR570## ##STR571## FENCAMFAMIN ##STR572## ##STR573##. . .

L12 ANSWER 19 OF 21 USPATFULL on STN

PI US 4626549 19861202

<--

DETD . . . different type of anti-depressant action from the presently marketed drugs. The compounds may also find use in the treatment of **schizophrenia** according to the hypothesis of Wyatt et. al. Science, 177, 1124 (1972) who were able to produce mild to moderate. .

DETD The invention compound (+)-N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine is now generically known as **fluoxetine**. **Fluoxetine**, as the hydrochloride salt, has been clinically evaluated for its ability to treat disorders of appetite. In one study, patients. . . with weights twenty percent above the midpoint of a range were defined as overweight. The absolute weight loss in the **fluoxetine**-treated group, as compared to controls, was largest in the overweight group. Significant weight loss was also seen in the normal. . .

DETD

TABLE 3A

Overweight Normal	Underweight
<b>Fluoxetine</b>	
Placebo	
<b>Fluoxetine</b>	
Placebo	<b>Fluoxetine</b>

# Placebo

(n = 52)  
 (n = 56)  
 (n = 190)  
 (n = 194)  
 (n = 16)  
 (n = 17)

baseline (lbs)

DETD . . . the range of ideal body weight, again using the 1983 Metropolitan Life Insurance Company height, weight, and frame tables. Twenty-four **fluoxetine**-treated patients lost a mean of 4.29 pounds over a six-week period, while placebo controls (25) lost a mean of 1.44 pounds. The net weight loss of the **fluoxetine** versus the placebo group was 2.85 pounds, significant at  $p=0.055$ . These results are shown in Table 3B.

DETD TABLE 3B

Treatment Group	mean weight loss (lbs)	
	Baseline-Endpoint	p-value
<b>Fluoxetine</b> (n = 24)		
	4.29	0.001
Placebo (n = 25)		
	1.44	0.082
Difference	2.85	0.055

L12 ANSWER 20 OF 21 USPATFULL on STN

PI US 4590213 19860520

AB This invention provides for a method of treating anxiety which comprises the administration of **fluoxetine** or norfluoxetine or pharmaceutically acceptable salts thereof.

SUMM **Fluoxetine** [N-methyl-3-(4-trifluoromethylphenoxy)-3-phenylpropylamine]hydrochloride is being examined clinically as an anti-depressant agent in several European countries and the United States. The compound, as taught. . . that this biological action may also be useful in treating disorders of sleep, sexual performance, appetite, muscular function, pituitary function, **schizophrenia**, and hypothermia. **Fluoxetine** is particularly desirable as an anti-depressant agent because, unlike most anti-depressants, it is not a sedative.

SUMM Norfluoxetine[3-(4-trifluoromethylphenoxy)-3-phenylpropylamine] is a metabolite of **fluoxetine** and is also known to block monoamine uptake, especially serotonin. See U.S. Pat. No. 4,313,896.

SUMM . . . treating anxiety in a human subject in need of such treatment which comprises the administration of an effective amount of **fluoxetine** or norfluoxetine or pharmaceutically acceptable salts thereof.

DETD I have discovered that the administration of **fluoxetine** or norfluoxetine to human patients suffering from anxiety is useful in reducing their anxiety. This effect was entirely unexpected because. .

DETD In one study, a single investigator performed a randomized, double-blind study comparing **fluoxetine**, imipramine, and placebo. The 46 test subjects received a daily dose of 20-80 mg. of **fluoxetine** hydrochloride (median dose 60-80 mg.) in two divided doses, the positive control group of 42 subjects received a daily dose. . . then for each group of subjects. Each subject was evaluated on two different scales. On the Covi anxiety scale, both **fluoxetine** and imipramine were significantly better than placebo in reducing anxiety ( $p<0.001$ ).



Surprisingly, this same comparison between **fluoxetine** and imipramine showed **fluoxetine** significantly better than imipramine in reducing anxiety ( $p=0.005$ ). The same subjects were evaluated on the anxiety component of the Hamilton depression scale. Once again, as compared to placebo, both **fluoxetine** ( $p<0.001$ ) and imipramine ( $p=0.010$ ) were significantly more effective in reducing anxiety. As before, **fluoxetine** was significantly superior to imipramine in reducing anxiety ( $p<0.001$ ).

DETD The preparation of **fluoxetine** is taught in U.S. Pat. No. 4,314,081. Pharmaceutical compositions containing **fluoxetine** are claimed in U.S. Pat. No. 4,194,009. The preparation of norfluoxetine, salts, and pharmaceutical formulations are described in U.S. Pat. . . .

CLM What is claimed is:

. . . a human subject in need of such treatment which comprises the administration to said human of an effective amount of **fluoxetine** or norfluoxetine or pharmaceutically acceptable salts thereof.

2. A method according to claim 1 wherein the compound is **fluoxetine** or a pharmaceutically acceptable salt thereof.

4. A method according to claim 3 in which **fluoxetine** is administered as the hydrochloride salt.

L12 ANSWER 21 OF 21 USPATFULL on STN

PI US 4207343 19800610

DETD . . . blocked the uptake of serotonin by platelets with an estimated IC<sub>sub</sub>50 value of 14 nanomoles (compared with 22 nanomoles for **fluoxetine** [(N-methyl 3-(p-trifluoromethylphenoxy)-3-phenylpropylamine]).

DETD . . . anti-depressant action from the presently marketed drugs. The compounds of this invention may also find use in the treatment of **schizophrenia** according to the hypothesis of Wyatt et al., Science, 177 (1972) who were able to produce mild to moderate improvement. . . .

=>

Welcome to STN International! Enter x:x

LOGINID:sssptaul25txc

PASSWORD:

\* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \*  
SESSION RESUMED IN FILE 'USPATFULL' AT 14:59:38 ON 27 SEP 2004  
FILE 'USPATFULL' ENTERED AT 14:59:38 ON 27 SEP 2004  
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)  
COST IN U.S. DOLLARS

	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	104.61	104.82

=> s venlafaxine

L13 893 VENLAFAXINE

=> s l13 and schizophrenia

8219 SCHIZOPHRENIA  
L14 371 L13 AND SCHIZOPHRENIA

=> s l14 and pd<1995

1890788 PD<1995  
(PD<19950000)  
L15 0 L14 AND PD<1995

=> s l14 and ps<1996

'1996' NOT A VALID FIELD CODE  
0 PS<1996  
L16 0 L14 AND PS<1996

=> s l14 and pd<1996

2009502 PD<1996  
(PD<19960000)  
L17 0 L14 AND PD<1996

=> s l14 and pd<1997

2137200 PD<1997  
(PD<19970000)  
L18 0 L14 AND PD<1997

=> d l14 1-10

L14 ANSWER 1 OF 371 USPATFULL on STN

AN 2004:240362 USPATFULL

TI Alpha2delta ligands for fibromyalgia and other disorders

IN Dooley, David James, South Lyon, MI, UNITED STATES

Taylor, Charles Price, JR., Chelsea, MI, UNITED STATES

Thorpe, Andrew John, Whitmore Lake, MI, UNITED STATES

Wustrow, David Juergen, Ann Arbor, MI, UNITED STATES

PI US 2004186177 A1 20040923

AI US 2003-734917 A1 20031212 (10)

RLI Continuation-in-part of Ser. No. US 2003-674192, filed on 29 Sep 2003,  
ABANDONED Continuation of Ser. No. US 2002-324929, filed on 20 Dec 2002,  
GRANTED, Pat. No. US 6642398 Continuation of Ser. No. US 2001-9938,  
filed on 10 Dec 2001, ABANDONED A 371 of International Ser. No. WO  
2000-US15070, filed on 31 May 2000, PENDING

PRAI US 2002-433491P 20021213 (60)

US 2003-487740P 20030716 (60)

US 1999-138485P 19990610 (60)

DT Utility

FS APPLICATION

LN.CNT 3739

INCL INCLM: 514/561.000

INCLS: 514/567.000  
NCL NCLM: 514/561.000  
NCLS: 514/567.000  
IC [7]  
ICM: A61K031-195

L14 ANSWER 2 OF 371 USPATFULL on STN  
AN 2004:240296 USPATFULL  
TI Therapeutic agents useful for treating pain  
IN Sun, Qun, Princeton, NJ, UNITED STATES  
Tafesse, Laykea, Robinsville, NJ, UNITED STATES  
Victory, Sam, Newtown, PA, UNITED STATES  
PI US 2004186111 A1 20040923  
AI US 2003-739190 A1 20031219 (10)  
PRAI US 2002-435917P 20021224 (60)  
US 2003-459626P 20030403 (60)  
US 2003-473856P 20030529 (60)  
DT Utility  
FS APPLICATION  
LN.CNT 24955  
INCL INCLM: 514/252.190  
INCLS: 514/253.100; 544/295.000; 544/360.000  
NCL NCLM: 514/252.190  
NCLS: 514/253.100; 544/295.000; 544/360.000  
IC [7]  
ICM: C07D417-14  
ICS: A61K031-496

L14 ANSWER 3 OF 371 USPATFULL on STN  
AN 2004:240293 USPATFULL  
TI Phenylalkyl and pyridylalkyl piperazine derivatives  
IN Cho, Stephen Sung Yong, Saline, MI, UNITED STATES  
Davis, Jamie Marie, Ann Arbor, MI, UNITED STATES  
Graham, James M., Ann Arbor, MI, UNITED STATES  
Gregory, Tracy Fay, Parma, MI, UNITED STATES  
Howard, Harry Ralph, JR., Bristol, CT, UNITED STATES  
Nikam, Sham Shridhar, Ann Arbor, MI, UNITED STATES  
Walters, Michael Anthony, Novi, MI, UNITED STATES  
PI US 2004186108 A1 20040923  
AI US 2003-703333 A1 20031107 (10)  
PRAI US 2002-425219P 20021108 (60)  
DT Utility  
FS APPLICATION  
LN.CNT 5504  
INCL INCLM: 514/252.120  
INCLS: 514/253.010; 544/360.000  
NCL NCLM: 514/252.120  
NCLS: 514/253.010; 544/360.000  
IC [7]  
ICM: A61K031-496  
ICS: C07D043-02

L14 ANSWER 4 OF 371 USPATFULL on STN  
AN 2004:233885 USPATFULL  
TI Gabapentin analogues for fibromy algia and concomitant disorders  
IN Dooley, David James, South Lyon, MI, UNITED STATES  
Taylor, Charles Price, JR., Chelsea, MI, UNITED STATES  
Thorpe, Andrew John, Whitmore Lake, MI, UNITED STATES  
Wustrow, David Juergen, Ann Arbor, MI, UNITED STATES  
PI US 2004180959 A1 20040916  
AI US 2003-735561 A1 20031212 (10)  
PRAI US 2002-433491P 20021213 (60)  
US 2003-483435P 20030627 (60)  
DT Utility

FS APPLICATION

LN.CNT 2097

INCL INCLM: 514/561.000

NCL NCLM: 514/561.000

IC [7]

ICM: A61K031-195

L14 ANSWER 5 OF 371 USPATFULL on STN

AN 2004:233878 USPATFULL

TI Derivatives of (-)- and (+)-**venlafaxine** and methods of preparing and using the same

IN Jerussi, Thomas P., Framingham, MA, UNITED STATES

Senanayake, Chrisantha H., Shrewsbury, MA, UNITED STATES

Bhongle, Nandkumar N., Shrewsbury, MA, UNITED STATES

PA Sepracor Inc. (U.S. corporation)

PI US 2004180952 A1 20040916

AI US 2004-806423 A1 20040323 (10)

RLI Division of Ser. No. US 2002-222815, filed on 19 Aug 2002, PENDING  
Division of Ser. No. US 2001-14592, filed on 14 Dec 2001, GRANTED, Pat.  
No. US 6441048 Division of Ser. No. US 1999-450690, filed on 30 Nov  
1999, GRANTED, Pat. No. US 6342533

PRAI US 1998-110488P 19981201 (60)

DT Utility

FS APPLICATION

LN.CNT 1545

INCL INCLM: 514/521.000

NCL NCLM: 514/521.000

IC [7]

ICM: A61K031-277

L14 ANSWER 6 OF 371 USPATFULL on STN

AN 2004:233801 USPATFULL

TI Substituted tricyclic gamma-carbolines as serotonin receptor agonists and antagonists

IN Lee, Taekyu, Doylestown, PA, UNITED STATES

Chen, Wenting, Langhorne, PA, UNITED STATES

Deng, Wei, Lexington, MA, UNITED STATES

Robichaud, Albert J., Ringoes, NJ, UNITED STATES

Wexler, Ruth R., Belle Mead, NJ, UNITED STATES

PI US 2004180875 A1 20040916

AI US 2003-743449 A1 20031219 (10)

PRAI US 2002-434760P 20021219 (60)

DT Utility

FS APPLICATION

LN.CNT 6610

INCL INCLM: 514/215.000

INCLS: 514/291.000; 546/085.000; 514/227.800; 514/234.200; 514/253.030;  
544/060.000; 544/361.000

NCL NCLM: 514/215.000

NCLS: 514/291.000; 546/085.000; 514/227.800; 514/234.200; 514/253.030;  
544/060.000; 544/361.000

IC [7]

ICM: A61K031-55

ICS: A61K031-541; A61K031-5377; A61K031-496; A61K031-4745; C07D471-02

L14 ANSWER 7 OF 371 USPATFULL on STN

AN 2004:233783 USPATFULL

TI Methods of treating or preventing pain using sibutramine metabolites

IN Senanayake, Chrisantha Hugh, Shrewsbury, MA, UNITED STATES

Fang, Qun Kevin, Wellesley, MA, UNITED STATES

Han, Zhengxu, Shrewsbury, MA, UNITED STATES

Krishnamurthy, Dhileepkumar, Westboro, MA, UNITED STATES

PI US 2004180857 A1 20040916

AI US 2004-806415 A1 20040323 (10)

RLI Division of Ser. No. US 2002-160033, filed on 4 Jun 2002, GRANTED, Pat.  
No. US 6710087 Division of Ser. No. US 1999-409889, filed on 1 Oct 1999,  
GRANTED, Pat. No. US 6375352 Continuation-in-part of Ser. No. US  
1999-372158, filed on 11 Aug 1999, GRANTED, Pat. No. US 6331571  
PRAI US 1998-97665P 19980824 (60)  
US 1998-99306P 19980902 (60)  
DT Utility  
FS APPLICATION  
LN.CNT 1983  
INCL INCLM: 514/058.000  
INCLS: 514/554.000; 564/271.000; 568/425.000  
NCL NCLM: 514/058.000  
NCLS: 514/554.000; 564/271.000; 568/425.000  
IC [7]  
ICM: A61K031-724  
ICS: A61K031-205; C07C047-542

L14 ANSWER 8 OF 371 USPATFULL on STN  
AN 2004:221354 USPATFULL  
TI ALBUMIN FUSION PROTEINS  
IN Rosen, Craig A., Laytonsville, MD, UNITED STATES  
Haseltine, William A., Washington, DC, UNITED STATES  
PI US 2004171123 A1 20040902  
AI US 2001-832929 A1 20010412 (9)  
DT Utility  
FS APPLICATION  
LN.CNT 17424  
INCL INCLM: 435/069.700  
INCLS: 424/192.100; 536/023.400; 435/252.300; 435/325.000  
NCL NCLM: 435/069.700  
NCLS: 424/192.100; 536/023.400; 435/252.300; 435/325.000  
IC [7]  
ICM: A61K038-00  
ICS: C12P021-04; A61K039-00; C07H021-04; C12N005-02; C12N005-00;  
C12N001-20

L14 ANSWER 9 OF 371 USPATFULL on STN  
AN 2004:215953 USPATFULL  
TI Method for treating a mental disorder  
IN Bolte, Ellen R., New Lenox, IL, UNITED STATES  
PI US 2004167062 A1 20040826  
AI US 2003-741377 A1 20031219 (10)  
RLI Continuation of Ser. No. US 2001-866033, filed on 25 May 2001, ABANDONED  
PRAI US 2000-209712P 20000605 (60)  
US 2000-214813P 20000628 (60)  
US 2000-240582P 20001016 (60)  
DT Utility  
FS APPLICATION  
LN.CNT 1142  
INCL INCLM: 514/008.000  
INCLS: 514/037.000; 514/192.000; 514/011.000; 514/200.000  
NCL NCLM: 514/008.000  
NCLS: 514/037.000; 514/192.000; 514/011.000; 514/200.000  
IC [7]  
ICM: A61K038-14  
ICS: A61K038-13; A61K031-43

L14 ANSWER 10 OF 371 USPATFULL on STN  
AN 2004:209921 USPATFULL  
TI Methods of treating and preventing cerebral function disorders using  
sibutramine metabolites  
IN Jerussi, Thomas P., Framingham, MA, UNITED STATES  
PA Sepracor Inc. (U.S. corporation)  
PI US 2004162355 A1 20040819

AI US 2004-769860 A1 20040203 (10)  
 RLI Division of Ser. No. US 2002-278097, filed on 23 Oct 2002, PENDING  
 Division of Ser. No. US 2001-770663, filed on 29 Jan 2001, GRANTED, Pat.  
 No. US 6476078 Continuation-in-part of Ser. No. US 2000-662135, filed on  
 14 Sep 2000, GRANTED, Pat. No. US 6339106 Continuation-in-part of Ser.  
 No. US 1999-372158, filed on 11 Aug 1999, GRANTED, Pat. No. US 6331571  
 PRAI US 1998-99306P 19980902 (60)  
 US 1998-97665P 19980824 (60)  
 DT Utility  
 FS APPLICATION  
 LN.CNT 2173  
 INCL INCLM: 514/650.000  
 INCLS: 514/252.160; 514/262.100  
 NCL NCLM: 514/650.000  
 NCLS: 514/252.160; 514/262.100  
 IC [7]  
 ICM: A61K031-519  
 ICS: A61K031-137  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d 114 361-371

L14 ANSWER 361 OF 371 USPATFULL on STN  
 AN 2000:57763 USPATFULL  
 TI Spiro-piperidine derivatives and their use as tachykinin antagonists  
 IN Baker, Raymond, Uley, United Kingdom  
 Harrison, Timothy, Great Dunmow, United Kingdom  
 Swain, Christopher John, Duxford, United Kingdom  
 Williams, Brian John, Great Dunmow, United Kingdom  
 PA Merck Sharp & Dohme Ltd., Hoddesdon, United Kingdom (non-U.S.  
 corporation)  
 PI US 6060469 20000509  
 WO 9719084 19970529  
 AI US 1998-77063 19980518 (9)  
 WO 1996-GB2853 19961120  
 19980518 PCT 371 date  
 19980518 PCT 102(e) date  
 PRAI GB 1995-23944 19951123  
 GB 1995-26093 19951220  
 GB 1996-3239 19960216  
 DT Utility  
 FS Granted  
 LN.CNT 4100  
 INCL INCLM: 514/227.800  
 INCLS: 514/235.800; 514/241.000; 514/242.000; 514/252.000; 514/256.000;  
 514/278.000; 514/409.000; 544/006.000; 544/070.000; 544/180.000;  
 544/182.000; 544/230.000; 546/016.000; 548/409.000; 548/410.000  
 NCL NCLM: 514/227.800  
 NCLS: 514/235.800; 514/241.000; 514/242.000; 514/252.040; 514/255.050;  
 514/256.000; 514/278.000; 514/409.000; 544/006.000; 544/070.000;  
 544/180.000; 544/182.000; 544/230.000; 546/016.000; 548/409.000;  
 548/410.000  
 IC [7]  
 ICM: A61K031-445  
 ICS: C07D471-10  
 EXF 546/16; 514/256; 514/278; 514/241; 514/242; 514/252; 514/227.8;  
 514/235.8; 544/230; 544/182; 544/180; 544/70; 544/6  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 362 OF 371 USPATFULL on STN  
 AN 2000:41040 USPATFULL  
 TI Spiro-azacyclic derivatives, their preparation and their use as  
 tachykinin antagonists

IN Haworth, Karen Elizabeth, Sawbridgeworth, United Kingdom  
 Seward, Eileen Mary, Bishops Stortford, United Kingdom  
 Swain, Christopher John, Duxford, United Kingdom  
 PA Merck Sharp & Dohme Ltd., Hoddesdon, United Kingdom (non-U.S.  
 corporation)  
 PI US 6046195 20000404  
 WO 9813369 19980402  
 AI US 1999-269249 19990323 (9)  
 WO 1997-GB2532 19970918  
 19990323 PCT 371 date  
 19990323 PCT 102(e) date  
 PRAI GB 1996-19994 19960925  
 GB 1997-10745 19970523  
 DT Utility  
 FS Granted  
 LN.CNT 2740  
 INCL INCLM: 514/242.000  
 NCL NCLM: 514/242.000  
 IC [7]  
 ICM: A61K031-40  
 ICS: A61K031-445  
 EXF 514/242-243; 514/252; 514/256; 514/269; 514/272; 514/274; 514/343;  
 514/359; 514/362; 514/363-365; 514/369-370; 514/372; 514/374; 514/376;  
 514/377; 514/378; 514/380; 514/382; 514/383; 514/384; 514/386; 514/389;  
 514/392; 514/397; 514/403; 514/404; 514/406; 514/407; 544/182; 544/194;  
 544/209; 544/212; 544/238; 544/301; 544/311; 544/316; 544/406; 544/407;  
 544/408; 544/409; 544/336; 546/16; 546/278.4; 548/127-133; 548/134;  
 548/135; 548/136; 548/138; 548/139; 548/143; 548/144; 548/182-186;  
 548/213-214; 548/226; 548/228; 548/229; 548/233; 548/235; 548/243-247;  
 548/251-252; 548/255; 548/263.2; 548/263.4; 548/263.8; 548/264.2;  
 548/264.8; 548/265.2; 548/267.2; 548/314.7

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 363 OF 371 USPATFULL on STN  
 AN 1999:163703 USPATFULL  
 TI Bromocriptine for the treatment of alcoholics diagnosed with the D.sub.2  
 dopamine receptor DRD2 A1 allele  
 IN Noble, Ernest P., South Laguna, CA, United States  
 PA The Regents of the University of California, Los Angeles, CA, United  
 States (U.S. corporation)  
 PI US 6001848 19991214  
 AI US 1997-822659 19970324 (8)  
 PRAI US 1996-14136P 19960325 (60)  
 DT Utility  
 FS Granted  
 LN.CNT 2185  
 INCL INCLM: 514/288.000  
 INCLS: 514/282.000; 514/284.000; 514/651.000; 514/811.000  
 NCL NCLM: 514/288.000  
 NCLS: 514/282.000; 514/284.000; 514/651.000; 514/811.000  
 IC [6]  
 ICM: A61K031-44  
 EXF 514/282; 514/284; 514/288; 514/651; 514/811  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 364 OF 371 USPATFULL on STN  
 AN 1999:146573 USPATFULL  
 TI Substituted morpholine derivative and its use as a therapeutic agent  
 IN Owen, Simon Neil, London, United Kingdom  
 Swain, Christopher John, Duxford, United Kingdom  
 Williams, Brian John, Dunmow, United Kingdom  
 PA Merck Sharp & Dohme Ltd., Hoddesdon, United Kingdom (non-U.S.  
 corporation)  
 PI US 5985874 19991116

AI US 1999-306957 19990507 (9)  
 PRAI GB 1998-10092 19980511  
 DT Utility  
 FS Granted  
 LN.CNT 842  
 INCL INCLM: 514/236.200  
 INCLS: 544/132.000  
 NCL NCLM: 514/236.200  
 NCLS: 544/132.000  
 IC [6]  
 ICM: A61K031-535  
 ICS: C07D413-06  
 EXF 544/132; 514/236.2  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 365 OF 371 USPATFULL on STN  
 AN 1999:128550 USPATFULL  
 TI Morpholine derivatives and their use as therapeutic agents  
 IN Swain, Christopher John, Duxford, United Kingdom  
 Teall, Martin Richard, Stansted, United Kingdom  
 Williams, Brian John, Great Dunmow, United Kingdom  
 PA Merck Sharp & Dohme Ltd., Hoddesdon, United Kingdom (non-U.S.  
 corporation)  
 PI US 5968934 19991019  
 WO 9718206 19970522  
 AI US 1998-68818 19980514 (9)  
 WO 1996-GB2766 19961113  
 19980514 PCT 371 date  
 19980514 PCT 102(e) date  
 PRAI GB 1995-23244 19951114  
 DT Utility  
 FS Granted  
 LN.CNT 1760  
 INCL INCLM: 514/230.500  
 INCLS: 514/235.500; 514/235.800; 514/236.200; 514/236.500; 514/236.800;  
 544/105.000; 544/132.000; 544/133.000  
 NCL NCLM: 514/230.500  
 NCLS: 514/235.500; 514/235.800; 514/236.200; 514/236.500; 514/236.800;  
 544/105.000; 544/132.000; 544/133.000  
 IC [6]  
 ICM: C07D413-04  
 ICS: C07D417-04; A61K031-535  
 EXF 514/230.5; 514/235.5; 514/235.8; 514/236.2; 514/236.5; 514/236.8;  
 544/105; 544/132; 544/133  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 366 OF 371 USPATFULL on STN  
 AN 1999:102805 USPATFULL  
 TI Method for treating pain  
 IN Shannon, Harlan E., Carmel, IN, United States  
 Womer, Daniel E., Thornton, CO, United States  
 PA Eli Lilly and Company, Indianapolis, IN, United States (U.S.  
 corporation)  
 PI US 5945416 19990831  
 AI US 1997-823461 19970324 (8)  
 PRAI US 1996-14130P 19960325 (60)  
 US 1996-14132P 19960325 (60)  
 US 1996-14128P 19960325 (60)  
 US 1996-14129P 19960325 (60)  
 DT Utility  
 FS Granted  
 LN.CNT 738  
 INCL INCLM: 514/220.000  
 NCL NCLM: 514/220.000



IC [6]  
ICM: A61K031-55  
EXF 514/220  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 367 OF 371 USPATFULL on STN  
AN 1999:72602 USPATFULL  
TI Conjugates of dithiocarbamates with pharmacologically active agents and  
uses therefore  
IN Lai, Ching-San, Encinitas, CA, United States  
PA Medinox, Inc., San Diego, CA, United States (U.S. corporation)  
PI US 5916910 19990629  
AI US 1997-869158 19970604 (8)  
DT Utility  
FS Granted  
LN.CNT 1842  
INCL INCLM: 514/423.000  
INCLS: 514/514.000; 548/564.000; 548/573.000; 558/235.000  
NCL NCLM: 514/423.000  
NCLS: 514/514.000; 548/564.000; 548/573.000; 558/235.000

IC [6]  
ICM: C07D207-04  
ICS: C07D207-30; A61K031-27; A61K031-40  
EXF 514/514; 514/423; 548/565; 548/573; 558/235  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 368 OF 371 USPATFULL on STN  
AN 1999:37113 USPATFULL  
TI Serine derivatives and their use as therapeutic agents  
IN Elliott, Jason Matthew, Knockholt, England  
MacLeod, Angus Murray, Bishops Stortford, England  
Stevenson, Graeme Irvine, Saffron Walden, England  
PA Merck Sharp & Dohme Ltd., Hoddesdon, England (non-U.S. corporation)  
PI US 5885999 19990323  
AI US 1997-786522 19970121 (8)  
PRAI GB 1996-1724 19960129  
DT Utility  
FS Granted  
LN.CNT 2248  
INCL INCLM: 514/258.000  
INCLS: 514/319.000; 544/298.000; 544/300.000; 546/192.000; 546/205.000;  
546/206.000  
NCL NCLM: 514/266.220  
NCLS: 514/266.200; 514/319.000; 544/298.000; 544/300.000; 546/192.000;  
546/205.000; 546/206.000

IC [6]  
ICM: C07D239-02  
ICS: C07D211-06; A61K031-445; A61K031-505  
EXF 546/192; 546/205; 546/206; 514/319; 514/258; 544/298; 544/300  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 369 OF 371 USPATFULL on STN  
AN 1999:37091 USPATFULL  
TI Methods useful for the treatment of neurological and mental disorders  
related to deficient serotonin neurotransmission and impaired pineal  
melatonin functions  
IN Sandyk, Reuven, 7 Piper Ct., Roslyn, NY, United States 11576  
PI US 5885976 19990323  
AI US 1997-978383 19971125 (8)  
RLI Continuation-in-part of Ser. No. US 1995-437273, filed on 8 May 1995,  
now patented, Pat. No. US 5691324  
DT Utility  
FS Granted  
LN.CNT 1969

INCL INCLM: 519/159.000  
INCLS: 514/160.000; 514/250.000; 514/345.000; 514/355.000; 514/419.000;  
514/654.000; 514/657.000  
NCL NCLM: 514/159.000  
NCLS: 514/160.000; 514/250.000; 514/345.000; 514/355.000; 514/419.000;  
514/654.000; 514/657.000  
IC [6]  
ICM: A61K031-60  
EXF 514/159; 514/160; 514/250; 514/355; 514/345; 514/419; 514/654; 514/657  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 370 OF 371 USPATFULL on STN  
AN 1998:91621 USPATFULL  
TI Veterinary method for clinically modifying the behavior of dogs  
exhibiting canine affective aggression using R enantiomers, S  
enantiomers, and racemic mixtures of selective serotonin reuptake  
inhibitor compounds or their active metabolites  
IN Dodman, Nicholas H., Grafton, MA, United States  
PA Trustees of Tufts College, Medford, MA, United States (U.S. corporation)  
PI US 5788986 19980804  
AI US 1996-699112 19960816 (8)  
RLI Continuation-in-part of Ser. No. US 1995-417747, filed on 6 Apr 1995,  
now patented, Pat. No. US 5554383  
DT Utility  
FS Granted  
LN.CNT 1254  
INCL INCLM: 424/451.000  
INCLS: 424/423.000; 424/427.000; 424/430.000; 424/434.000; 424/450.000;  
424/464.000; 424/489.000  
NCL NCLM: 424/451.000  
NCLS: 424/423.000; 424/427.000; 424/430.000; 424/434.000; 424/450.000;  
424/464.000; 424/489.000  
IC [6]  
ICM: A61F002-02  
ICS: A61K009-127; A61K009-20; A61K031-44  
EXF 424/451; 424/423; 424/427; 424/430; 424/434; 424/450; 424/464; 424/489  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 371 OF 371 USPATFULL on STN  
AN 97:109884 USPATFULL  
TI Methods useful for the treatment of neurological and mental disorders  
related to deficient serotonin neurotransmission and impaired pineal  
melatonin functions  
IN Sandyk, Reuven, 7 Piper Ct., Roslyn, NY, United States 11576  
PI US 5691324 19971125  
AI US 1995-437273 19950508 (8)  
RLI Continuation-in-part of Ser. No. US 1994-181677, filed on 14 Jan 1994,  
now patented, Pat. No. US 5470846  
DT Utility  
FS Granted  
LN.CNT 1430  
INCL INCLM: 514/159.000  
INCLS: 514/160.000; 514/250.000; 514/355.000; 514/345.000; 514/654.000;  
514/419.000; 514/657.000  
NCL NCLM: 514/159.000  
NCLS: 514/160.000; 514/250.000; 514/345.000; 514/355.000; 514/419.000;  
514/654.000; 514/657.000  
IC [6]  
ICM: A61K031-60  
ICS: A61K031-56; A61K031-40; A61K031-21  
EXF 514/159; 514/160; 514/250; 514/355; 514/345; 514/654; 514/419; 514/657  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d 114 361-371 kwic

L14 ANSWER 361 OF 371 USPATFULL on STN

SUMM . . . animal phobias, social phobias, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalised anxiety disorders; **schizophrenia** and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders and psychotic. . . .  
SUMM Suitable serotonin and noradrenaline reuptake inhibitors of use in the present invention include: **venlafaxine**, and pharmaceutically acceptable salts thereof.

L14 ANSWER 362 OF 371 USPATFULL on STN

SUMM . . . animal phobias, social phobias, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalised anxiety disorders; **schizophrenia** and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders and psychotic. . . .  
SUMM Suitable serotonin and noradrenaline reuptake inhibitors of use in the present invention include: **venlafaxine**, and pharmaceutically acceptable salts thereof.

L14 ANSWER 363 OF 371 USPATFULL on STN

SUMM A variety of effective drugs are now available in the treatment of many mental afflictions including **schizophrenia**, anxiety reactions and affective disorders. In contrast, with the recent exception of naltrexone, vide infra, no current accepted pharmacotherapy exists. . . .  
SUMM . . . treatment may further involve the administration of a serotonin reuptake inhibitor. Such an inhibitor may be fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, and nefazodone; or a salt or an analog or a derivative thereof.  
SUMM . . . analogs, or derivatives thereof. The serotonin reuptake inhibitors are preferably selected from the group consisting of fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, and nefazodone.  
SUMM . . . serotonin reuptake inhibitory compounds for use in the present invention include, but are not limited to, fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, and nefazodone, and salts or analogs thereof.  
SUMM Additionally, the composition may further comprise a serotonin reuptake inhibitor selected from the group consisting of fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, and nefazodone, or salts, analogs, or derivatives thereof  
SUMM . . . or derivatives, thereof. The composition may further include one or more serotonin reuptake inhibitors, such as fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, nefazodone, or salts, analogs, or derivatives thereof  
SUMM . . . or derivatives, thereof The composition may further include one or more serotonin reuptake inhibitors, such as fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, nefazodone, or salts, analogs, or derivatives thereof  
DETD No mutation has been found in the coding exons of the DRD2 gene in alcoholism (or in **schizophrenia**) to support a structural change in the DRD2 gene (Gejman et al., 1994). However, evidence for diminished DRD2 receptor function. . . .  
DETD Gejman et al., "No Structural Mutation in the Dopamine D.sub.2 Receptor Gene in Alcoholism or **Schizophrenia**," J. Am. Med. Assoc., 271:204-208, 1994.  
CLM What is claimed is:

4  
4  
4 . . . further comprising administering to said human a serotonin reuptake inhibitor selected from the group consisting of fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, and nefazodone.

L14 ANSWER 364 OF 371 USPATFULL on STN

SUMM . . . animal phobias, social phobias, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalised anxiety disorders; **schizophrenia** and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders and psychotic. . . .  
SUMM Suitable serotonin and noradrenaline reuptake inhibitors of use in the present invention include: **venlafaxine**, and pharmaceutically acceptable salts thereof.

L14 ANSWER 365 OF 371 USPATFULL on STN

SUMM . . . animal phobias, social phobias, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalised anxiety disorders; **schizophrenia** and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders and psychotic. . . .  
SUMM Suitable serotonin and noradrenaline reuptake inhibitors of use in the present invention include: **venlafaxine**, and pharmaceutically acceptable salts thereof.

L14 ANSWER 366 OF 371 USPATFULL on STN

SUMM . . . psychosis. Olanzapine is a known compound and described in U.S. Pat. No. 5,229,382 as being useful for the treatment of **schizophrenia**, schizophreniform disorder, acute mania, mild anxiety states, and psychosis. U.S. Pat. No. 5,229,382 is herein incorporated by reference in its. . . .  
SUMM . . . example, carbamazepine, gatapentine, valproate), and serotonin reuptake inhibitors (for example, fluoxetine, paroxetine, citalopram, sertraline), mixed serotonin-norepinephrine reuptake inhibitors (for example **venlafaxine**, duloxetine), serotonin receptor agonists and antagonists, cholinergic (muscarinic and nicotinic) analgesics, and neurokinin antagonists.  
CLM What is claimed is:  
. . . example, carbamazepine, gatapentine, valproate), and serotonin reuptake inhibitors (for example, fluoxetine, paroxetine, citalopram, sertraline), mixed serotonin-norepinephrine reuptake inhibitors (for example **venlafaxine**, duloxetine), serotonin receptor agonists and antagonists, cholinergic (muscarinic and nicotinic) analgesics, and neurokinin antagonists.

L14 ANSWER 367 OF 371 USPATFULL on STN

SUMM . . . atherosclerosis, dermatitis, urticaria, systemic lupus erythematosus, AIDA, AIDS dementia, chronic neurodegenerative disease, chronic pain, priapism, cystic fibrosis, amyotrophic lateral sclerosis, **schizophrenia**, depression, premenstrual syndrome, anxiety, addiction, migraine, Huntington's disease, epilepsy, neurodegenerative disorders, gastrointestinal motility disorders, obesity, hyperphagia, solid tumors (e.g., neuroblastoma), . . . .  
SUMM . . . sucralfate, sulfamethoxazole, sumatriptan, temazepam, terazosin, terconazole, terfenadine, tetracycline, theophylline, timolol, tramadol, tramadol hydrochloride, tretinoin, triamcinolone acetone, triamterene, trimethoprim, valproic acid, **venlafaxine**, verapamil, wafarin, zolpidem, and the like.

L14 ANSWER 368 OF 371 USPATFULL on STN

SUMM . . . animal phobias, social phobias, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalised anxiety disorders; **schizophrenia** and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders and psychotic. . .

SUMM Suitable serotonin and noradrenaline reuptake inhibitors of use in the present invention include: **venlafaxine**, and pharmaceutically acceptable salts thereof.

L14 ANSWER 369 OF 371 USPATFULL on STN

SUMM . . . akathisia, chronic pain syndromes, migraine, Alzheimer's disease, depression (including seasonal affective disorder and premenstrual depression), autism, Attention Deficit hyperactivity disorder, **schizophrenia**, alcohol and substance abuse, obsessive-compulsive disorder, anxiety and panic disorder, posttraumatic stress disorder, trichotillomania, impulsive and aggressive behavior, chronic insomnia, . . .

SUMM . . . including cancer, autoimmune disorders (i.e., rheumatoid arthritis, systemic lupus), AIDS, diabetes mellitus, hyper-cholesterolemia, mental depression including seasonal affective disorder (SAD), **schizophrenia**, autism, panic disorder, obsessive compulsive disorder, trichotillomania, substance abuse including alcoholism, posttraumatic stress disorder, impulsive and aggressive behavior, chronic insomnia, . . . to a deficiency of pineal melatonin"? Italian Journal of Neurological Sciences, 7, 319-32; Sandyk and Kay (1990) "Pineal melatonin in **schizophrenia**: a Review and hypothesis." **Schizophrenia** Bulletin, 16, 653-662; Sandyk et al., (1990) "Pineal gland calcification and tardive dyskinesia." Lancet, 335, 1528; Robinson et al., (1991). . .

SUMM . . . eating disorders, alcoholism, obsessive compulsive disorder, trichotillomania, posttraumatic stress disorder, impulsive and aggressive behavior, chronic insomnia, sleep paralysis, bulimia, and **schizophrenia** (Martin et al., (1984) "Decreased 6-hydroxymelatonin excretion in Korsakoff's psychosis." Neurology, 34, 966-968; Skene et al., (1990) "Daily variation in. . .

SUMM . . . posttraumatic stress disorder, impulsive and aggressive behavior, chronic insomnia, sleep paralysis, bulimia, dystonia, tardive dyskinesia, epilepsy, migraine, Alzheimer's disease, depression, **schizophrenia**, Tourette's syndrome, Attention Deficit-Hyperactivity Disorder, anxiety and panic disorder, narcolepsy-cataplexy, obsessive compulsive disorder, akathisia and Restless-legs syndrome, myoclonus, chronic pain. . .

SUMM . . . posttraumatic stress disorder, impulsive and aggressive behavior, chronic insomnia, bulimia, obsessive compulsive disorder, Attention deficit and hyperactivity, pain syndromes, and **schizophrenia** is preferably 5 Hz or above. For the treatment of seizure disorders, it is preferred that the AC frequency of. . .

DRWD FIGS. 3A-D show the drawings by a patient afflicted with **schizophrenia** wherein FIG. 3A show the patient's drawing of a house prior to magnetic treatment, FIG. 3B shows the patient's drawing. . .

DETD . . . purpose, it is preferred to use one of the selective serotonin reuptake inhibitors (e.g., fluoxetine, fluvoxamine, clomipramine, citalopram, paroxetine, sertraline, **venlafaxine**, nefazodone), preferentially sertraline (Zoloft.RTM.; 25-200 mg, orally per day) taken in the morning with breakfast or nefazodone (Serzone.RTM.; 50-600 mg,. . .

DETD . . . macular degeneration, depression, anxiety and panic disorder, obsessive compulsive disorder, trichotillomania, posttraumatic stress disorder, chronic insomnia, sleep paralysis, bulimia, and **schizophrenia** require a frequency of stimulation in the range of

5 Hz-8.5 Hz.

- DETD . . . obsessive compulsive disorder, trichotillomania, posttraumatic stress disorder, impulsive aggressive behavior, chronic insomnia, sleep paralysis, bulimia, anxiety and panic disorder, and **schizophrenia** the first pulse frequency is 5 Hz and the second pulse frequency is 7 Hz-8.5 Hz, also an increase of. . . panic disorder, obsessive compulsive disorder, trichotillomania, posttraumatic stress disorder, impulsive aggressive behavior, chronic insomnia, sleep paralysis, bulimia, substance abuse, and **schizophrenia** tend to be in proximity to the range of the theta brain wave activity (range of theta activity: 4 Hz-7. . . .
- DETD . . . produce amelioration of symptoms of multiple sclerosis, Parkinson's disease, Alzheimer's disease, tardive dyskinesia, depression including seasonal affective disorder, migraine, and **schizophrenia** (Hyyppa et al., (1975) "Effect of L-tryptophan on central indoleamine metabolism and short-lasting neurologic disturbances in multiple sclerosis." Journal of. . . .

L14 ANSWER 370 OF 371 USPATFULL on STN

- SUMM . . . rather than diseases and are most frequently associated with an underlying psychological disorder rather than a medical condition. Thus depression, **schizophrenia**, personality disorders, mania, paranoia, temporal lobe dysfunction, and the consequences of substance abuse each may be the underlying disorder associated. . . .
- SUMM . . . of human affective mental disorders (including mood disorders such as major depression and bipolar mania and psychotic disorders such as **schizophrenia**) often include violent behaviors and aggressive outbursts which may be treatable using particular classes of psychopharmacological drugs. In comparison, pathological. . . .
- DETD . . . No. 3,381,009; Brogden et. al., Drugs 21:401-429 (1981); Gorecki, David R. Verbeeck, Analytical Profiles of Drug Substances, Vol. 16, Academic Press, 1986. **Venlafaxine** 1-2-(dimethylamino)-1-(4-methoxyphenyl)ethyl cyclohexanol, hydrochloride ##STR10## Troy, et. al., J. Clin. Pharmacol. 35: 404-409 (1995); Drug Facts and Comparisons 1995 Ed., pp. 1410-1416. . . .
- DETD . . . Basic & Clinical Pharmacology, 6th Ed., 1995, Chap. 29.

Verlafaxine

desmethylvenlafaxine;  
Troy, et al., J. Clin. Pharmacol. 35: 404-409 (1995);  
didesmethyl **venlafaxine**  
Drug Facts and Comparisons, 1995 Ed., pp. 1410-1416  
4-hydroxyvenlafaxine

Nefazodone

chlorophenyl-piperazine;  
Drug Facts and Comparisons, 1995 Ed., p. 3238;  
hydroxynefazodone;  
Kaul. . . .

CLM

What is claimed is:  
. . . serotonin reuptake inhibitor compound is selected from the group consisting of fluoxetine, fluvoxamine, paroxetine, indalpine, citalopram, femoxetine, zimeldine, sertraline, trazadone, **venlafaxine**, and nefazodone.

L14 ANSWER 371 OF 371 USPATFULL on STN

- SUMM . . . melatonin functions including multiple sclerosis, Parkinson's disease, dystonia, tardive dyskinesia, epilepsy, migraine, Alzheimer's disease, depression (including seasonal affective disorder), and **schizophrenia**.
- SUMM . . . lupus), diabetes mellitus, hypercholesterolemia, mental depression including seasonal affective disorder (SAD), and premenstrual syndrome (PMS; late luteal phase dysphoric disorder),

**schizophrenia**, Parkinson's disease, Alzheimer's disease, Korsakoff's dementia, tardive dyskinesia, epilepsy, narcolepsy, migraine, multiple sclerosis, panic disorder, Gilles de la Tourette's syndrome, . . . to a deficiency of pineal melatonin?" Italian Journal of Neurological Sciences, 7, 319-32; Sandyk and Kay (1990) "Pineal melatonin in **schizophrenia**: a review and hypothesis." **Schizophrenia Bulletin**, 16, 653-662; Sandyk et al., (1990) "Pineal gland calcification and tardive dyskinesia." *Lancet*, 335, 1528; Robinson et al., (1991). . . .

SUMM . . . rhythmicity is disrupted in various neurological and mental disorders including multiple sclerosis, Parkinson's disease, Alzheimer's disease, Korsakoff's dementia, depression, and **schizophrenia** (Martin et al., (1984) "Decreased 6-hydroxymelatonin excretion in Korsakoff's psychosis." *Neurology*, 34, 966-968; Skene et al., (1990) "Daily variation in. . . .

SUMM . . . in the treatment of such medical conditions as multiple sclerosis, Parkinson's disease, dystonia, tardive dyskinesia, epilepsy, migraine, Alzheimer's disease, depression, **schizophrenia**, Gilles de la Tourette's syndrome, Attention Deficit-Hyperactivity Disorder, anxiety and panic disorder, narcolepsy-cataplexy, obsessive compulsive disorder, akathisia and restless legs. . . .

SUMM . . . treatment of Parkinson's disease and the AC frequency for the treatment of Alzheimer's disease, migraine, dystonia, tardive dyskinesia, depression and **schizophrenia** are preferably 5 Hz or higher, preferably 5 Hz-8 Hz. For the treatment of seizure disorders, it is preferred that. . . .

DRWD FIGS. 3A-D show the drawings by a patient afflicted with **schizophrenia** wherein FIG. 3A shows the patient's drawing of a house prior to magnetic treatment, FIG. 3B shows the patient's drawing. . . .

DETD . . . purpose, it is preferred to use one of the selective serotonin reuptake inhibitors (e.g., fluoxetine, fluvoxamine, clomipramine, citalopram, paroxetine, sertraline, **venlafaxine**, nefazodone), preferentially sertraline (Zoloft.RTM.; 25-2000 mg., orally per day) taken in the morning with breakfast or nefazodone (Serzone.RTM.; 50-600 mg., . . . .

DETD . . . having akathisia and restless legs syndrome either as an idiopathic manifestation or secondary to other diseases such as Parkinson's disease, **schizophrenia** and renal failure, I have observed a dramatic reduction in symptoms with patients experiencing the infrequent occurrence of paresthesias in. . . .

DETD . . . namely 5 Hz-8 Hz, to achieve the most favorable clinical response. Likewise, patients with dystonia, tardive dyskinesia, migraine, depression, and **schizophrenia** require a frequency of stimulation in the range of 5 Hz-8 Hz. Patients with seizure disorders require an AC frequency. . . .

DETD . . . Hz-5 Hz, an increase of about 50%. For patients with Parkinson's disease, dystonia, tardive dyskinesia, Alzheimer's disease, migraine, depression, and **schizophrenia** the first pulse frequency is 5 Hz and the second pulse frequency is 8 Hz, also an increase of approximately. . . . and that the frequencies employed for the patient with Parkinson's disease, dystonia, tardive dyskinesia, Alzheimer's disease, migraine, epilepsy, depression, and **schizophrenia** tend to be in proximity to the range of the theta brain wave activity (range of theta activity: 4 Hz-7. . . .

DETD . . . disease, Alzheimer's disease, tardive dyskinesia, narcolepsy, depression including seasonal affective disorder and premenstrual syndrome (late luteal phase dysphoric disorder), migraine, **schizophrenia**, Gilles de la Tourette's syndrome, Attention Deficit-Hyperactivity Disorder, obsessive compulsive disorder, panic disorder, pain syndromes, narcolepsy, akathisia and restless legs. . . .